Inventor Search

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L5 ANSWER 1 OF 3 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:64019 HCAPLUS
DOCUMENT NUMBER: 134:101199
TITLE: Preparation of pseudomycin amide and ester analogs
INVENTOR(S): **Chen, Shu Hui**; Galka, Christopher Stanley; Hellman, Sarah Lynne; Krstenansky, John L.; **Rodriguez, Michael John**; Sun, Xicheng David; Usyatinsky, Alexander Ya.; Vasudevan, Venkatraghavan; Zweifel, Mark James
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: PCT Int. Appl., 80 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001005817	A1	20010125	WO 2000-US15021	20000608
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
BR 2000013163	A	20020402	BR 2000-13163	20000608
EP 1198473	A1	20020424	EP 2000-942656	20000608
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
JP 2003505399	T2	20030212	JP 2001-511474	20000608
NO 2002000186	A	20020304	NO 2002-186	20020114

PRIORITY APPLN. INFO.: US 1999-143981P P 19990715
WO 2000-US15021 W 20000608

OTHER SOURCE(S): MARPAT 134:101199
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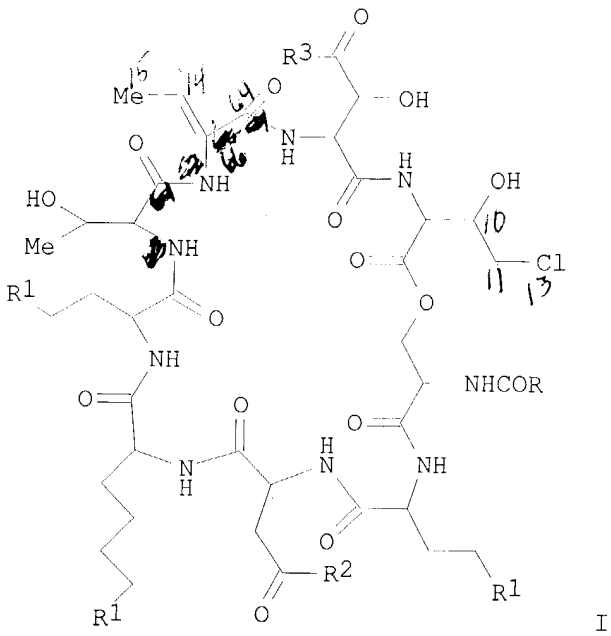


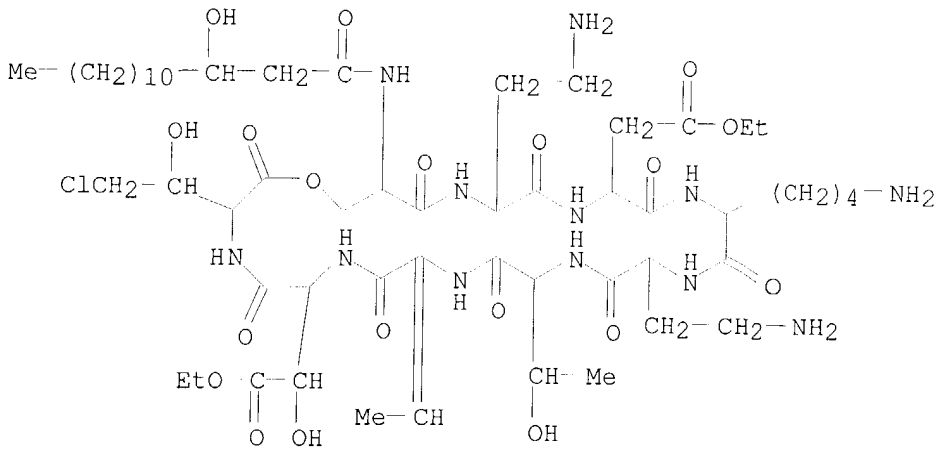
I

AB Pseudomycin analogs I [R is a substituent having alkyl, acylaminomethyl, Ph, phenylhydroxyalkyl, or 3-pyridyl radicals of defined structure; R1 = NH2 or protected amino; R2, R3 = OH, alkoxy, cycloalkyloxy, an amino group or amino acid residue, etc.] and their pharmaceutically acceptable salts were prepared for use as antifungal agents. Thus, Cbz-protected pseudomycin B was treated with ethanol or cyclopropylamine to yield the di-Et ester and the monocyclopropylamide (COR2-position), resp., following deprotection. Fungicidal activity as a function of amidation position is discussed.

IT **319497-03-5P 319497-04-6P 319497-05-7P**
319497-06-8P 319497-07-9P 319497-10-4P
319497-12-6P 319497-16-0P 319497-17-1P
319497-19-3P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pseudomycin amide and ester analogs)

RN 319497-03-5 HCAPLUS

CN Pseudomycin B, diethyl ester (9CI) (CA INDEX NAME)



RN 319497-04-6 HCAPLUS
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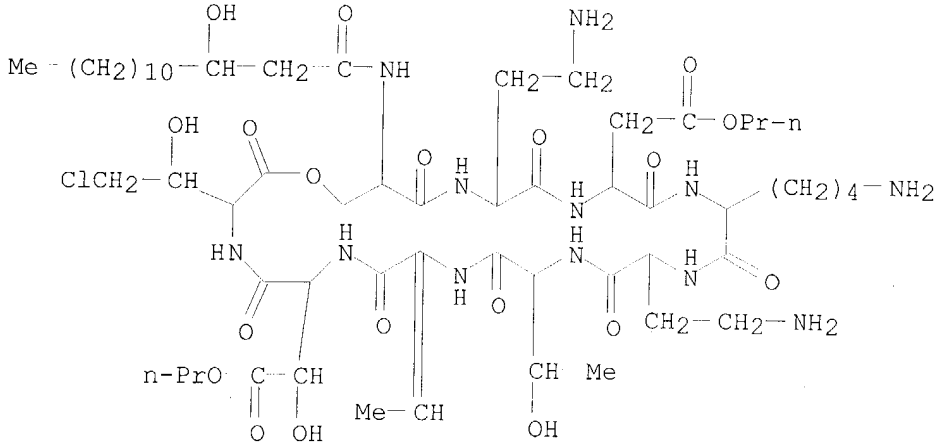

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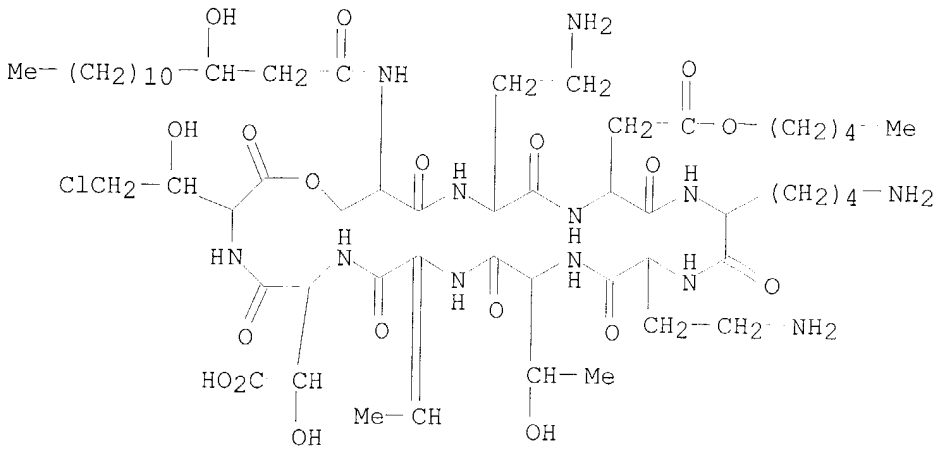

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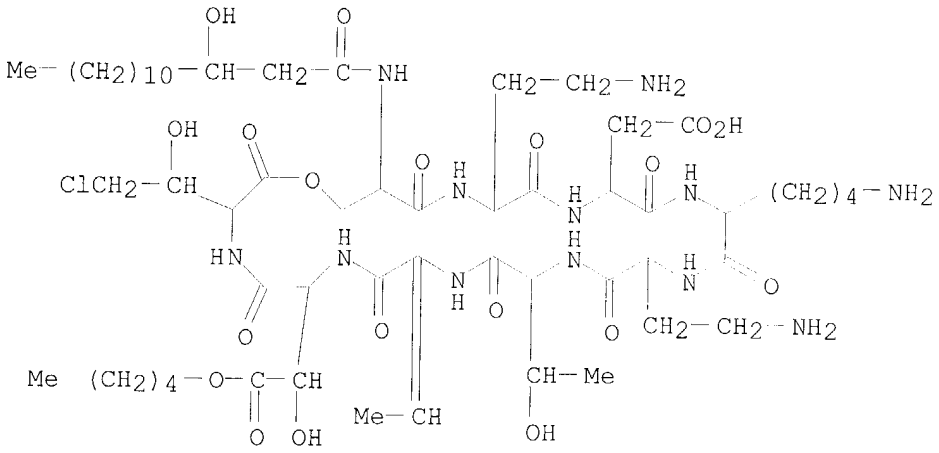
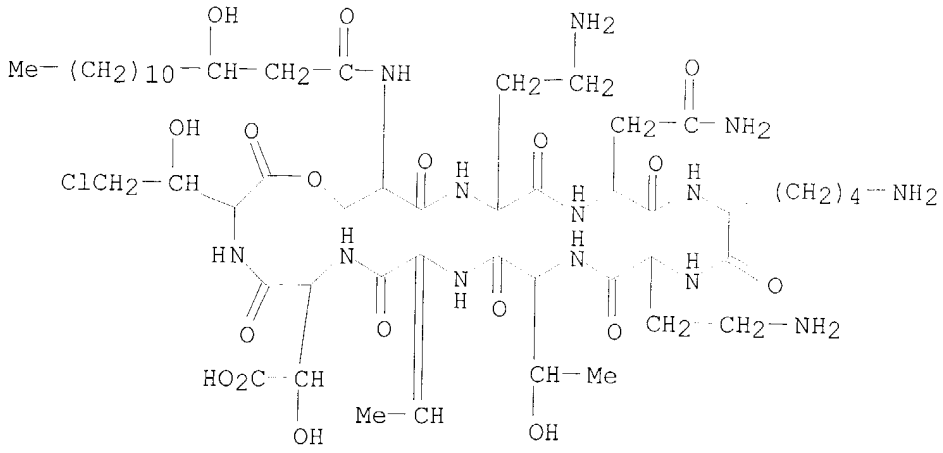
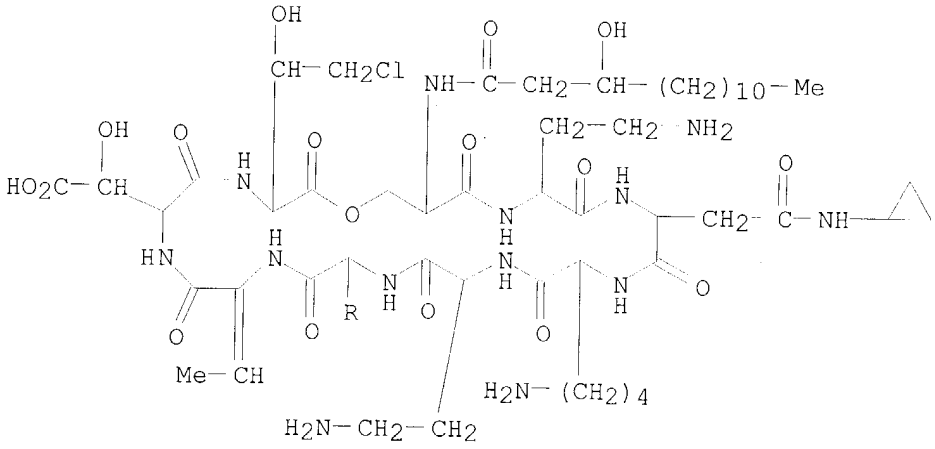


RN 319497-07-9 HCAPLUS
CN Pseudomycin B, 3-L-asparagine- (9CI) (CA INDEX NAME)



RN 319497-10-4 HCAPLUS
CN Pseudomycin B, 3-(N-cyclopropyl-L-asparagine)- (9CI) (CA INDEX NAME)

PAGE 1-A



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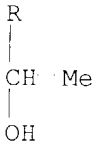
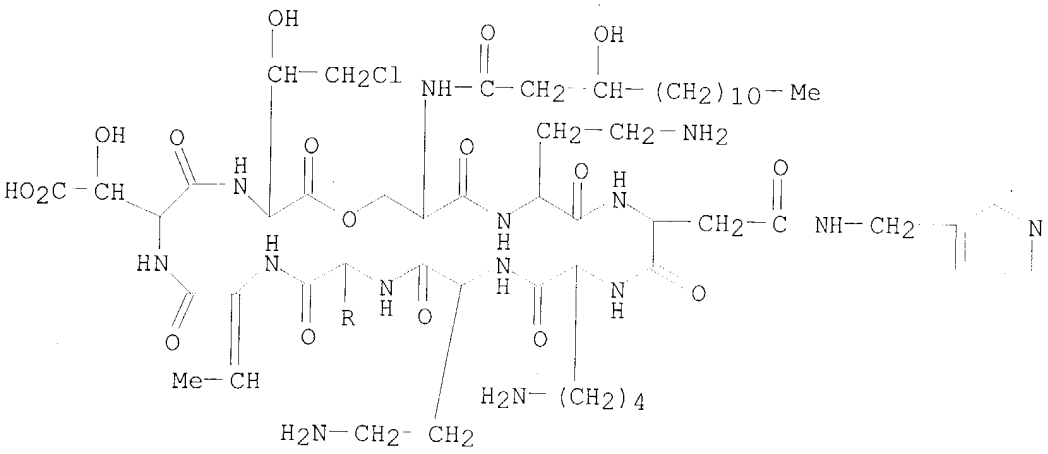


RN 319497-12-6 HCAPLUS
CN Pseudomycin B, 3-[N-(3-pyridinylmethyl)-L-asparagine]- (9CI) (CA INDEX NAME)

PAGE 1-A



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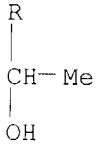
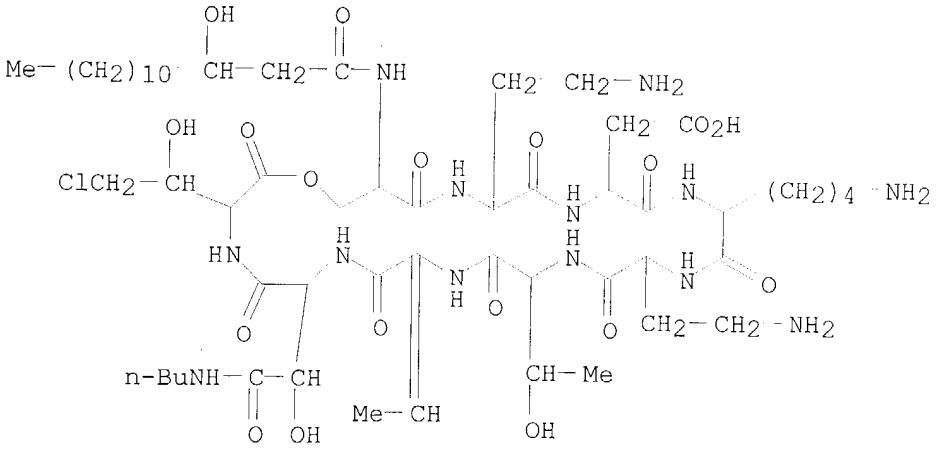
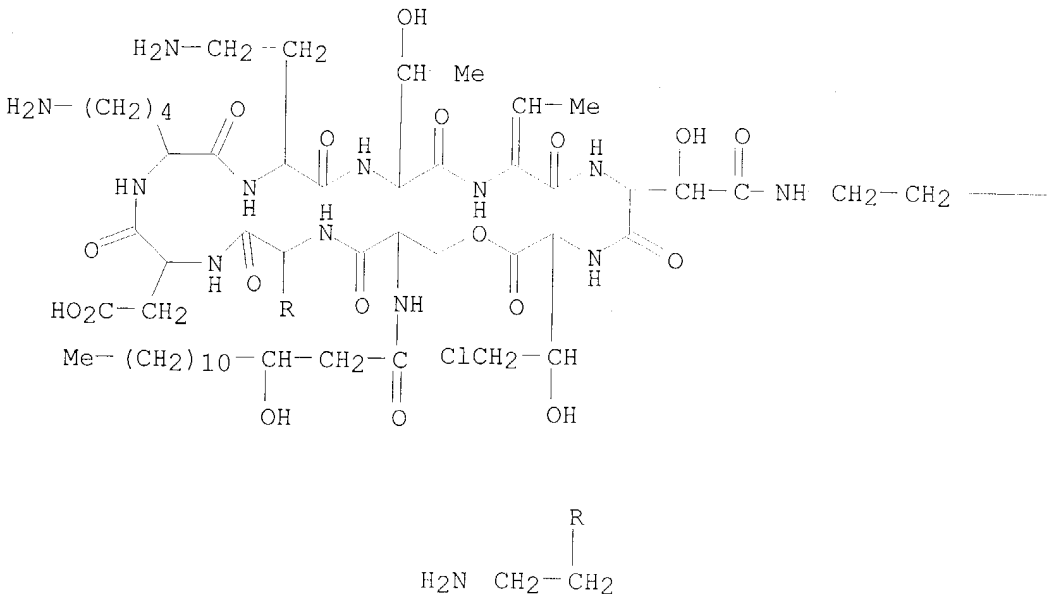


RN 319497-16-0 HCAPLUS
CN Pseudomycin B, 8-[(3S)-N-butyl-3-hydroxy-L-asparagine]- (9CI) (CA INDEX NAME)



RN 319497-17-1 HCAPLUS
CN Pseudomycin B, 8-[(3S)-3-hydroxy-N-[2-(4-morpholinyl)ethyl]-L-asparagine]- (9CI) (CA INDEX NAME)

PAGE 1-A



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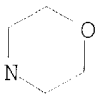
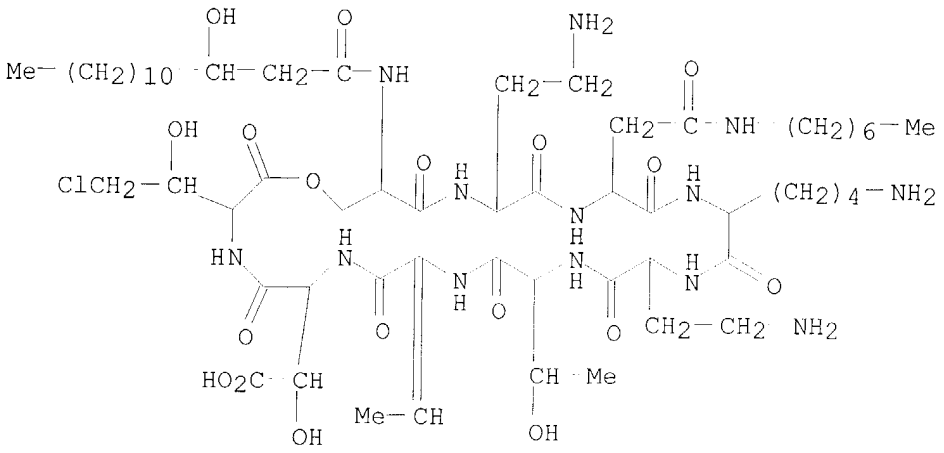


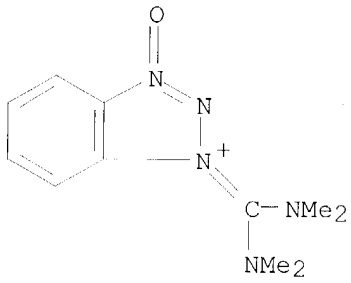
RN 319497-19-3 HCAPLUS
CN Pseudomycin B, 3-(N-heptyl-L-asparagine)- (9CI) (CA INDEX NAME)



IT **94790-37-1**, HBTU **125700-67-6**
RL: NUU (Other use, unclassified); USES (Uses)
(preparation of pseudomycin amide and ester analogs)
RN 94790-37-1 HCAPLUS
CN 1H-Benzotriazolium, 1-[bis(dimethylamino)methylene]-, hexafluorophosphate(1-), 3-oxide (9CI) (CA INDEX NAME)

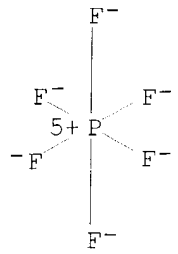
CM 1

CRN 94790-36-0
CMF C11 H16 N5 O



CM 2

CRN 16919-18-9
CMF F6 P
CCI CCS



RN 125700-67-6 HCAPLUS
CN 1H-Benzotriazolium, 1-[bis(dimethylamino)methylene]-, tetrafluoroborate(1-), 3-oxide (9CI) (CA INDEX NAME)

CM 1

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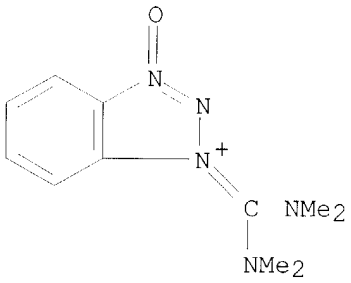
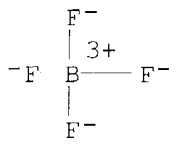
CMF C11 H16 N5 O



CM 2

CRN 14874-70-5
CMF B F4
CCI CCS



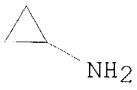
IT **107-08-4**, Propyl iodide **628-17-1**, Pentyl iodide **765-30-0**, Cyclopropylamine **2038-03-1**, 4-Morpholineethanamine **3731-52-0**, 3 Aminomethylpyridine **5591-93-5 139203-13-7**, Pseudomycin a **277758-37-9**
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of pseudomycin amide and ester analogs)
RN 107-08-4 HCAPLUS
CN Propane, 1-iodo- (8CI, 9CI) (CA INDEX NAME)

$H_3C-CH_2-CH_2-I$

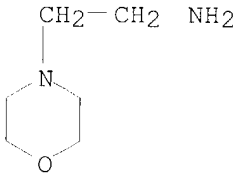
RN 628-17-1 HCAPLUS
CN Pentane, 1-iodo- (6CI, 8CI, 9CI) (CA INDEX NAME)

$Me-(CH_2)_4-I$

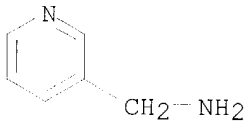
RN 765-30-0 HCAPLUS
CN Cyclopropanamine (9CI) (CA INDEX NAME)



RN 2038-03-1 HCAPLUS
CN 4-Morpholineethanamine (9CI) (CA INDEX NAME)

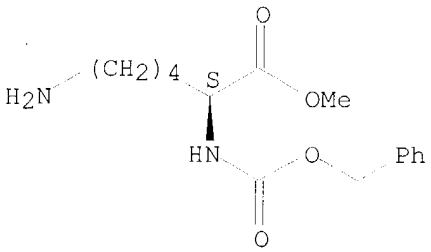


RN 3731-52-0 HCAPLUS
CN 3-Pyridinemethanamine (9CI) (CA INDEX NAME)

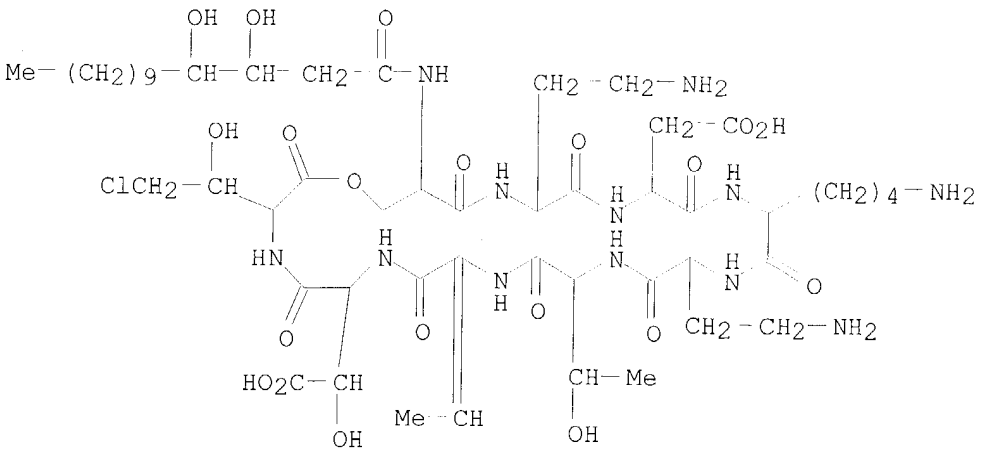


RN 5591-93-5 HCAPLUS
CN L-Lysine, N2-[(phenylmethoxy)carbonyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



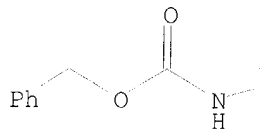
RN 139203-13-7 HCAPLUS
CN Pseudomycin A (9CI) (CA INDEX NAME)

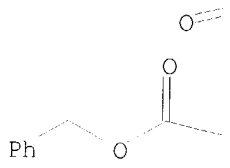


RN 277758-37-9 HCAPLUS
CN Pseudomycin B, 2-[(2R)-2-amino-4-[[(phenylmethoxy)carbonyl]amino]butanoic acid]-4-[N6-[(phenylmethoxy)carbonyl]-L-lysine]-5-[(2S)-2-amino-4-[[(phenylmethoxy)carbonyl]amino]butanoic acid]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

PAGE 1-A





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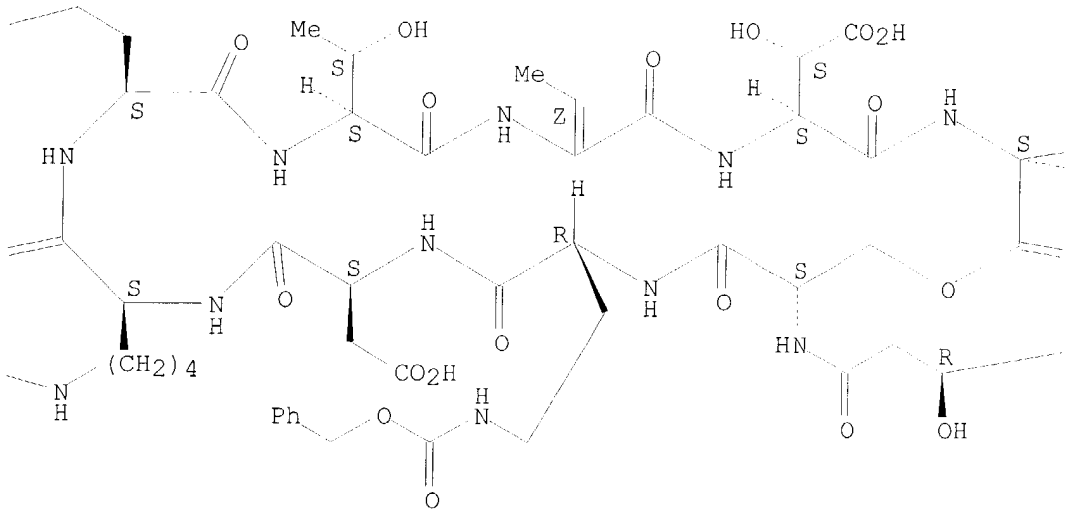

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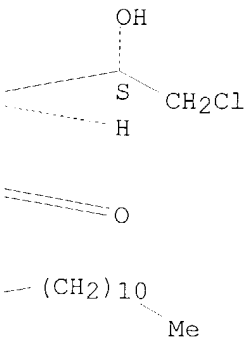


IT **319015-31-1P 319497-02-4P 319497-08-0P**
319497-09-1P 319497-11-5P 319497-13-7P
319497-14-8P 319497-15-9P 319497-18-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of pseudomycin amide and ester analogs)

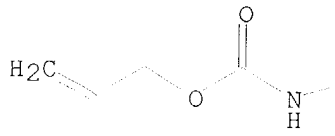
RN 319015-31-1 HCAPLUS

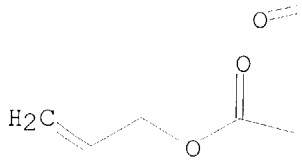
CN Pseudomycin B, 2-[(2R)-2-amino-4-[[(2-propenyloxy)carbonyl]amino]butanoic acid]-4-[N6-[(2-propenyloxy)carbonyl]-L-lysine]-5-[(2S)-2-amino-4-[[(2-propenyloxy)carbonyl]amino]butanoic acid]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

PAGE 1-A





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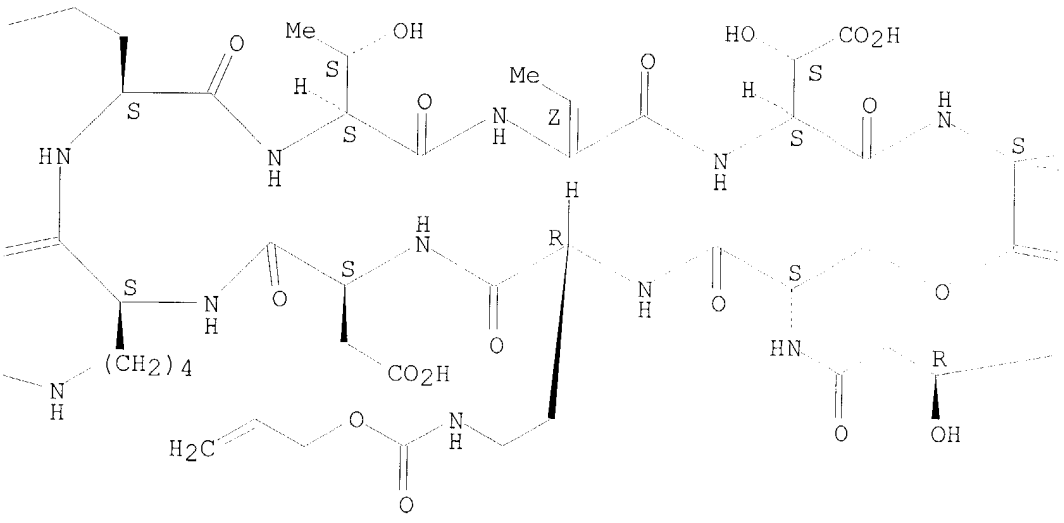

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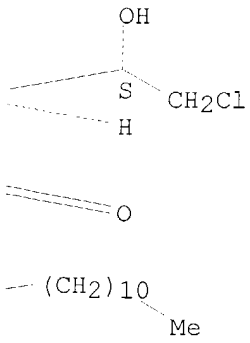
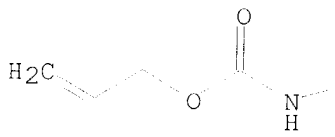


RN 319497-02-4 HCAPLUS
CN Pseudomycin A, 2-[(2R)-2-amino-4-[[(2-propenyloxy)carbonyl]amino]butanoic acid]-4-[N6-[(2-propenyloxy)carbonyl]-L-lysine]-5-[(2S)-2-amino-4-[[(2-propenyloxy)carbonyl]amino]butanoic acid]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

PAGE 1-A



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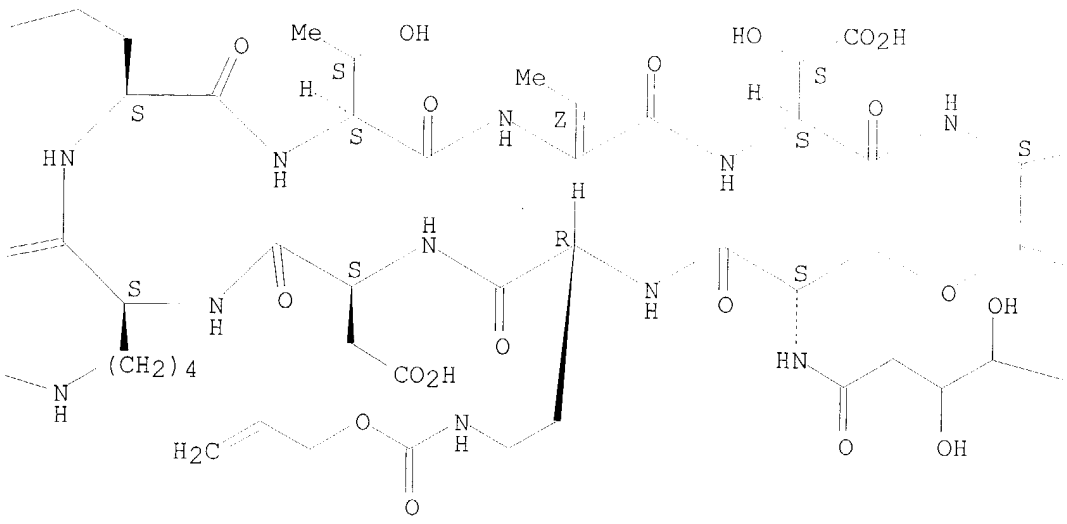

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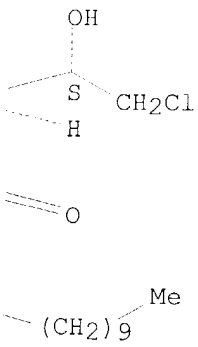
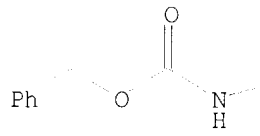
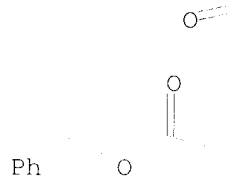


RN 319497-08-0 HCAPLUS
CN Pseudomycin B, 2-[(2R)-2-amino-4-[[(phenylmethoxy)carbonyl]amino]butanoic acid]-3-L-asparagine-4-[N6-[(phenylmethoxy)carbonyl]-L-lysine]-5-[(2S)-2-amino-4-[[(phenylmethoxy)carbonyl]amino]butanoic acid]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

PAGE 1-A





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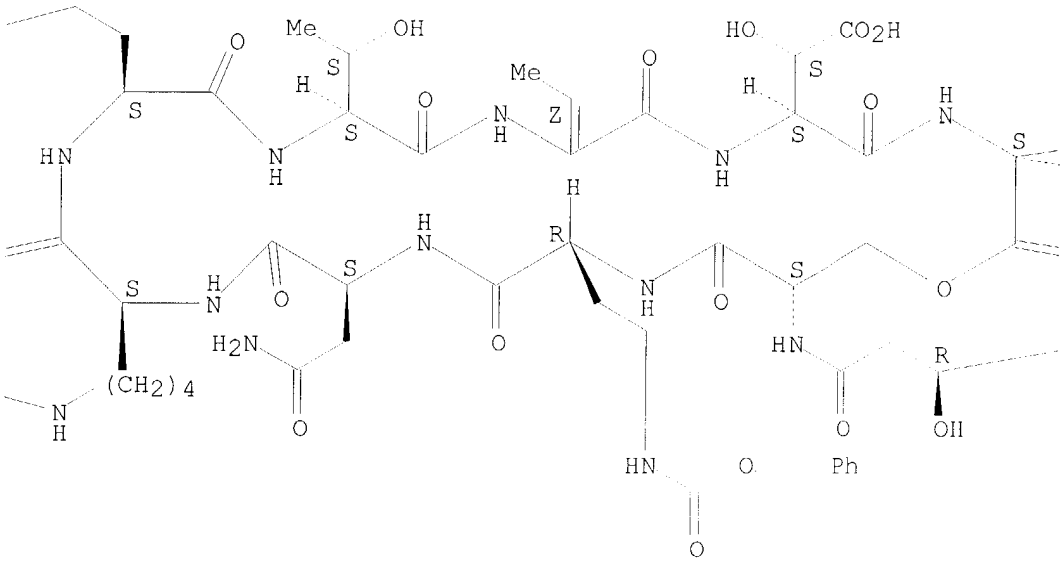

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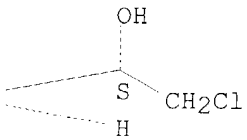
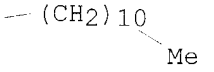
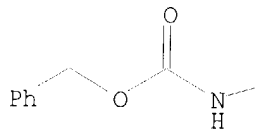


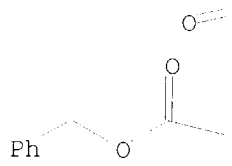


RN 319497-09-1 HCAPLUS
CN Pseudomycin B, 2-[(2R)-2-amino-4-[[(phenylmethoxy)carbonyl]amino]butanoic acid]-3-(N-cyclopropyl-L-asparagine)-4-[N6-[(phenylmethoxy)carbonyl]-L-lysine]-5-[(2S)-2-amino-4-[[(phenylmethoxy)carbonyl]amino]butanoic acid]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

PAGE 1-A





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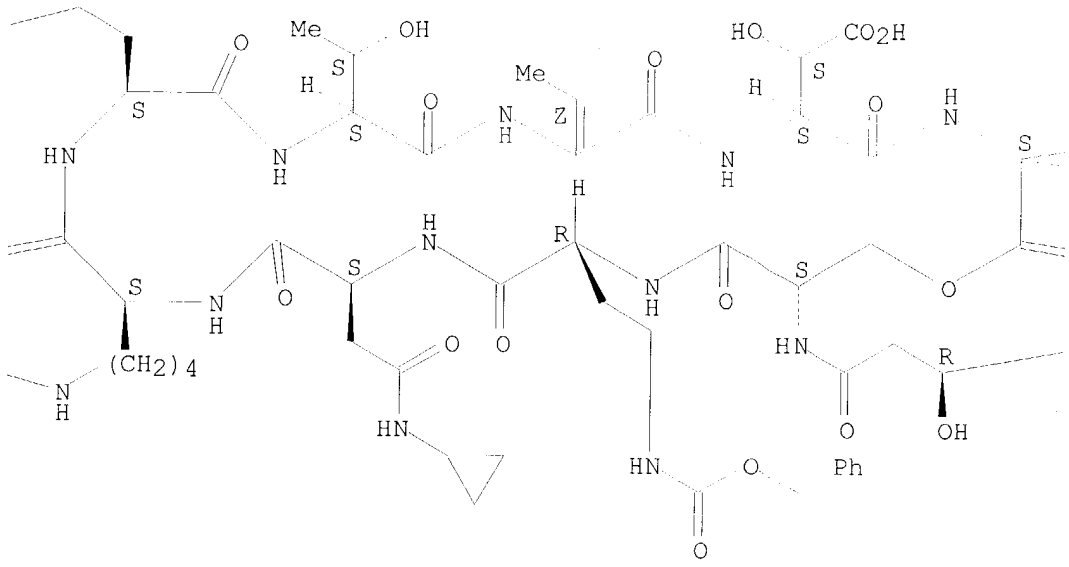

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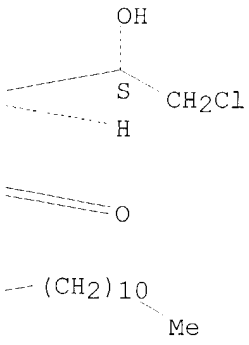

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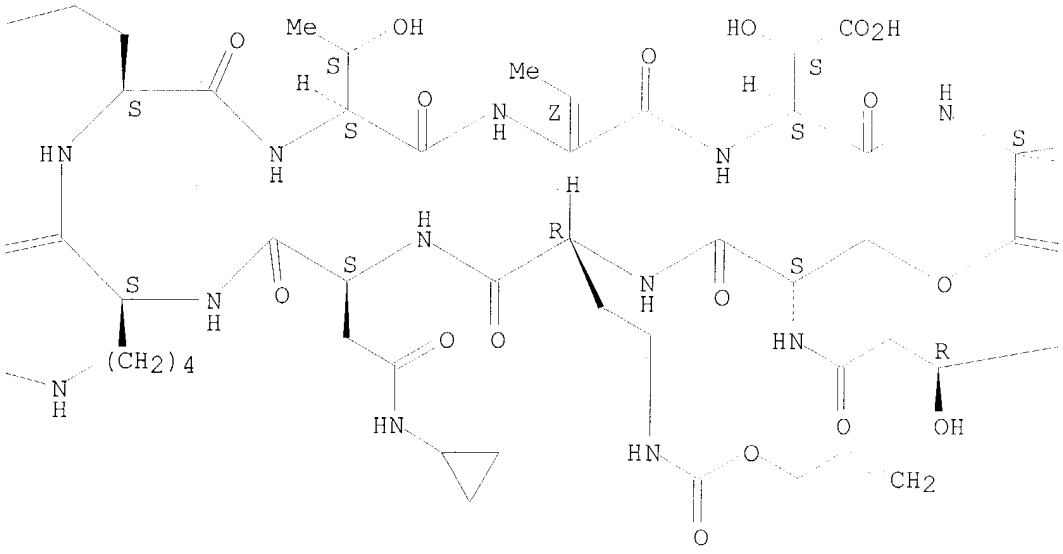
Absolute stereochemistry.
Double bond geometry as shown.

PAGE 1-A

PAGE 1-B



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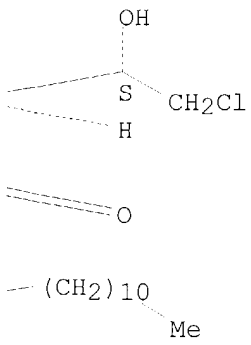

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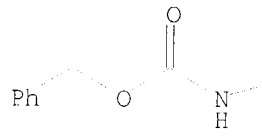
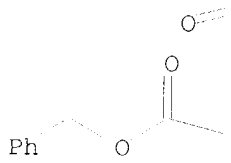
Absolute stereochemistry.
Double bond geometry as shown.

PAGE 1-A





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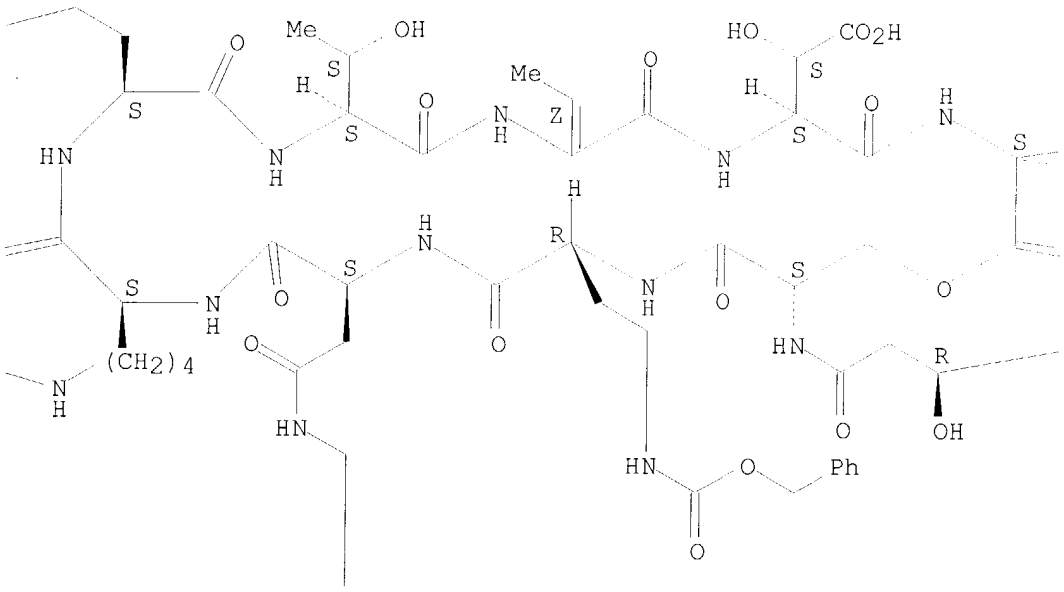

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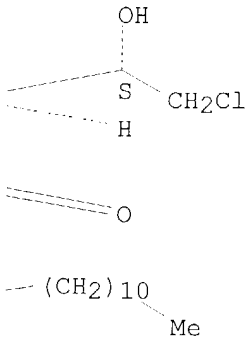

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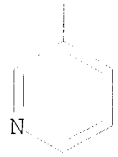
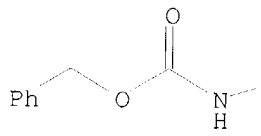
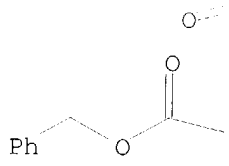


RN 319497-14-8 HCAPLUS
CN Pseudomycin B, 2-[(2R)-2-amino-4-[[(phenylmethoxy)carbonyl]amino]butanoic acid]-3-[N-[(1S)-5-amino-1-(methoxycarbonyl)pentyl]-L-asparagine]-4-[N6-[(phenylmethoxy)carbonyl]-L-lysine]-5-[(2S)-2-amino-4-[[(phenylmethoxy)carbonyl]amino]butanoic acid]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

PAGE 1-A





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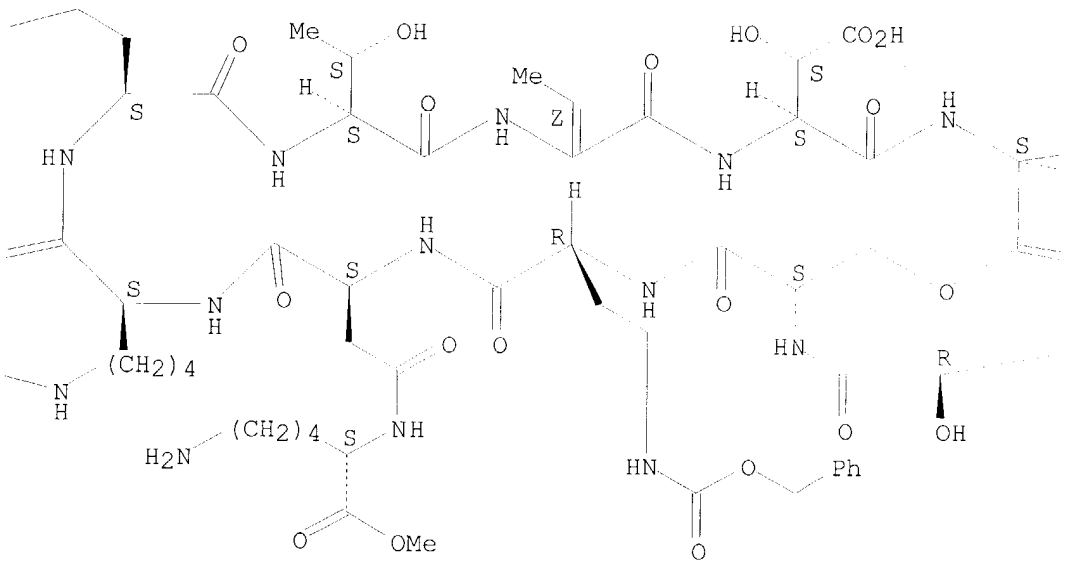

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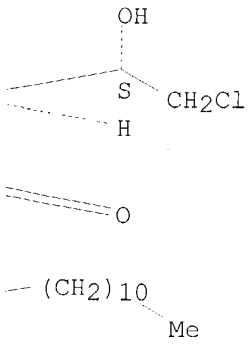

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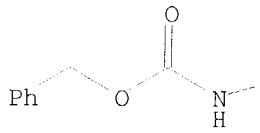
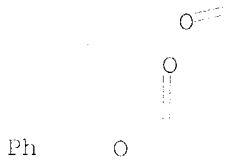
Absolute stereochemistry.
Double bond geometry as shown.

PAGE 1-A





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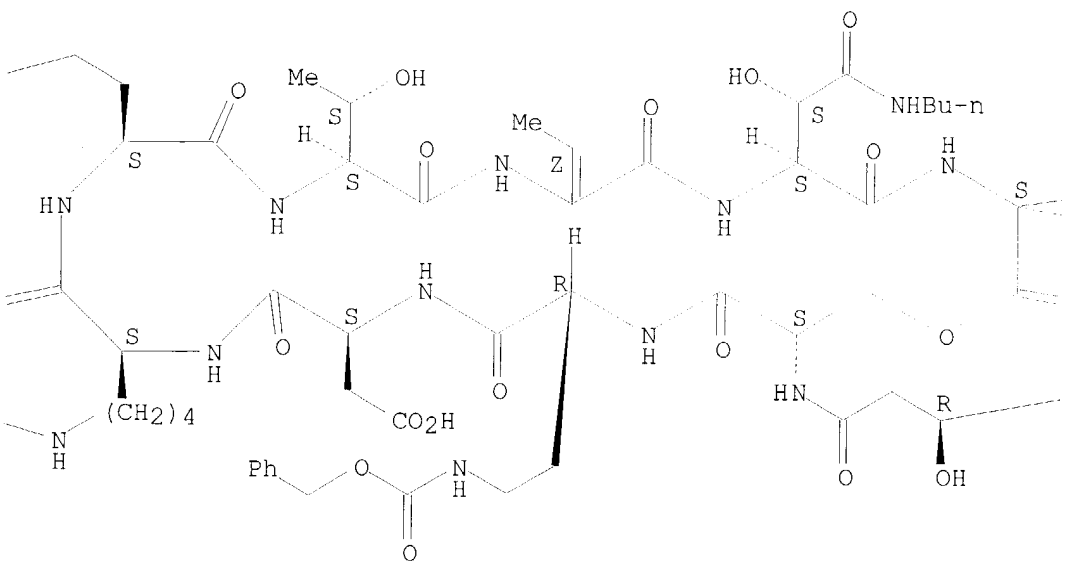

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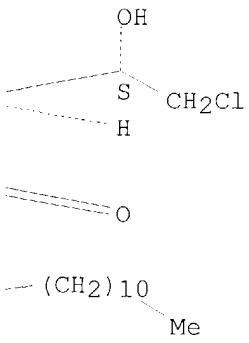
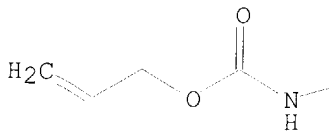


RN 319497-18-2 HCAPLUS
CN Pseudomycin B, 2-[(2R)-2-amino-4-[[(2-propenyloxy)carbonyl]amino]butanoic acid]-4-[N6-[(2-propenyloxy)carbonyl]-L-lysine]-5-[(2S)-2-amino-4-[[(2-propenyloxy)carbonyl]amino]butanoic acid]-8-[(3S)-3-hydroxy-N-[2-(4-morpholinyl)ethyl]-L-asparagine]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

PAGE 1-A



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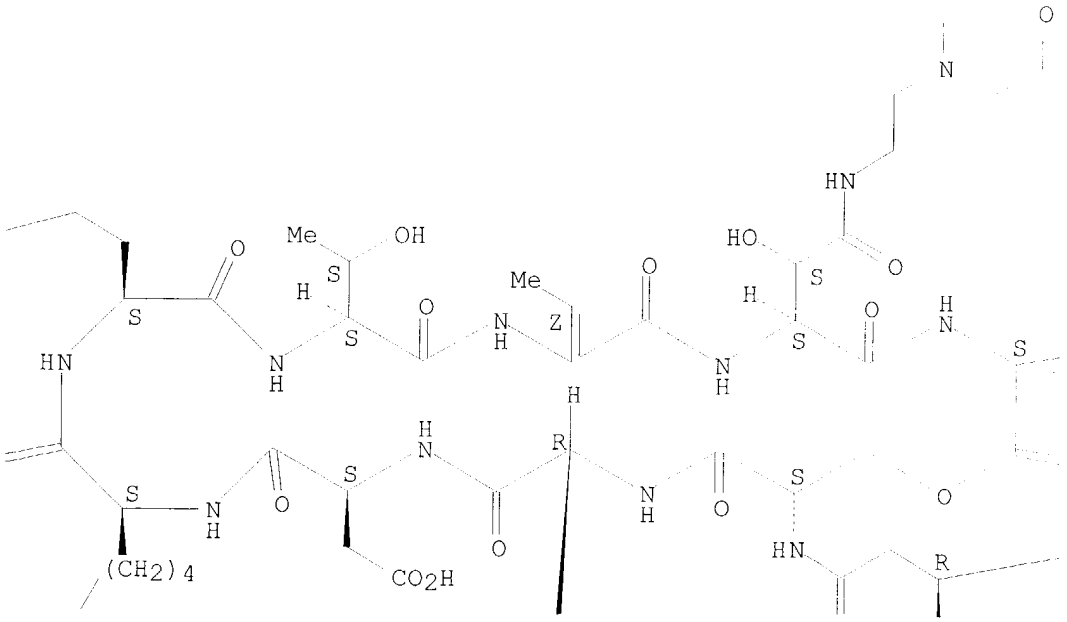

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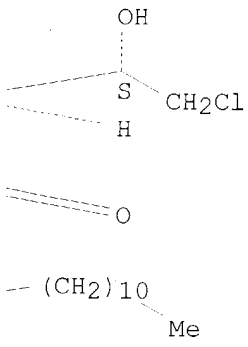

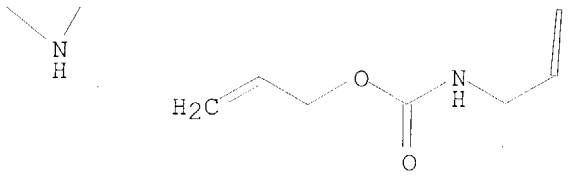

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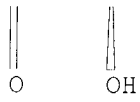

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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L5 ANSWER 2 OF 3 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:64018 HCAPLUS
DOCUMENT NUMBER: 134:101198
TITLE: Preparation of amine-modified pseudomycin compounds
INVENTOR(S): **Chen, Shu Hui**; Jamison, James Andrew; **Rodriguez, Michael John**; Sun, Xicheng David; Vasudevan, Venkatraghavan; Zweifel, Mark James
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: PCT Int. Appl., 46 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE

WO 2001005816	A1	20010125	WO 2000-US15019	20000608	
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	LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD,				
	SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU,				
	ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,				
	DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ,				
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BR 2000013168	A	20020402	BR 2000-13168	20000608	
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JP 2003505398	T2	20030212	JP 2001-511473	20000608	
NO 2002000194	A	20020314	NO 2002-194	20020114	

PRIORITY APPLN. INFO.: US 1999-143839P P 19990715
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OTHER SOURCE(S): MARPAT 134:101198

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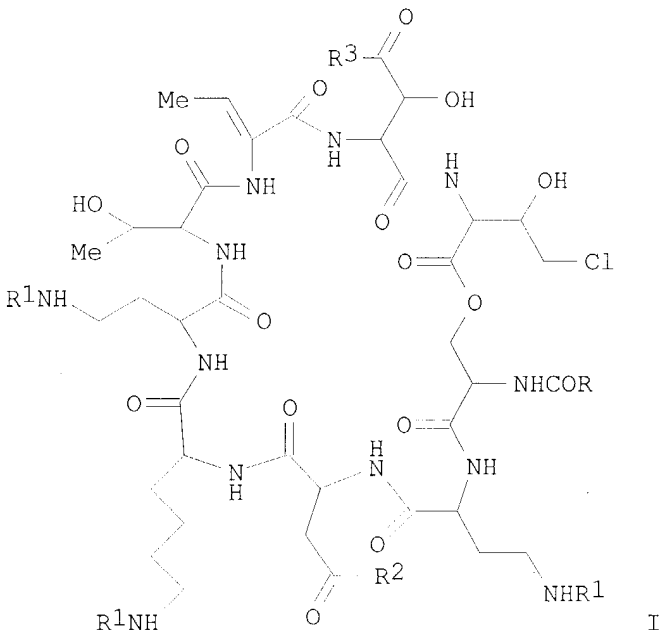
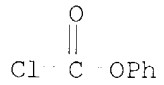


I

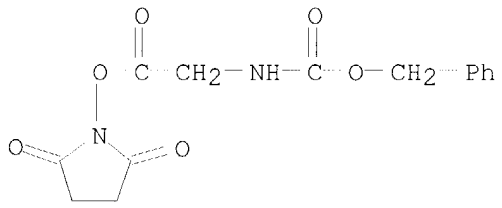
AB Amine-modified pseudomycin compds. I [R is a substituent having alkyl, acylaminomethyl, Ph, phenylhydroxyalkyl, or 3-pyridyl radicals of defined structure; R1 = H, formyl, acylalkyl, acylalkylamine, acylazaalkyl, acyloxyalkene, acyloxyaryl, or acylmethylenecarbamate, provided that at least one R1 is not H; R2, R3 = OH, alkoxy, cycloalkyloxy, an amino group or amino acid residue, etc.] and their pharmaceutically acceptable salts were prepared for use as antifungal agents. Thus, pseudomycin B was treated with Cbz-Gly-ONSu (Cbz = benzyloxycarbonyl, NSU = succinimide residue) to yield the N,N',N''-tri-glycyl derivative, following deprotection.

IT **1885-14-9**, Phenyl chloroformate **2899-60-7**
115491-93-5, Diallyl pyrocarbonate **139203-14-8**,
Pseudomycin b
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of amine-modified pseudomycin compds.)

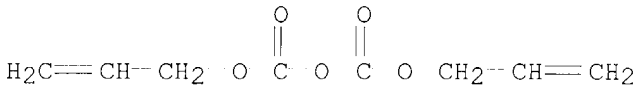
RN 1885-14-9 HCAPLUS
CN Carbonochloridic acid, phenyl ester (9CI) (CA INDEX NAME)



RN 2899-60-7 HCAPLUS
CN Carbamic acid, [2-[(2,5-dioxo-1-pyrrolidinyl)oxy]-2-oxoethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



RN 115491-93-5 HCAPLUS
CN Dicarbonic acid, di-2-propenyl ester (9CI) (CA INDEX NAME)



RN 139203-14-8 HCAPLUS
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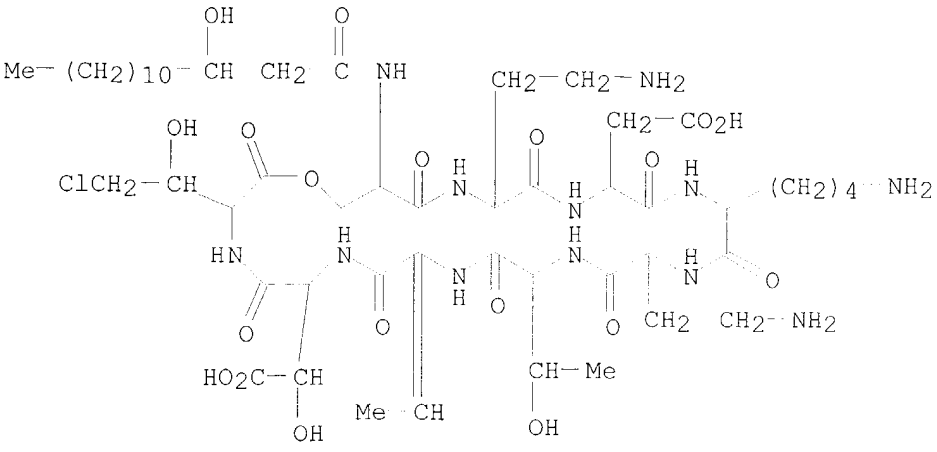


IT **319015-35-5P**

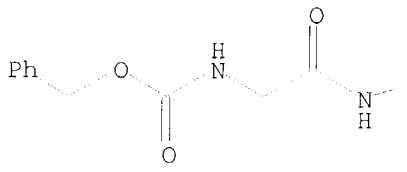
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of amine-modified pseudomycin compds.)

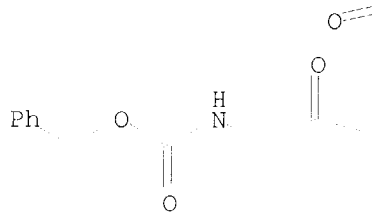
RN 319015-35-5 HCAPLUS

CN Pseudomycin B, 2-[N4-[N-[(phenylmethoxy)carbonyl]glycyl]-(2R)-2,4-diaminobutanoic acid]-4-[N6-[N-[(phenylmethoxy)carbonyl]glycyl]-L-lysine]-5-[N4-[N-[(phenylmethoxy)carbonyl]glycyl]-(2S)-2,4-diaminobutanoic acid]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

PAGE 1-A





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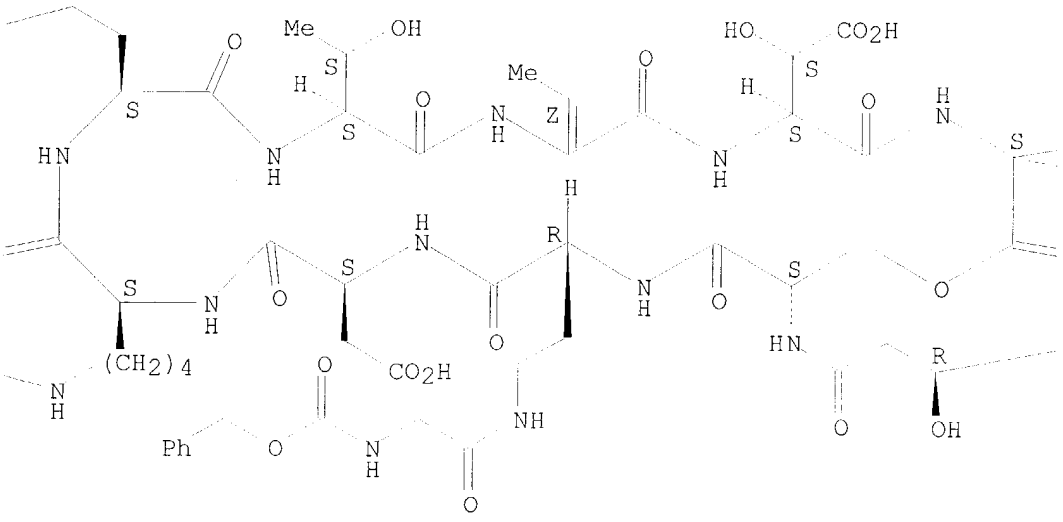

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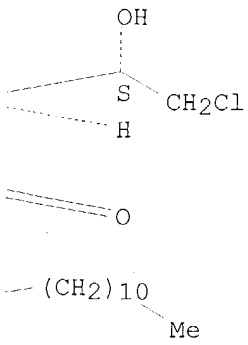
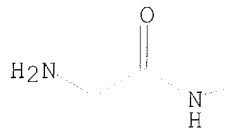
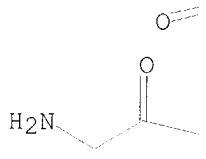


IT **319015-20-8P 319015-27-5P 319015-31-1P**
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of amine-modified pseudomycin compds.)
RN 319015-20-8 HCAPLUS
CN Pseudomycin B, 2-[N4-glycyl-(2R)-2,4-diaminobutanoic acid]-4-(N6-glycyl-L-lysine)-5-[N4-glycyl-(2S)-2,4-diaminobutanoic acid]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

PAGE 1-A





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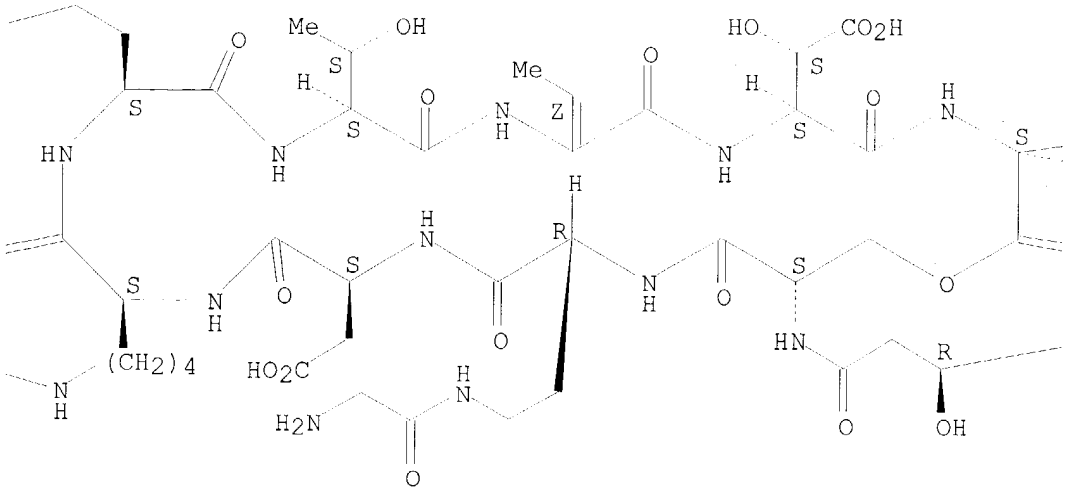

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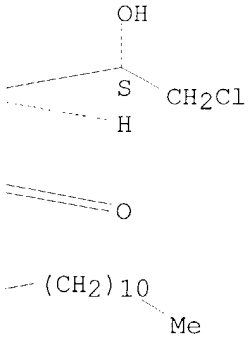
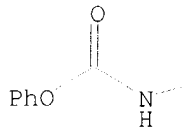
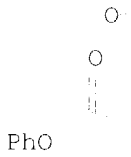


RN 319015-27-5 HCAPLUS
CN Pseudomycin B, 2-[(2R)-2-amino-4-[(phenoxycarbonyl)amino]butanoic acid]-4-[N6-(phenoxycarbonyl)-L-lysine]-5-[(2S)-2-amino-4-[(phenoxycarbonyl)amino]butanoic acid]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

PAGE 1-A





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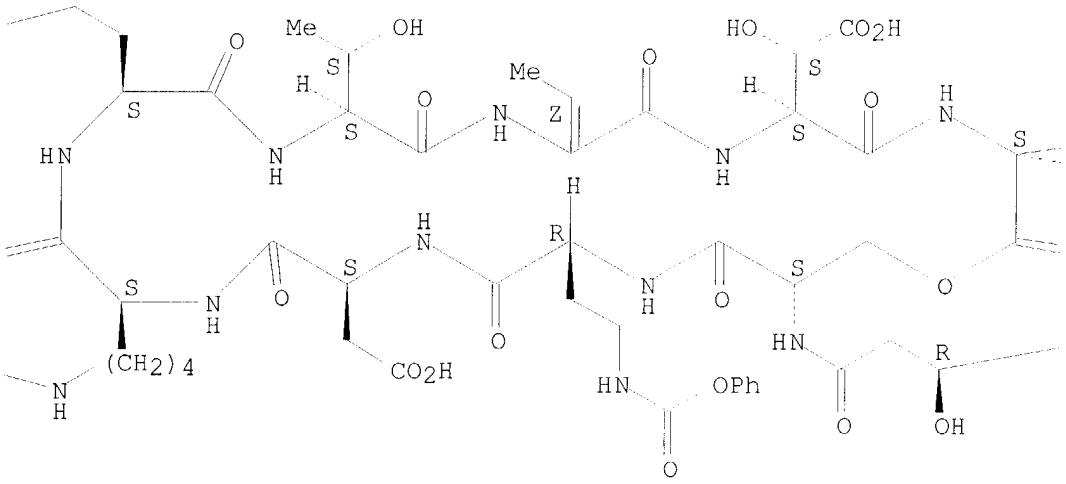

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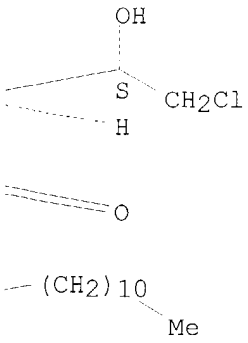
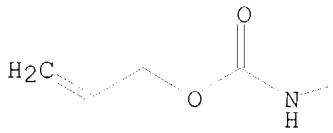
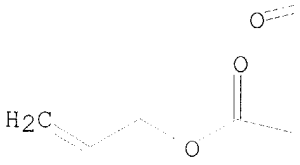


RN 319015-31-1 HCAPLUS
CN Pseudomycin B, 2-[(2R)-2-amino-4-[[(2-propenyloxy)carbonyl]amino]butanoic acid]-4-[N6-[(2-propenyloxy)carbonyl]-L-lysine]-5-[(2S)-2-amino-4-[[(2-propenyloxy)carbonyl]amino]butanoic acid]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

PAGE 1-A





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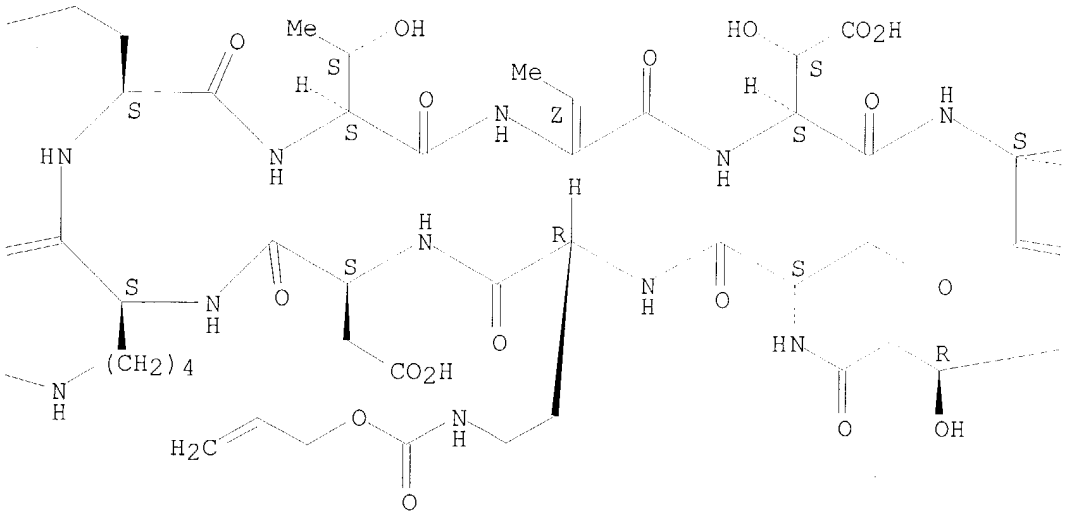

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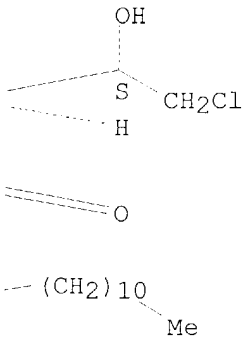

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 3 OF 3 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:64015 HCAPLUS
DOCUMENT NUMBER: 134:116241
TITLE: Preparation of pseudomycin prodrugs
INVENTOR(S): **Chen, Shu Hui; Rodriguez, Michael John**; Sun, Xicheng David
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: PCT Int. Appl., 66 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001005813	A1	20010125	WO 2000-US15016	20000608
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
BR 2000013153	A	20020402	BR 2000-13153	20000608
EP 1198470	A1	20020424	EP 2000-938005	20000608
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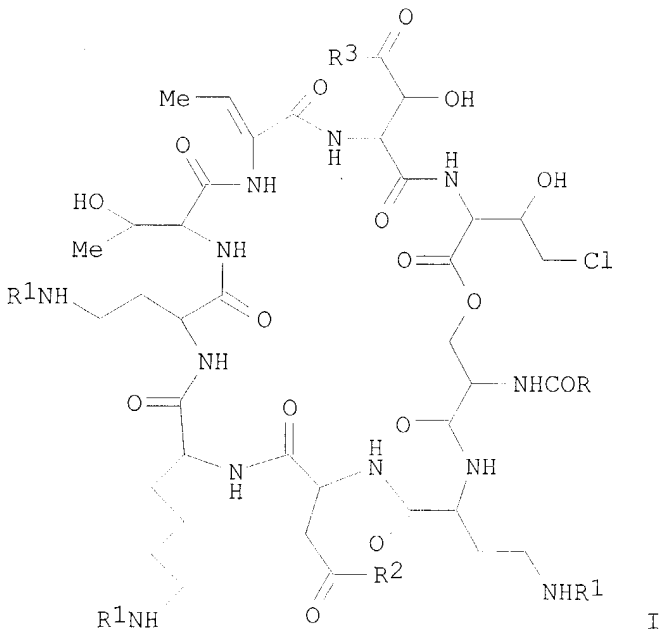
JP 2003505396	T2	20030212	JP 2001-511470	20000608
NO 2002000192	A	20020314	NO 2002-192	20020114

PRIORITY APPLN. INFO.: US 1999-143840P P 19990715
WO 2000-US15016 W 20000608

OTHER SOURCE(S): MARPAT 134:116241
GI



I

AB Pseudomycin prodrugs I [R is a substituent having alkyl, acylaminomethyl, Ph, phenylhydroxyalkyl, or 3-pyridyl radicals of defined structure; R1 = H, acyloxymethylene-1,3-dioxolen-2-one, or acyloxymethylenecarboxylate;

R2, R3 = OH, alkoxy, cycloalkyloxy, an amino group or amino acid residue, etc.] and their pharmaceutically acceptable salts were prepared for use as antifungal agents. Thus, pseudomycin C' was treated with 5-methyl-1,3-dioxolen-2-one-4-ylmethyl p-nitrophenyl carbonate (preparation given) to yield mono-, di-, and tri-substituted acyloxyalkylcarbamate prodrugs which were assayed for tail vein toxicity.

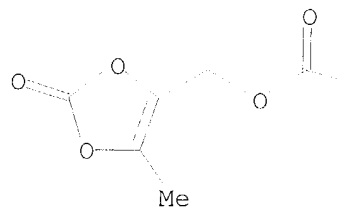
IT **321156-55-2P 321156-56-3P 321156-57-4P 321156-58-5P 321156-60-9P 321198-86-1P 321198-87-2P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pseudomycin prodrugs)

RN 321156-55-2 HCAPLUS

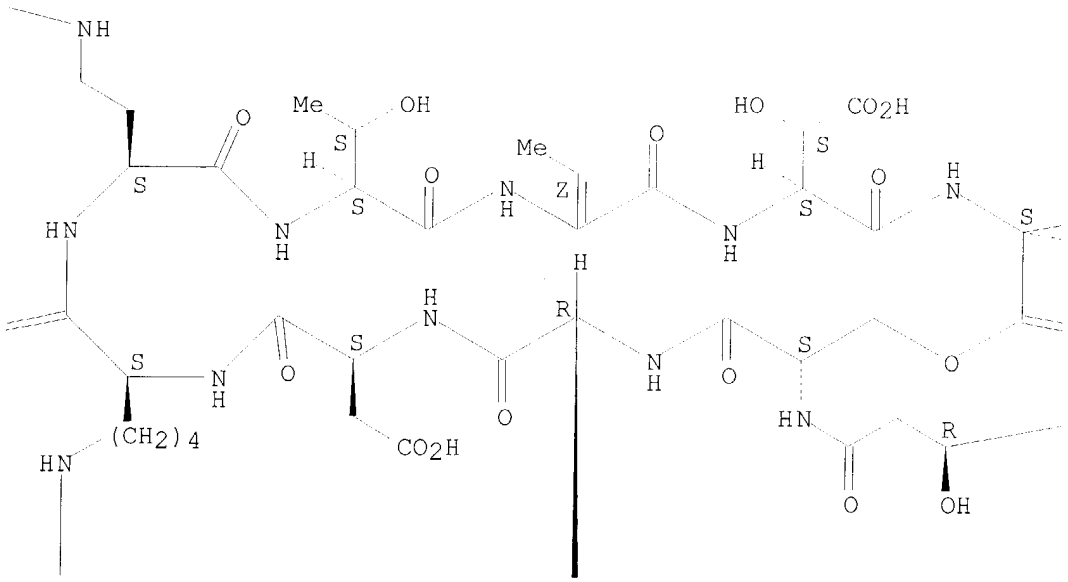
CN Pseudomycin C', 2-[(2R)-2-amino-4-[[[(5-methyl-2-oxo-1,3-dioxol-4-yl)methoxy]carbonyl]amino]butanoic acid]-4-[N6-[[(5-methyl-2-oxo-1,3-dioxol-4-yl)methoxy]carbonyl]-L-lysine]-5-[(2S)-2-amino-4-[[[(5-methyl-2-oxo-1,3-dioxol-4-yl)methoxy]carbonyl]amino]butanoic acid]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

PAGE 1-A



PAGE 1-B



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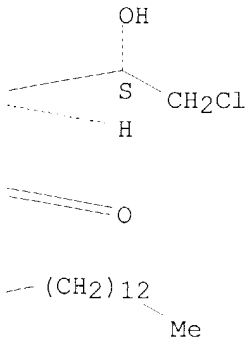

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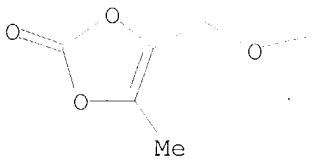

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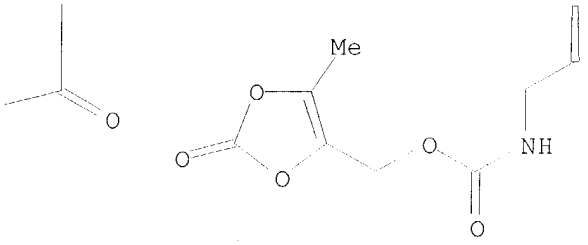
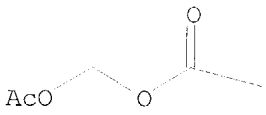


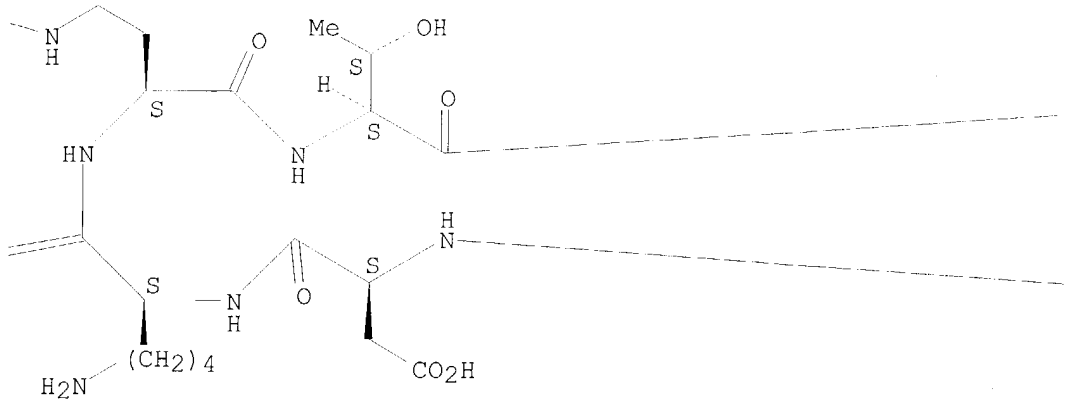
RN 321156-56-3 HCAPLUS
CN Pseudomycin B, 5-[(2S)-2-amino-4-[[[(acetyloxy)methoxy]carbonyl]amino]butanoic acid]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

PAGE 1-A



PAGE 1-B



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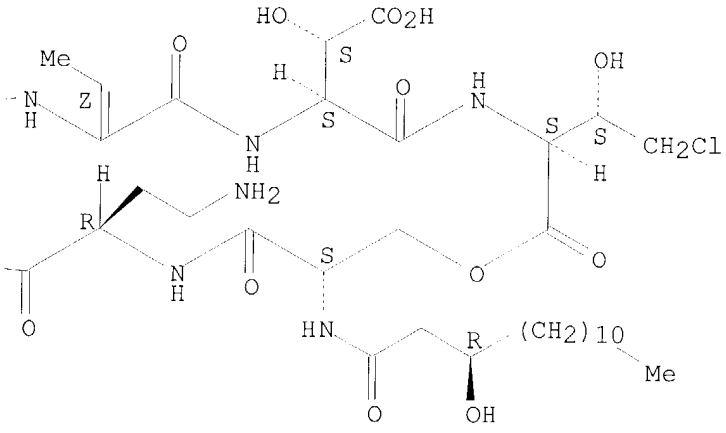
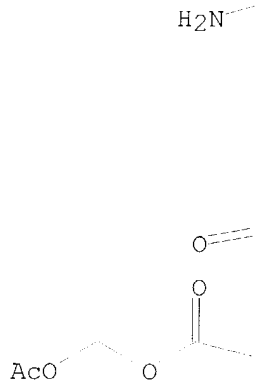


RN 321156-57-4 HCAPLUS
CN Pseudomycin B, 2-[(2R)-2-amino-4-[[[(acetyloxy)methoxy]carbonyl]amino]butanoic acid]-4-[N6-[[(acetyloxy)methoxy]carbonyl]-L-lysine]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

PAGE 1-A



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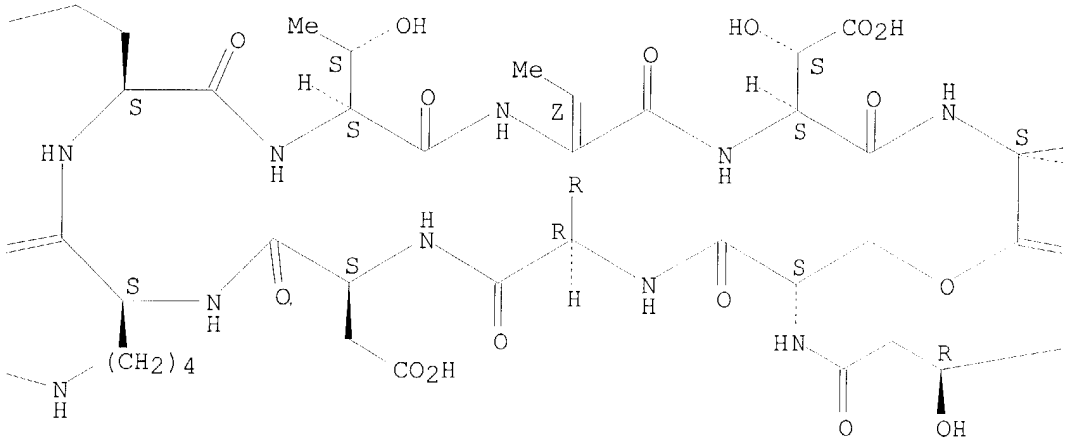

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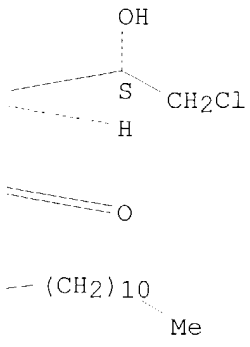
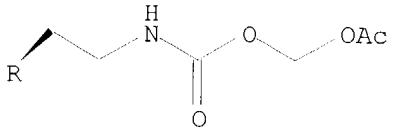


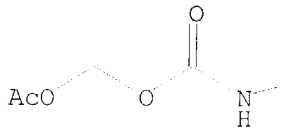
PAGE 2-A

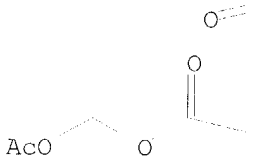


RN 321156-58-5 HCAPLUS
CN Pseudomycin B, 2-[(2R)-2-amino-4-[[[(acetyloxy)methoxy]carbonyl]amino]butanoic acid]-4-[N6-[[(acetyloxy)methoxy]carbonyl]-L-lysine]-5-[(2S)-2-amino-4-[[[(acetyloxy)methoxy]carbonyl]amino]butanoic acid]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

PAGE 1-A





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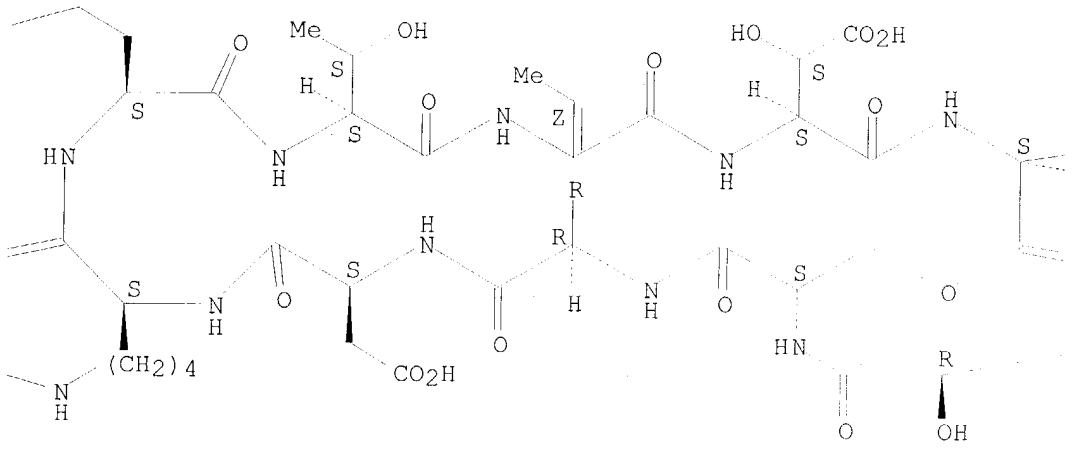

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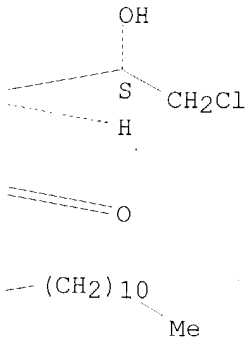

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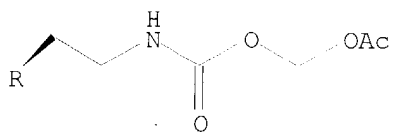
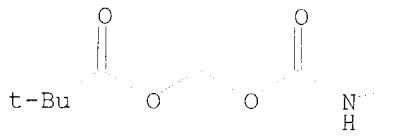
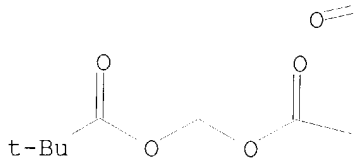


RN 321156-60-9 HCAPLUS
CN Pseudomycin B, 2-[(2R)-2-amino-4-[[[(2,2-dimethyl-1-oxopropoxy)methoxy]carbonyl]amino]butanoic acid]-3-(N-cyclopropyl-L-asparagine)-4-[N6-[[(2,2-dimethyl-1-oxopropoxy)methoxy]carbonyl]-L-lysine]-5-[(2S)-2-amino-4-[[[(2,2-dimethyl-1-oxopropoxy)methoxy]carbonyl]amino]butanoic acid]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

PAGE 1-A





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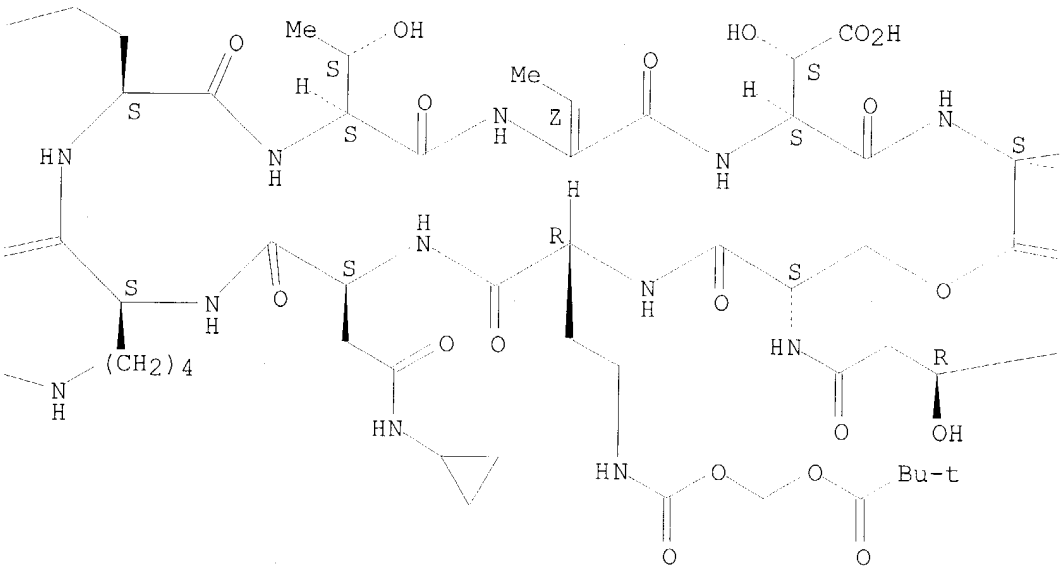

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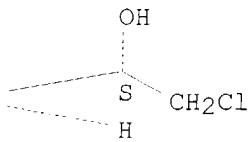
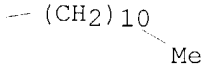
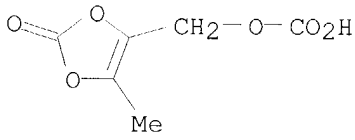




RN 321198-86-1 HCAPLUS
CN Pseudomycin C', monoamide with 4-[(carboxyoxy)methyl]-5-methyl-1,3-dioxol-2-one (9CI) (CA INDEX NAME)

CM 1

CRN 321198-85-0
CMF C6 H6 O6



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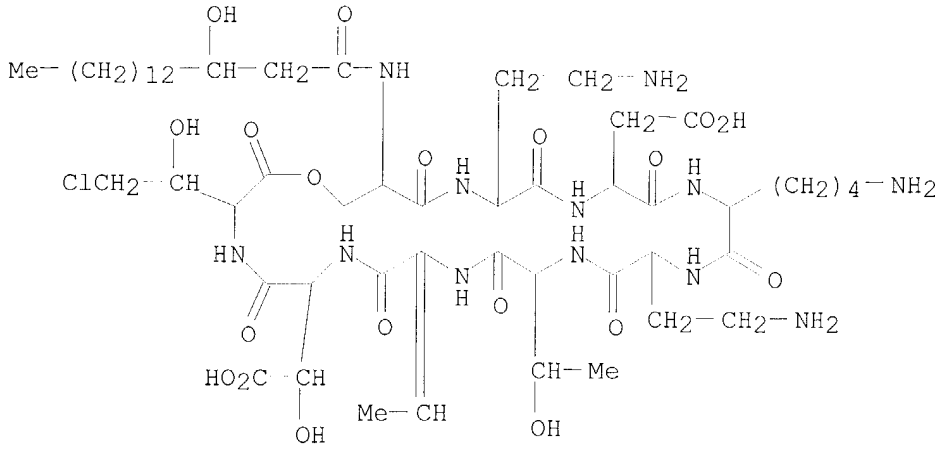
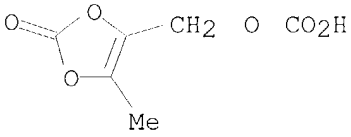
CRN 162443-73-4
CMF C53 H91 Cl N12 O19



RN 321198-87-2 HCAPLUS
CN Pseudomycin C', diamide with 4-[(carboxyoxy)methyl]-5-methyl-1,3-dioxol-2-one (9CI) (CA INDEX NAME)

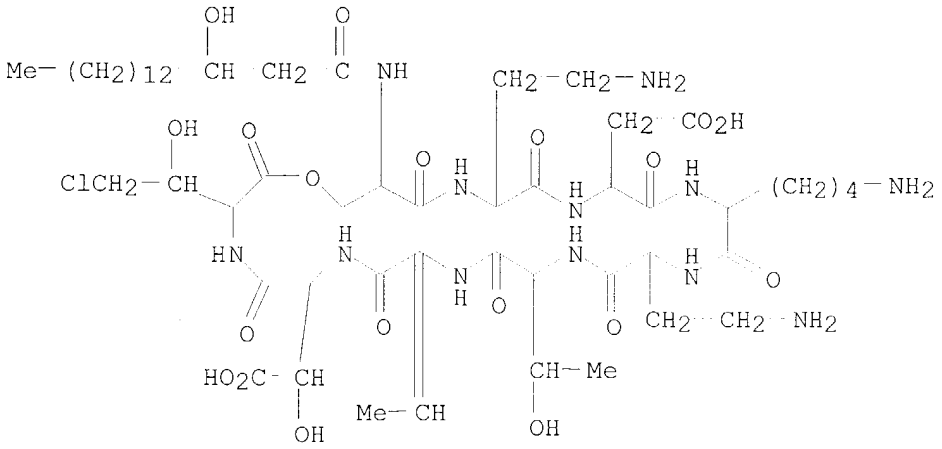
CM 1

CRN 321198-85-0
CMF C6 H6 O6



CM 2

CRN 162443-73-4
CMF C53 H91 Cl N12 O19



IT **108-00-9 762-72-1**, Trimethylallylsilane **765-30-0**
, Cyclopropylamine **37830-90-3 50353-00-9**,
o-Nitrophenyl chloroformate **139203-14-8**, Pseudomycin b
162443-73-4, Pseudomycin c' **321156-59-6**
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of pseudomycin prodrugs)

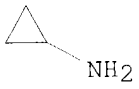
RN 108-00-9 HCAPLUS
CN 1,2-Ethanediamine, N,N-dimethyl- (9CI) (CA INDEX NAME)

$Me_2N-CH_2-CH_2-NH_2$

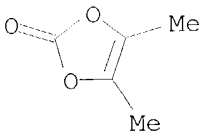
RN 762-72-1 HCAPLUS
CN Silane, trimethyl-2-propenyl- (9CI) (CA INDEX NAME)

$Me_3Si-CH_2-CH=CH_2$

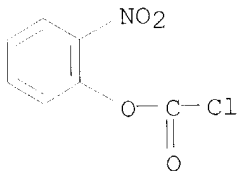
RN 765-30-0 HCAPLUS
CN Cyclopropanamine (9CI) (CA INDEX NAME)



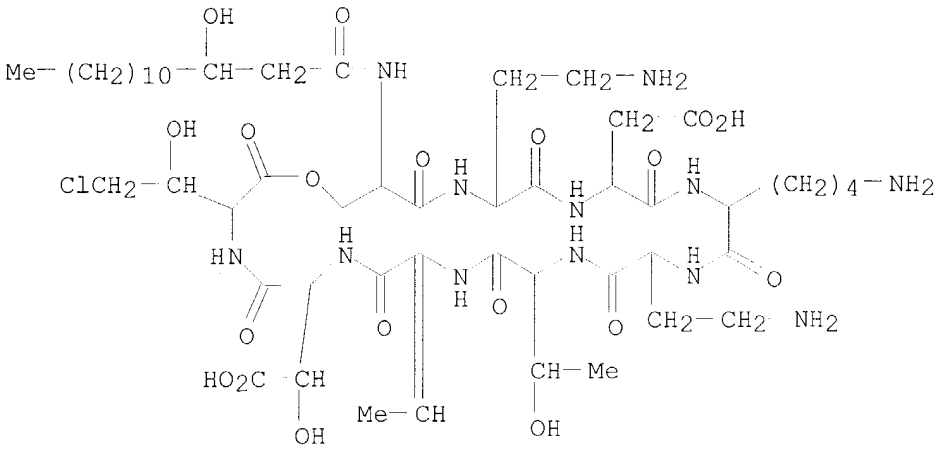
RN 37830-90-3 HCAPLUS
CN 1,3-Dioxol-2-one, 4,5-dimethyl- (9CI) (CA INDEX NAME)



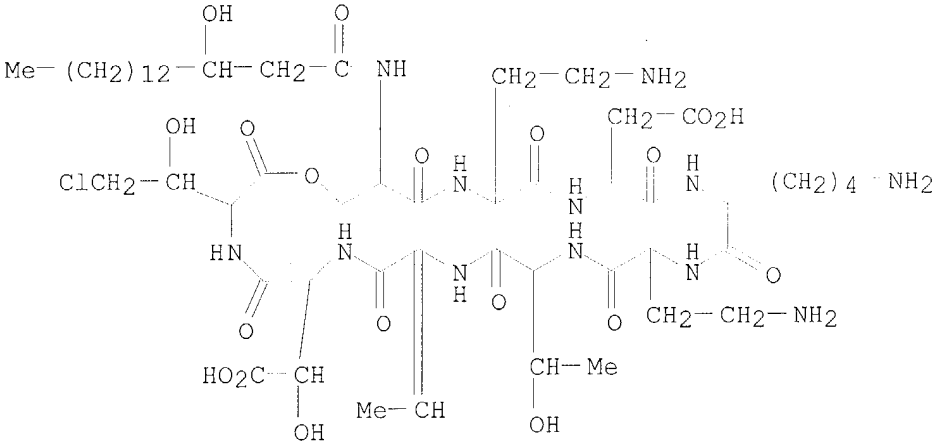
RN 50353-00-9 HCAPLUS
CN Carbonochloridic acid, 2-nitrophenyl ester (9CI) (CA INDEX NAME)



RN 139203-14-8 HCAPLUS
CN Pseudomycin B (9CI) (CA INDEX NAME)



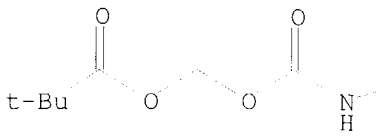
RN 162443-73-4 HCAPLUS
CN Pseudomycin C' (9CI) (CA INDEX NAME)

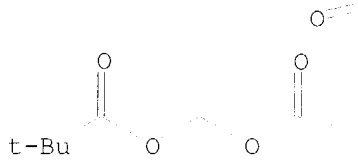


RN 321156-59-6 HCAPLUS
CN Pseudomycin B, 2-[(2R)-2-amino-4-[[[(2,2-dimethyl-1-oxopropoxy)methoxy]carbonyl]amino]butanoic acid]-4-[N6-[[(2,2-dimethyl-1-oxopropoxy)methoxy]carbonyl]-L-lysine]-5-[(2S)-2-amino-4-[[[(2,2-dimethyl-1-oxopropoxy)methoxy]carbonyl]amino]butanoic acid]- (9CI) (CA INDEX NAME)

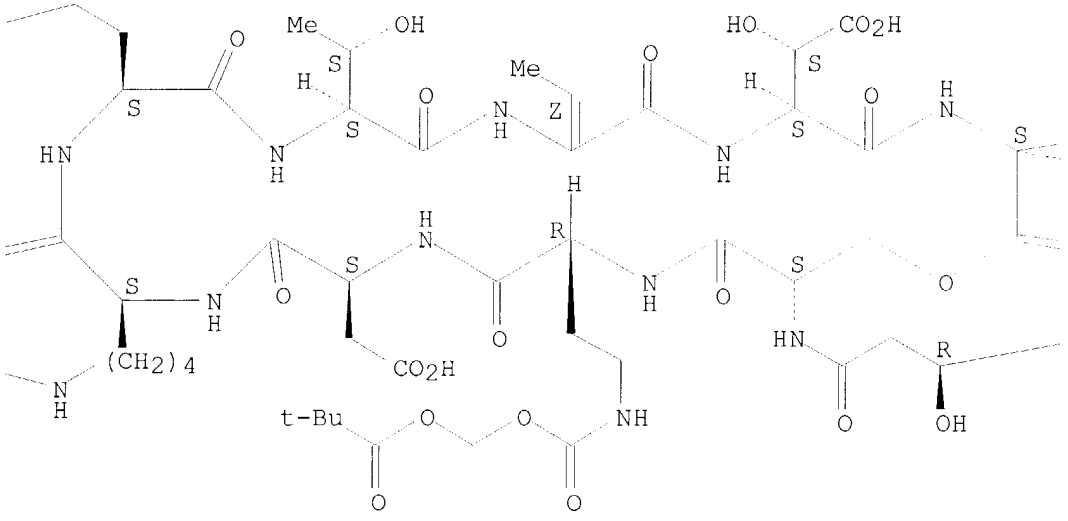
Absolute stereochemistry.
Double bond geometry as shown.

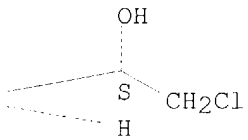
PAGE 1-A

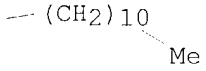




PAGE 1-B



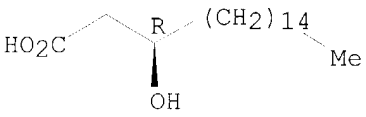




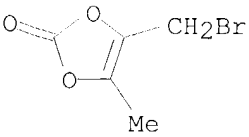
IT **14531-50-1P 80715-22-6P 91526-17-9P**
91526-18-0P 133217-71-7P 173604-87-0P
277758-37-9P 307557-76-2P 307557-82-0P
307557-83-1P 307557-88-6P 308110-75-0P
319497-03-5P 319497-09-1P 319497-10-4P
321156-52-9P 321156-53-0P 321156-54-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of pseudomycin prodrugs)

RN 14531-50-1 HCAPLUS
CN Octadecanoic acid, 3-hydroxy-, (3R)- (9CI) (CA INDEX NAME)

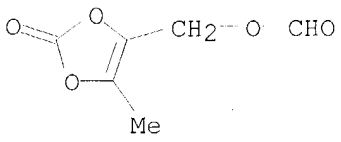
Absolute stereochemistry.



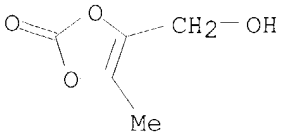
RN 80715-22-6 HCAPLUS
CN 1,3-Dioxol-2-one, 4-(bromomethyl)-5-methyl- (9CI) (CA INDEX NAME)



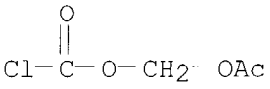
RN 91526-17-9 HCAPLUS
CN 1,3-Dioxol-2-one, 4-[(formyloxy)methyl]-5-methyl- (9CI) (CA INDEX NAME)



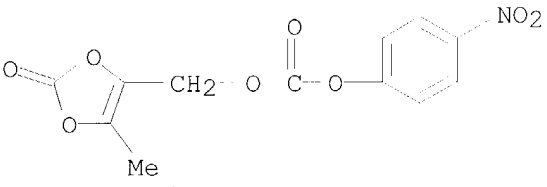
RN 91526-18-0 HCAPLUS
CN 1,3-Dioxol-2-one, 4-(hydroxymethyl)-5-methyl- (9CI) (CA INDEX NAME)



RN 133217-71-7 HCAPLUS
CN Carbonochloridic acid, (acetyloxy)methyl ester (9CI) (CA INDEX NAME)



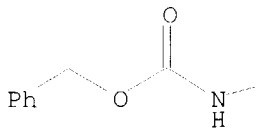
RN 173604-87-0 HCAPLUS
CN Carbonic acid, (5-methyl-2-oxo-1,3-dioxol-4-yl)methyl 4-nitrophenyl ester (9CI) (CA INDEX NAME)

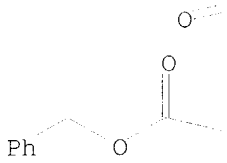


RN 277758-37-9 HCAPLUS
CN Pseudomycin B, 2-[(2R)-2-amino-4-[[(phenylmethoxy)carbonyl]amino]butanoic acid]-4-[N6-[(phenylmethoxy)carbonyl]-L-lysine]-5-[(2S)-2-amino-4-[[(phenylmethoxy)carbonyl]amino]butanoic acid]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

PAGE 1-A





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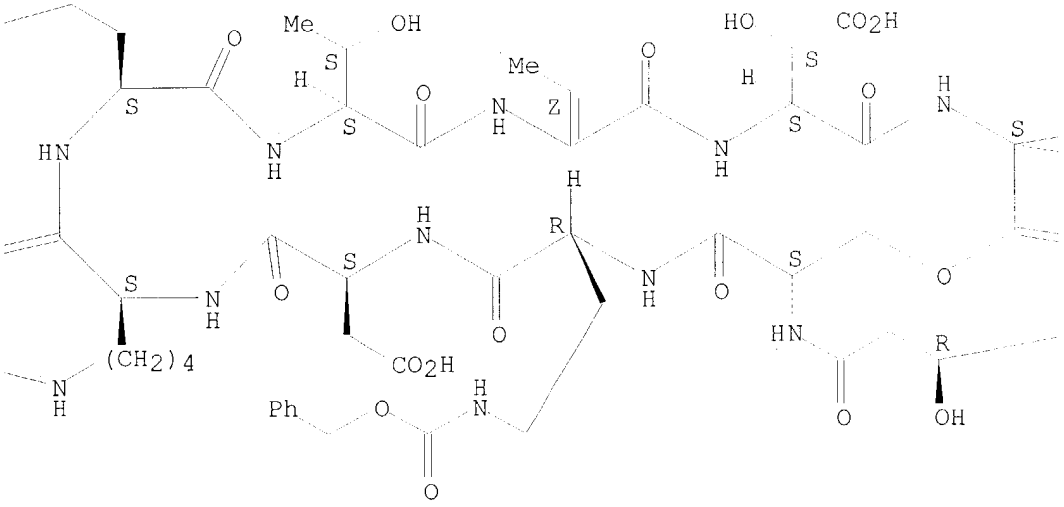
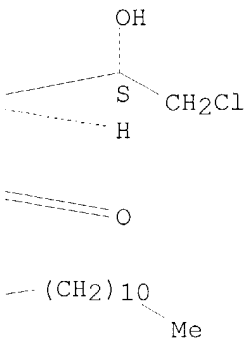
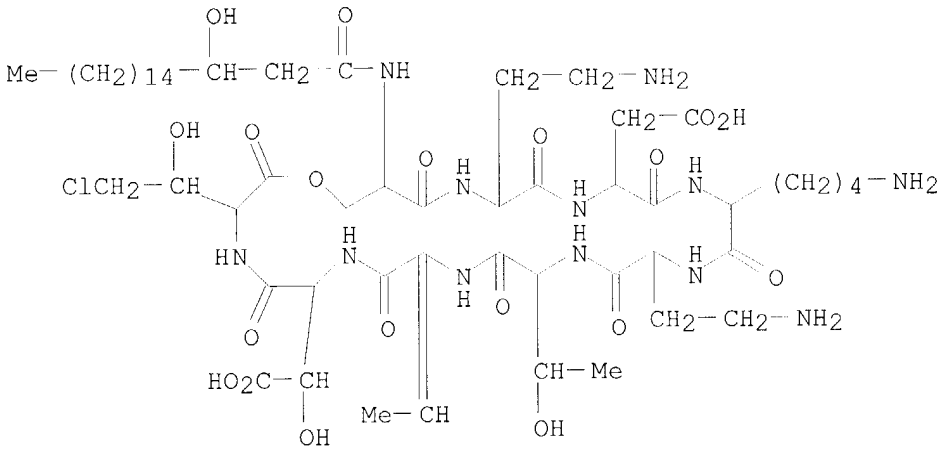


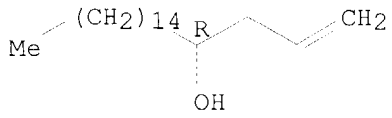


RN 307557-76-2 HCAPLUS
CN Pseudomycin A, 1-[N-[(3R)-3-hydroxy-1-oxooctadecyl]-L-serine]- (9CI) (CA INDEX NAME)



RN 307557-82-0 HCAPLUS
CN 1-Nonadecen-4-ol, (4R)- (9CI) (CA INDEX NAME)

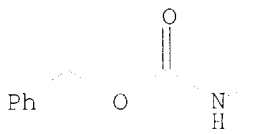
Absolute stereochemistry.

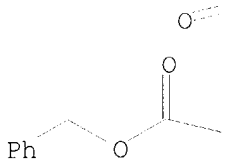


RN 307557-83-1 HCAPLUS
CN Pseudomycin A, 1-[N-[(3R)-3-hydroxy-1-oxooctadecyl]-L-serine]-2-[(2R)-2-amino-4-[[(phenylmethoxy)carbonyl]amino]butanoic acid]-4-[N6-[(phenylmethoxy)carbonyl]-L-lysine]-5-[(2S)-2-amino-4-[[(phenylmethoxy)carbonyl]amino]butanoic acid]- (9CI) (CA INDEX NAME)

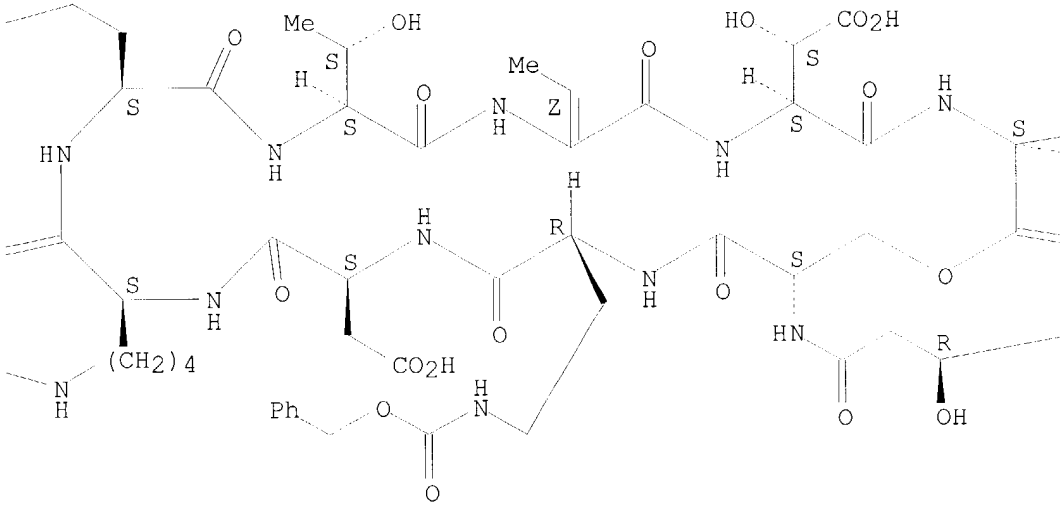
Absolute stereochemistry.
Double bond geometry as shown.

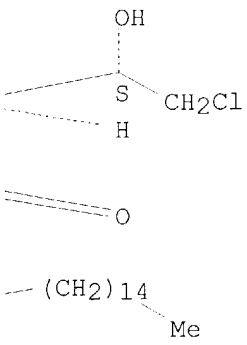
PAGE 1-A





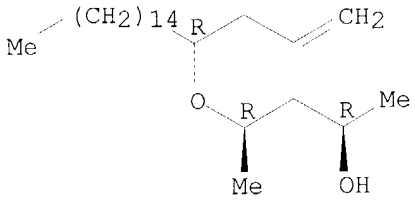
PAGE 1-B





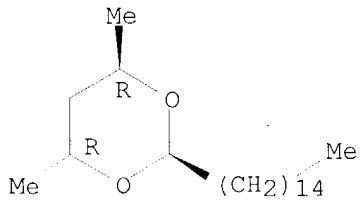
RN 307557-88-6 HCAPLUS
CN 2-Pentanol, 4-[[(1R)-1-(2-propenyl)hexadecyl]oxy]-, (2R,4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

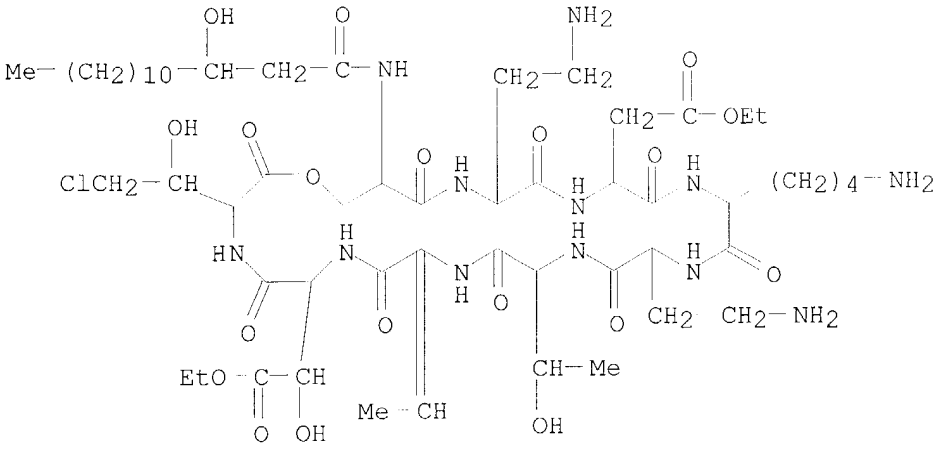


RN 308110-75-0 HCAPLUS
CN 1,3-Dioxane, 4,6-dimethyl-2-pentadecyl-, (4R,6R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



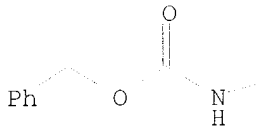
RN 319497-03-5 HCAPLUS
CN Pseudomycin B, diethyl ester (9CI) (CA INDEX NAME)

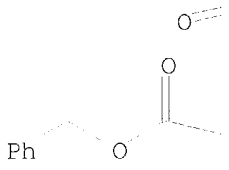


RN 319497-09-1 HCAPLUS
CN Pseudomycin B, 2-[(2R)-2-amino-4-[[(phenylmethoxy)carbonyl]amino]butanoic acid]-3-(N-cyclopropyl-L-asparagine)-4-[N6-[(phenylmethoxy)carbonyl]-L-lysine]-5-[(2S)-2-amino-4-[[(phenylmethoxy)carbonyl]amino]butanoic acid]- (9CI) (CA INDEX NAME)

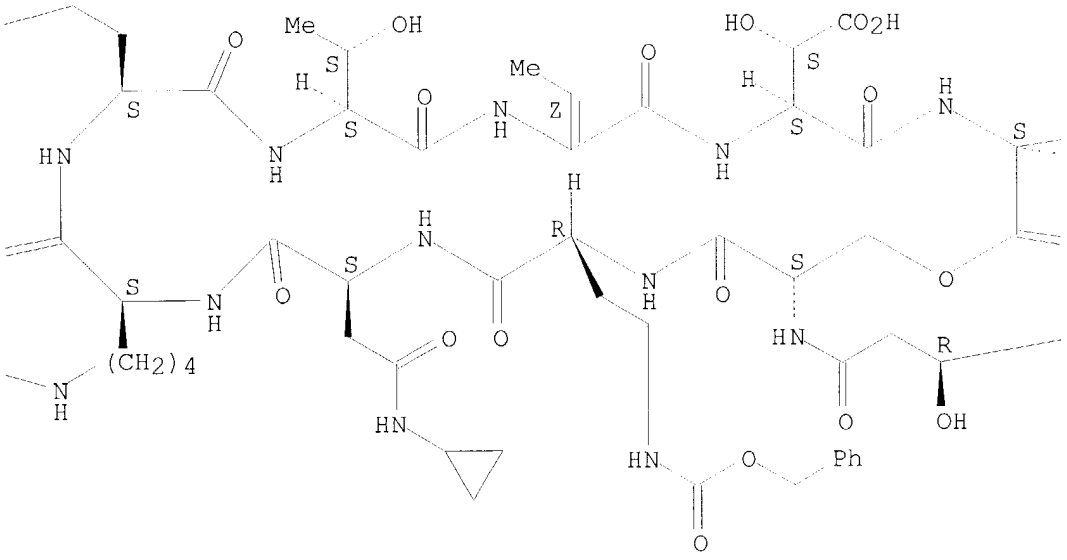
Absolute stereochemistry.
Double bond geometry as shown.

PAGE 1-A

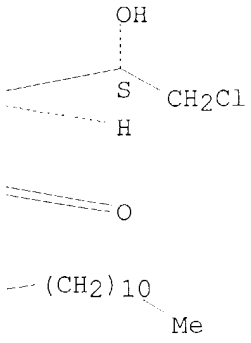




PAGE 1-B

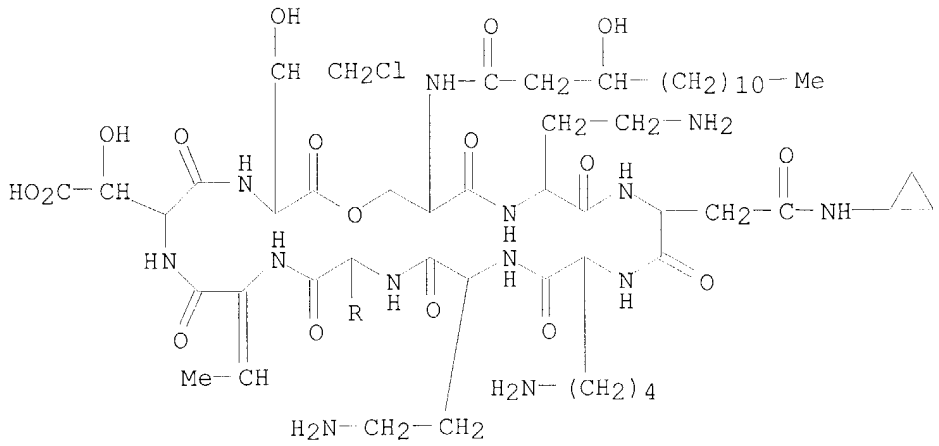


PAGE 1-C

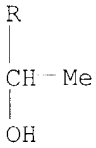


RN 319497-10-4 HCAPLUS
CN Pseudomycin B, 3-(N-cyclopropyl-L-asparagine)- (9CI) (CA INDEX NAME)

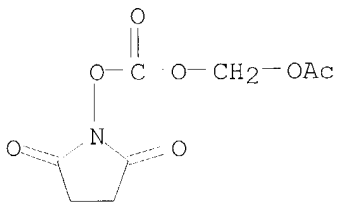
PAGE 1-A



PAGE 2-A

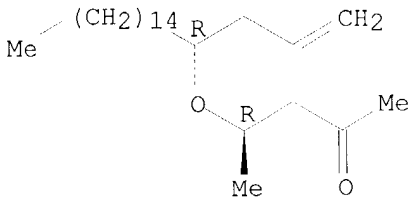


RN 321156-52-9 HCAPLUS
CN 2,5-Pyrrolidinedione, 1-[[[(acetyloxy)methoxy]carbonyl]oxy]- (9CI) (CA INDEX NAME)



RN 321156-53-0 HCAPLUS
CN 2-Pentanone, 4-[[(1R)-1-(2-propenyl)hexadecyl]oxy]-, (4R)- (9CI) (CA INDEX NAME)

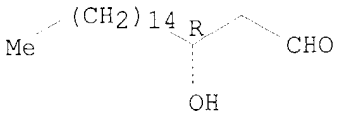
Absolute stereochemistry.



RN 321156-54-1 HCAPLUS

CN Octadecanal, 3-hydroxy-, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=>

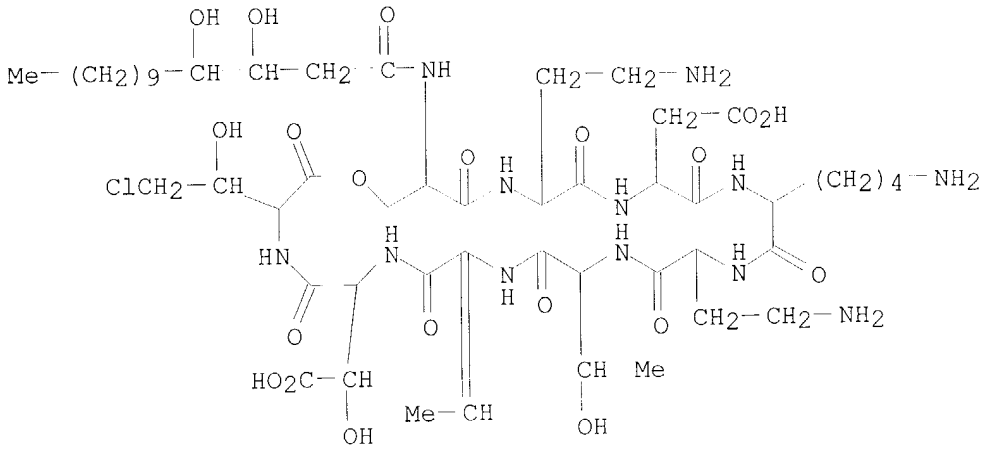
=> d ibib abs hitstr l59 1-26

L59 ANSWER 1 OF 26 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:720782 HCAPLUS
DOCUMENT NUMBER: 138:280577
TITLE: N-Acyl **prodrugs** and 3-amido pseudomycin analogs are effective and safe agents against systemic fungal infections
AUTHOR(S): Chen, Shu-Hui; Current, Williams; Rodriguez, Michael
CORPORATE SOURCE: Lilly Research Laboratories, Lilly Corporate Center, A Division of Eli Lilly and Company, Indianapolis, IN, 46285, USA
SOURCE: Frontiers of Biotechnology & Pharmaceuticals (2002), 3, 243-269
CODEN: FBPRBL
PUBLISHER: Science Press New York Ltd.
DOCUMENT TYPE: Journal; General Review
LANGUAGE: English

AB A review. Despite the promising antifungal activity demonstrated by pseudomycins, the potential clin. utility of these agents is compromised by the undesirable irritation occurring at the injection site. To circumvent the side effect mentioned herein, the authors decided to prepare novel pseudomycin analogs and **prodrugs**. In this review, the authors report the progress achieved along these lines.

IT **139203-13-7D**, Pseudomycin A, analogs **139203-14-8D**, Pseudomycin B, analogs **139203-15-9D**, Pseudomycin C, analogs
RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(N-acyl **prodrugs** and 3-amido pseudomycin analogs are effective and safe agents against systemic fungal infections)

RN 139203-13-7 HCAPLUS
CN Pseudomycin A (9CI) (CA INDEX NAME)



RN 139203-14-8 HCAPLUS
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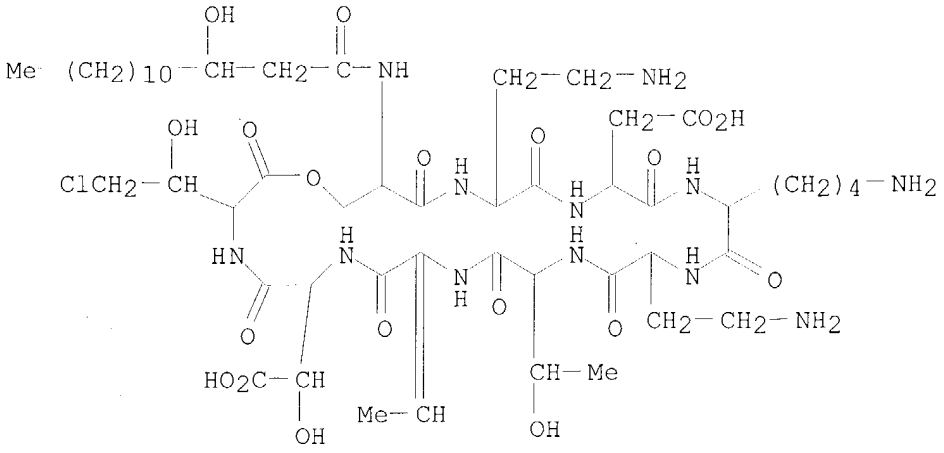

RN 139203-15-9 HCAPLUS
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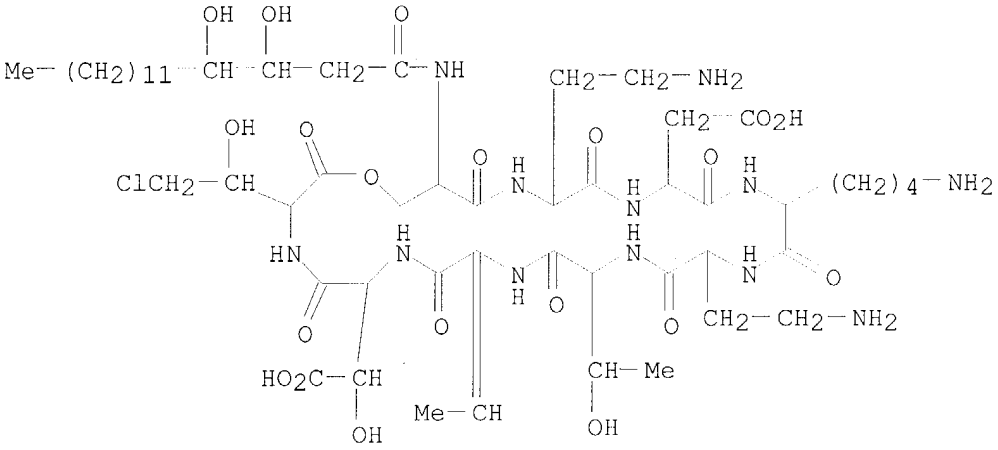

REFERENCE COUNT: 46 THERE ARE 46 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L59 ANSWER 2 OF 26 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:157491 HCAPLUS
DOCUMENT NUMBER: 136:195631
TITLE: Fungicidal use of pseudomycins against plant diseases
INVENTOR(S): Strobel, Gary A.; Rodriguez, Michael J.
PATENT ASSIGNEE(S): Research and Development Institute, Inc., USA; Eli Lilly and Company
SOURCE: PCT Int. Appl., 18 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

WO 2002015696 A2 20020228 WO 2001-US25724 20010817
WO 2002015696 A3 20020704
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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
AU 2001083420 A5 20020304 AU 2001-83420 20010817
EP 1326494 A2 20030716 EP 2001-962224 20010817
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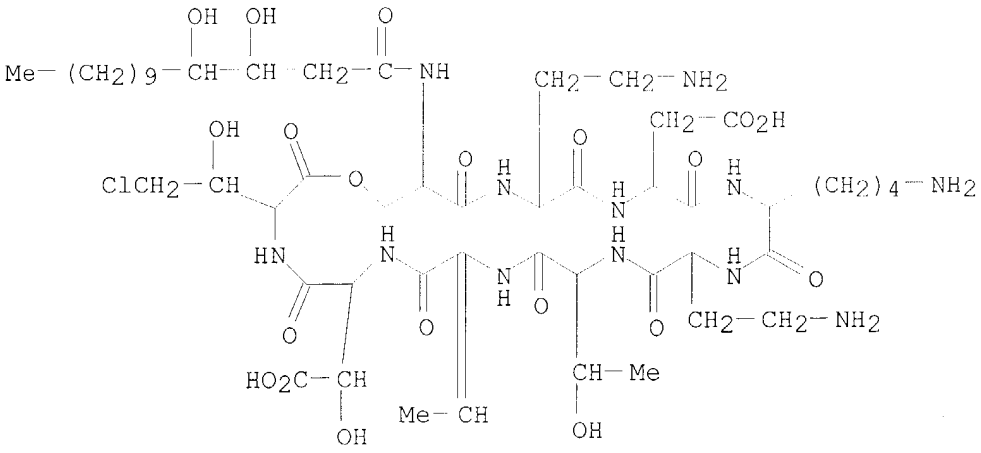
NO 2003000745 A 20030410 NO 2003-745 20030217
US 2004029797 A1 20040212 US 2003-343199 20030818
PRIORITY APPLN. INFO.: US 2000-226010P P 20000818
WO 2001-US25724 W 20010817

AB Plants and crops subject to attack by fungal related diseases, especially caused by Mycosphaerella spp., are protected or treated by the application of pseudomycin compns. which were originally isolated from Pseudomonas syringae.

IT **139203-13-7**, Pseudomycin A **139203-14-8**, Pseudomycin B
139203-15-9, Pseudomycin C **162443-73-4**, Pseudomycin C'
301533-14-2, Pseudomycin A' **301533-15-3**, Pseudomycin B'
RL: AGR (Agricultural use); BSU (Biological study, unclassified); BIOL (Biological study); USES (Uses)
(fungicidal use against plant diseases)

RN 139203-13-7 HCAPLUS
CN Pseudomycin A (9CI) (CA INDEX NAME)



RN 139203-14-8 HCAPLUS
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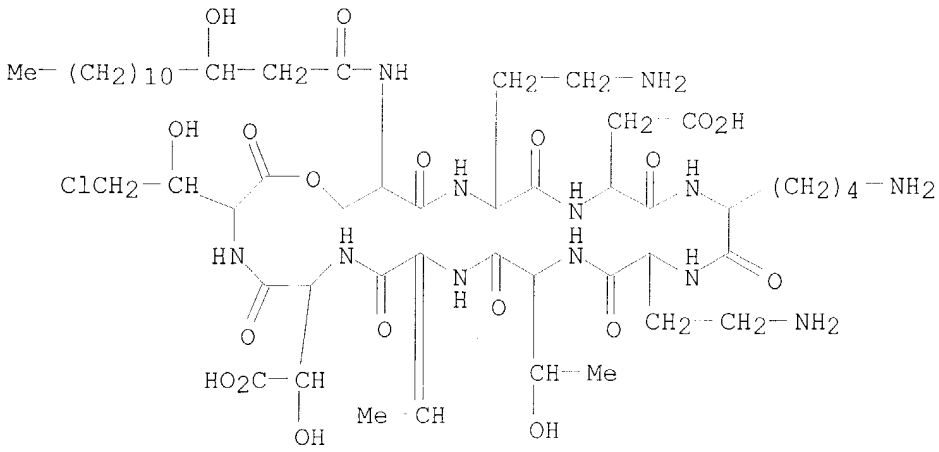
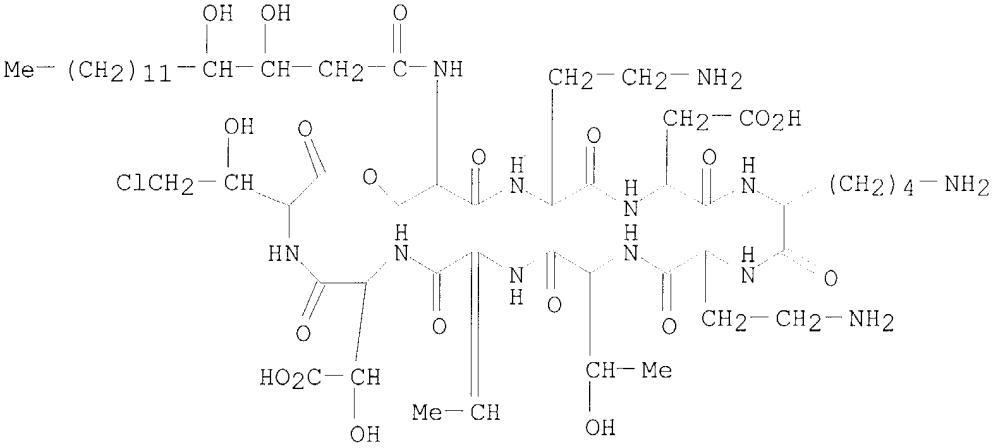
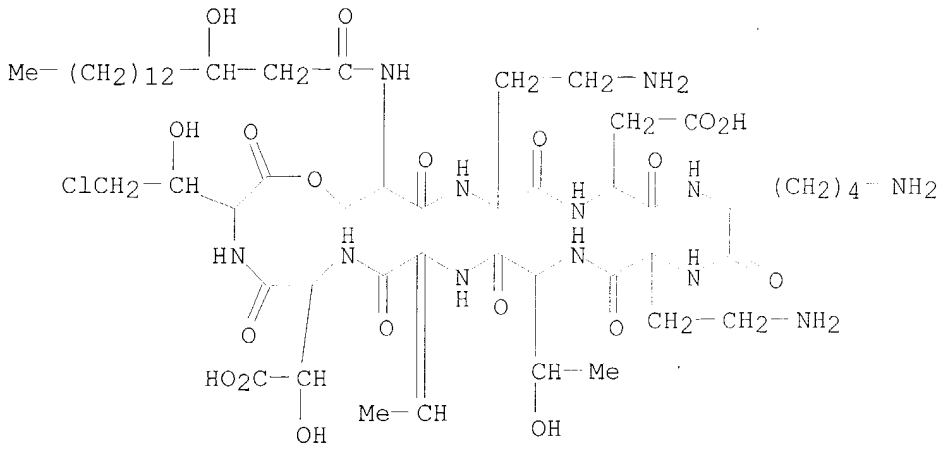


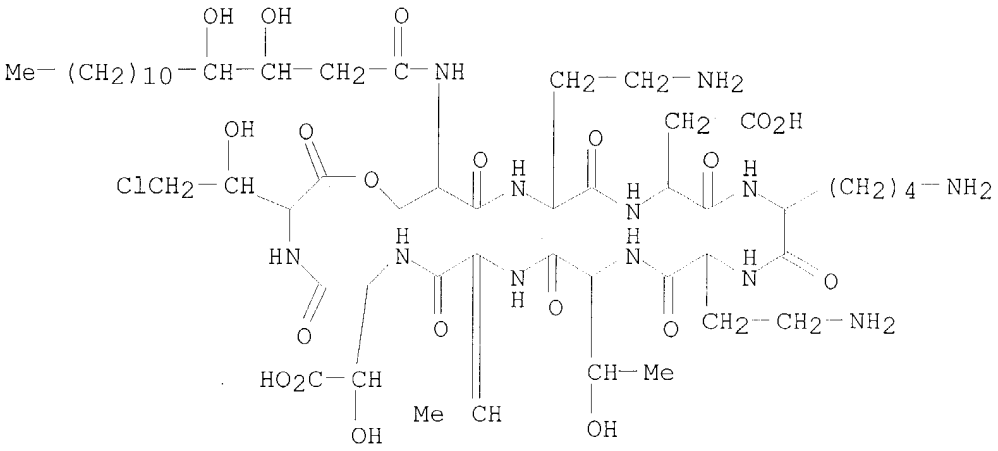
RN 139203-15-9 HCAPLUS
CN Pseudomycin C (9CI) (CA INDEX NAME)



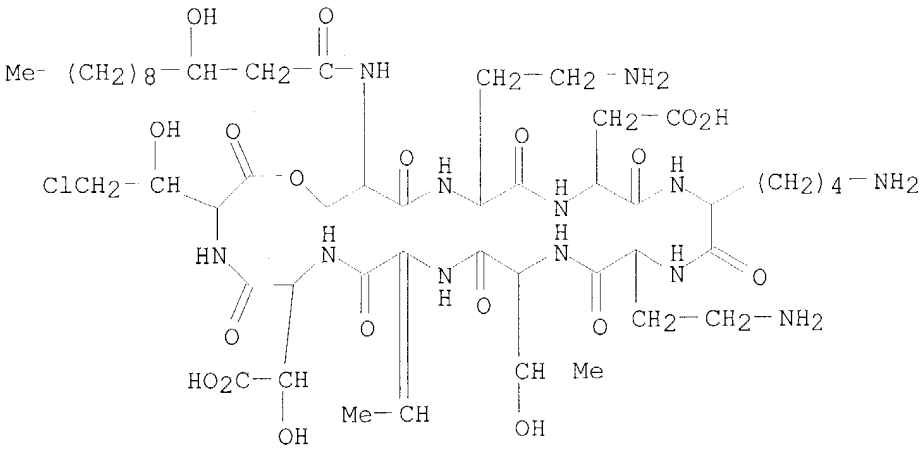
RN 162443-73-4 HCAPLUS
CN Pseudomycin C' (9CI) (CA INDEX NAME)



RN 301533-14-2 HCAPLUS
CN L-Threonine, N-(3,4-dihydroxy-1-oxopentadecyl)-L-seryl-(2R)-2,4-diaminobutanoyl-L-α-aspartyl-L-lysyl-(2S)-2,4-diaminobutanoyl-L-allothreonyl-(2Z)-2-amino-2-butenoyl-(3S)-3-hydroxy-L-α-aspartyl-4-chloro-, (9→13)-lactone (9CI) (CA INDEX NAME)



RN 301533-15-3 HCAPLUS
CN L-Threonine, N-(3-hydroxy-1-oxododecyl)-L-seryl-(2R)-2,4-diaminobutanoyl-L-α-aspartyl-L-lysyl-(2S)-2,4-diaminobutanoyl-L-allothreonyl-(2Z)-2-amino-2-butenoyl-(3S)-3-hydroxy-L-α-aspartyl-4-chloro-, (9→13)-lactone (9CI) (CA INDEX NAME)

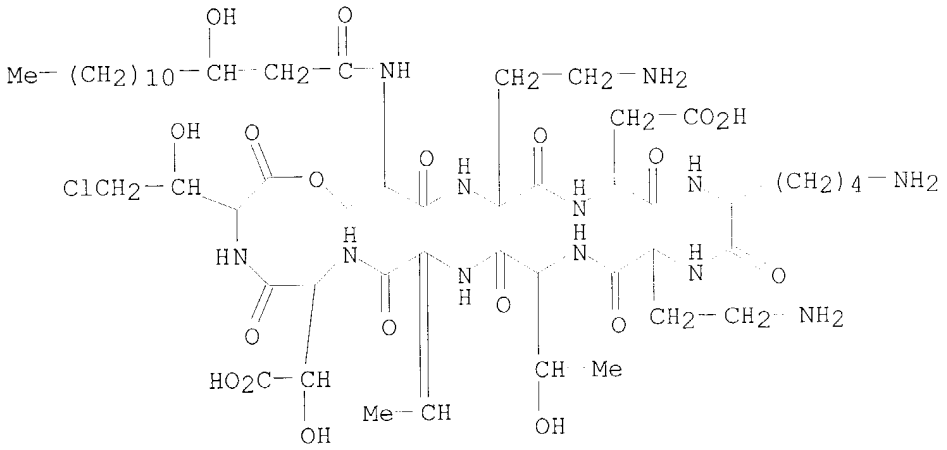


L59 ANSWER 3 OF 26 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:872202 HCAPLUS
DOCUMENT NUMBER: 136:232536
TITLE: Synthesis and evaluation of novel pseudomycin side-chain analogues. Part 3
AUTHOR(S): Sun, Xicheng; Zhang, Yan-Zhi; Zeckner, Doug; Current, William; Chen, Shu-Hui
CORPORATE SOURCE: Lilly Research Laboratories, Eli Lilly and Company, Indianapolis, IN, 46285, USA
SOURCE: Bioorganic & Medicinal Chemistry Letters (2001), 11(23), 3055-3059
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 136:232536

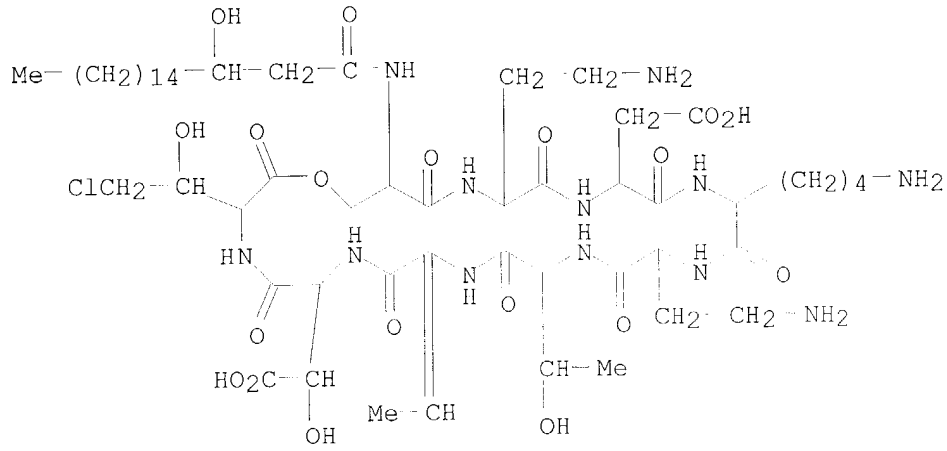
AB To increase the therapeutic utility of C-18 side-chain bearing pseudomycin analog (I), we prepared addnl. analogs and **prodrugs** of I containing further modifications at various positions within its core structure. Each of the newly synthesized derivs. exhibited reduced tail vein toxicity relative to the parent compound Some of the new pseudomycin derivs. also showed improved in vivo antifungal activity relative to its corresponding parent compound

IT **139203-14-8**, Pseudomycin B **307557-78-4**
319497-10-4 321156-59-6 344776-66-5
358365-69-2 372983-25-0 403656-42-8
RL: PAC (Pharmacological activity); BIOL (Biological study)
(preparation and antifungal activity of pseudomycin side-chain analogs with reduced toxicity effects)

RN 139203-14-8 HCAPLUS
CN Pseudomycin B (9CI) (CA INDEX NAME)

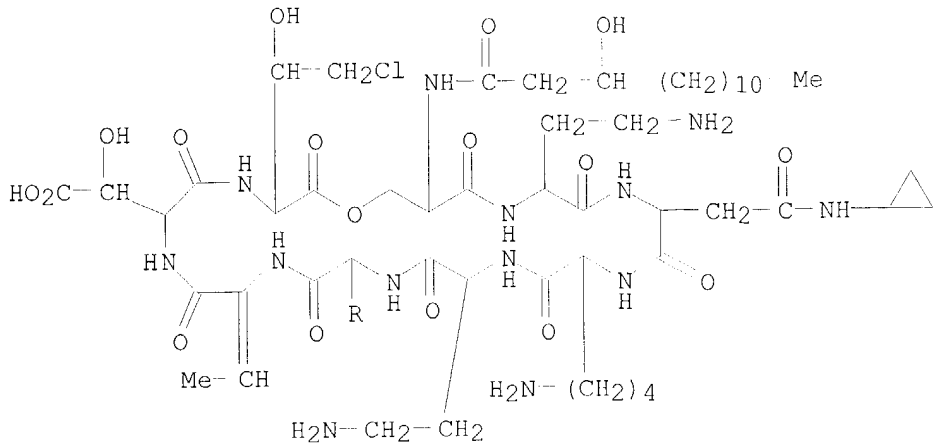


RN 307557-78-4 HCAPLUS
CN Pseudomycin A, 1-[N-(3-hydroxy-1-oxooctadecyl)-L-serine]- (9CI) (CA INDEX NAME)

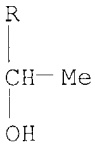


RN 319497-10-4 HCAPLUS
CN Pseudomycin B, 3-(N-cyclopropyl-L-asparagine)- (9CI) (CA INDEX NAME)

PAGE 1-A



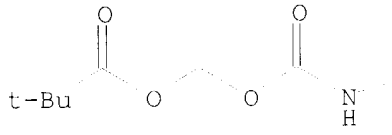
PAGE 2-A

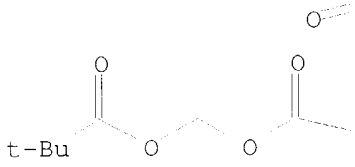


RN 321156-59-6 HCAPLUS
CN Pseudomycin B, 2-[(2R)-2-amino-4-[[[(2,2-dimethyl-1-oxopropoxy)methoxy]carbonyl]amino]butanoic acid]-4-[N6-[[(2,2-dimethyl-1-oxopropoxy)methoxy]carbonyl]-L-lysine]-5-[(2S)-2-amino-4-[[[(2,2-dimethyl-1-oxopropoxy)methoxy]carbonyl]amino]butanoic acid]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

PAGE 1-A





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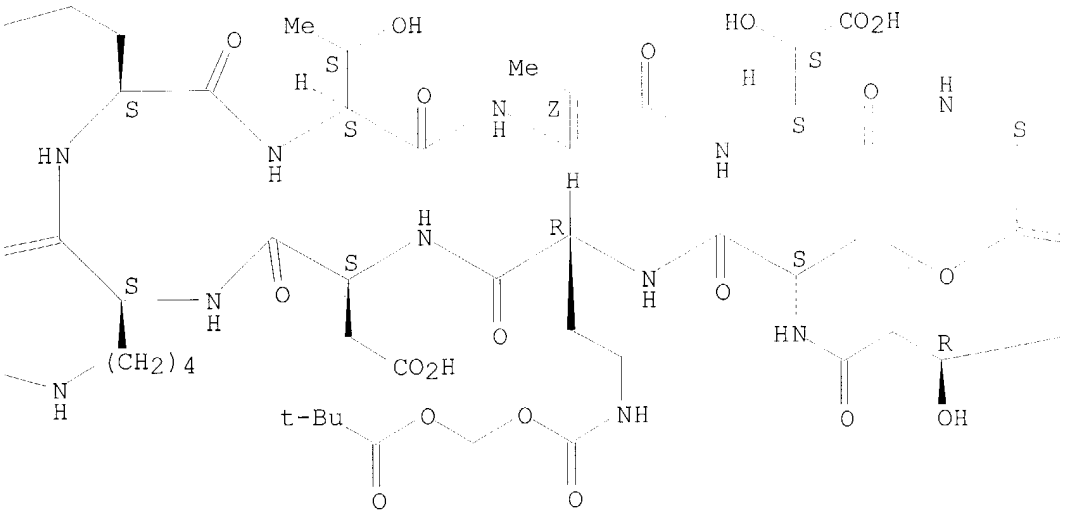

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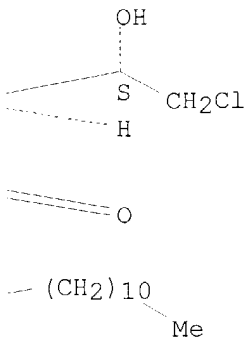
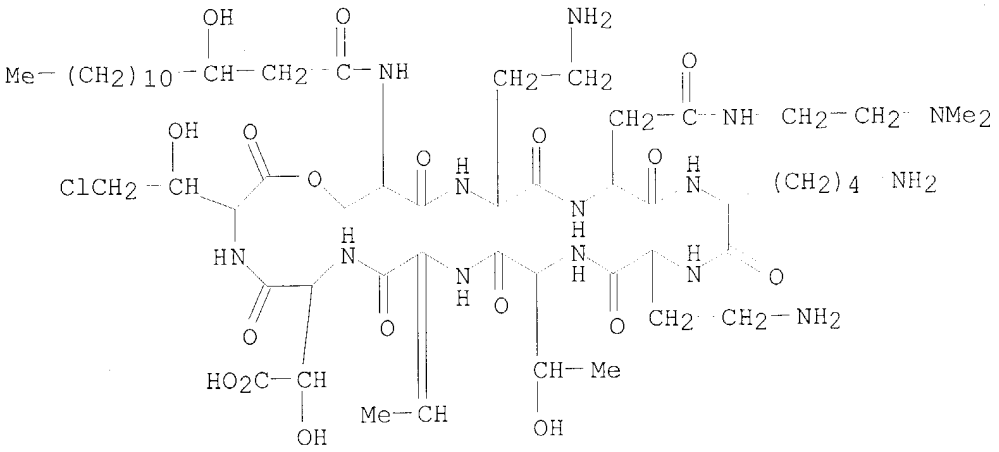


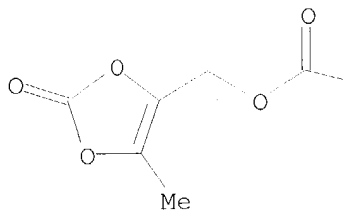
RN 344776-66-5 HCAPLUS
CN Pseudomycin B, 3-[N-[2-(dimethylamino)ethyl]-L-asparagine]- (9CI) (CA INDEX NAME)



RN 358365-69-2 HCAPLUS
CN Pseudomycin B, 2-[(2R)-2-amino-4-[[[(5-methyl-2-oxo-1,3-dioxol-4-yl)methoxy]carbonyl]amino]butanoic acid]-4-[N6-[[(5-methyl-2-oxo-1,3-dioxol-4-yl)methoxy]carbonyl]-L-lysine]-5-[(2S)-2-amino-4-[[[(5-methyl-2-oxo-1,3-dioxol-4-yl)methoxy]carbonyl]amino]butanoic acid]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

PAGE 1-A



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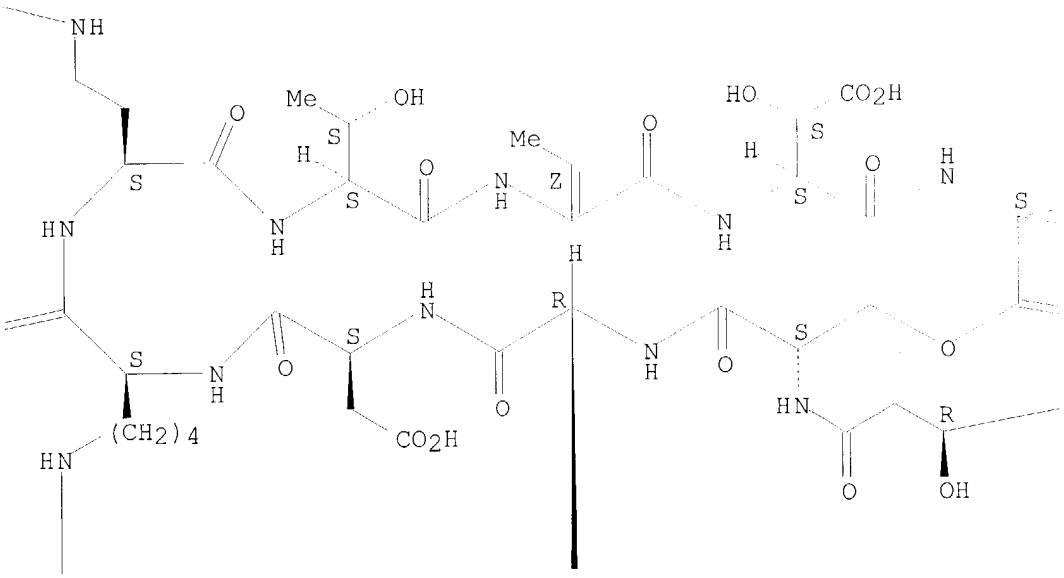

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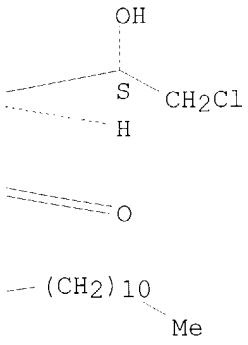

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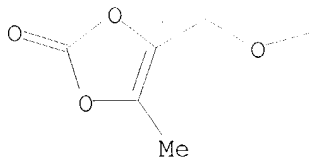

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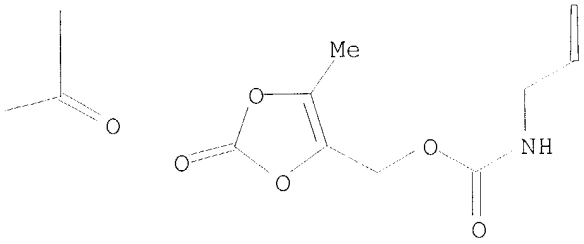
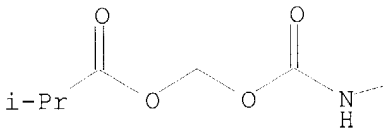
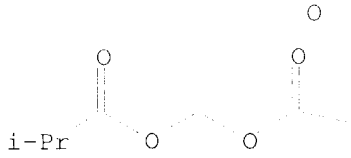


RN 372983-25-0 HCAPLUS
CN Pseudomycin B, 2-[(2R)-2-amino-4-[[[(2-methyl-1-oxopropoxy)methoxy]carbonyl]amino]butanoic acid]-4-[N6-[[(2-methyl-1-oxopropoxy)methoxy]carbonyl]-L-lysine]-5-[(2S)-2-amino-4-[[[(2-methyl-1-oxopropoxy)methoxy]carbonyl]amino]butanoic acid]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

PAGE 1-A





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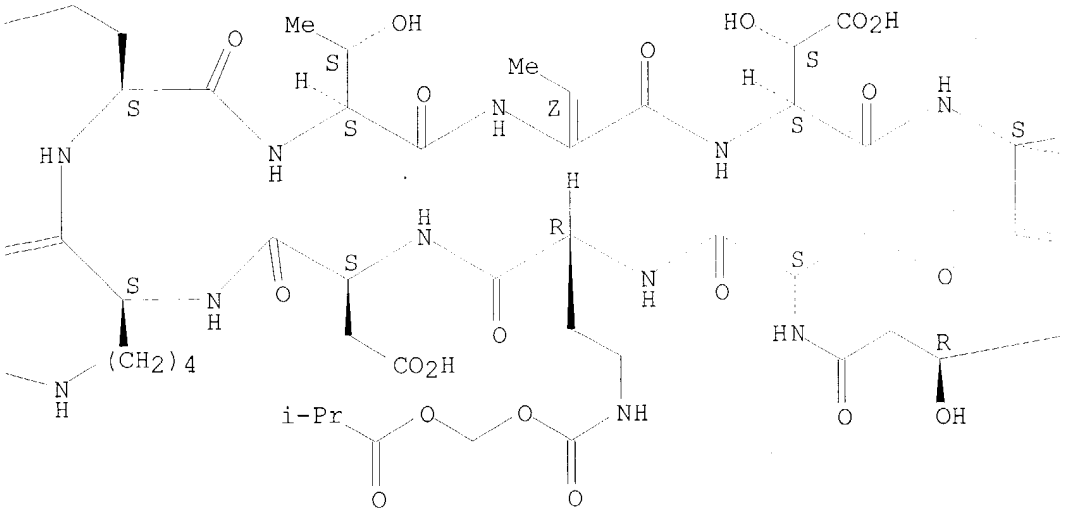

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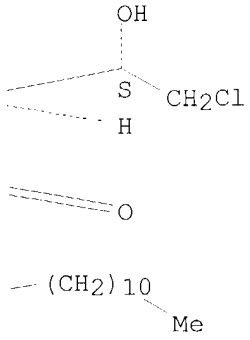
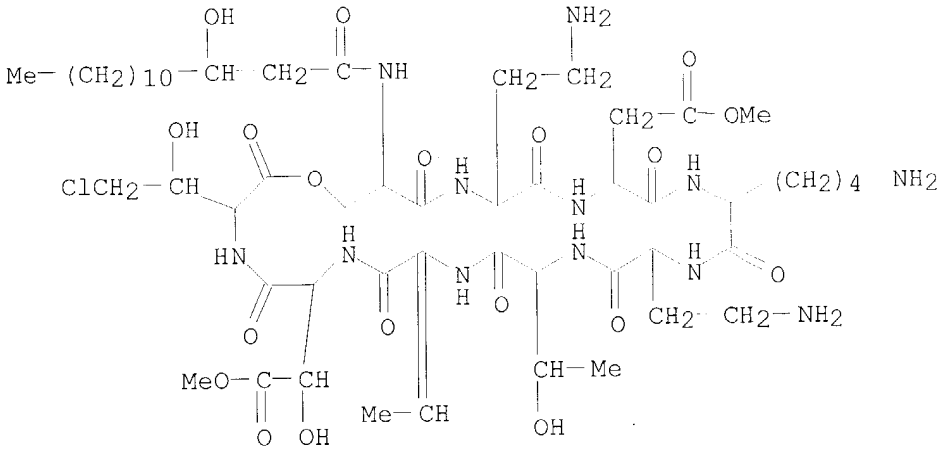
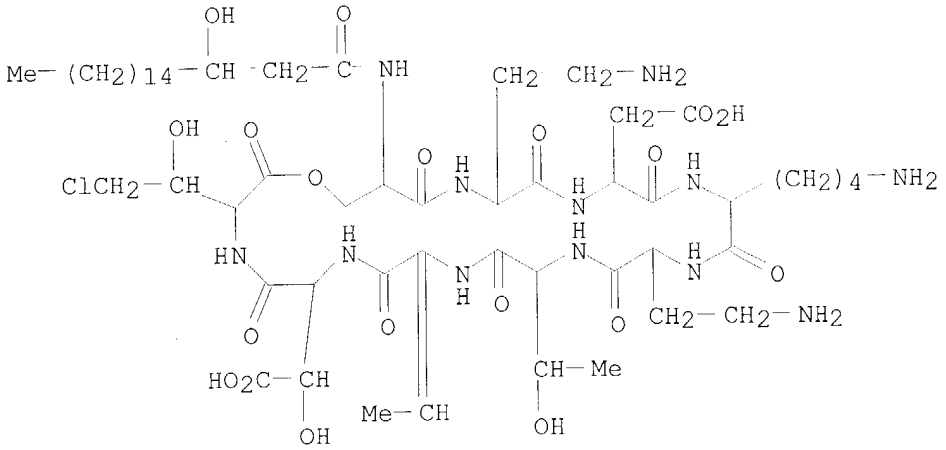


RN 403656-42-8 HCAPLUS
CN Pseudomycin B, dimethyl ester (9CI) (CA INDEX NAME)



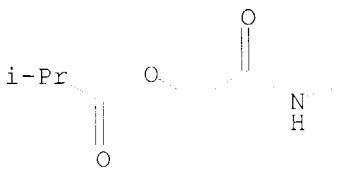
IT **307557-76-2**
RL: PAC (Pharmacological activity); RCT (Reactant); BIOL (Biological study); RACT (Reactant or reagent)
(preparation and antifungal activity of pseudomycin side-chain analogs with reduced toxicity effects)
RN 307557-76-2 HCAPLUS
CN Pseudomycin A, 1-[N-[(3R)-3-hydroxy-1-oxooctadecyl]-L-serine]- (9CI) (CA INDEX NAME)

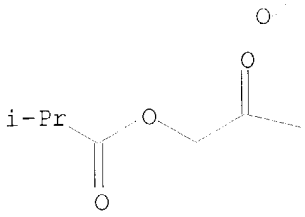


IT **403656-28-0P 403656-29-1P 403656-30-4P**
403656-33-7P 403656-35-9P 403656-37-1P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and antifungal activity of pseudomycin side-chain analogs with reduced toxicity effects)
RN 403656-28-0 HCAPLUS
CN Pseudomycin A, 1-[N-[(3R)-3-hydroxy-1-oxooctadecyl]-L-serine]-2-[(2R)-2-amino-4-[[(2-methyl-1-oxopropoxy)acetyl]amino]butanoic acid]-4-[N6-[(2-methyl-1-oxopropoxy)acetyl]-L-lysine]-5-[(2S)-2-amino-4-[[(2-methyl-1-oxopropoxy)acetyl]amino]butanoic acid]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

PAGE 1-A





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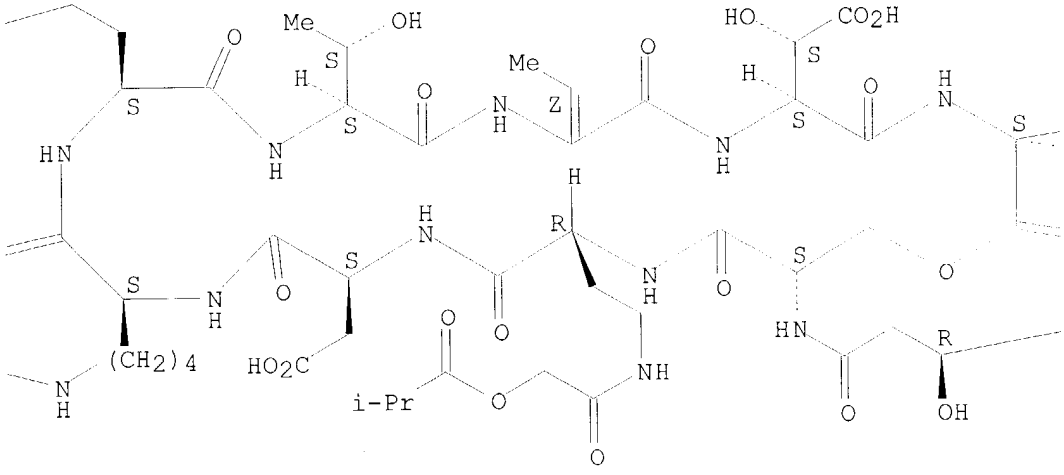

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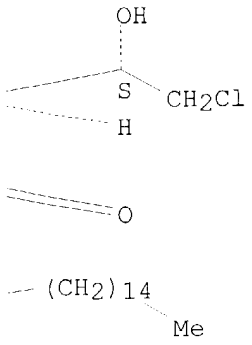
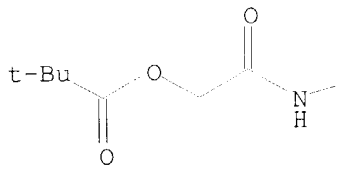
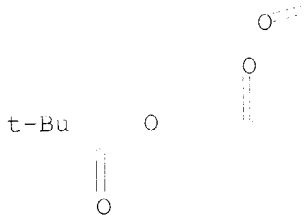


RN 403656-29-1 HCAPLUS
CN Pseudomycin A, 1-[N-[(3R)-3-hydroxy-1-oxooctadecyl]-L-serine]-2-[(2R)-2-amino-4-[[(2,2-dimethyl-1-oxopropoxy)acetyl]amino]butanoic acid]-4-[N6-[(2,2-dimethyl-1-oxopropoxy)acetyl]-L-lysine]-5-[(2S)-2-amino-4-[[(2,2-dimethyl-1-oxopropoxy)acetyl]amino]butanoic acid]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

PAGE 1-A





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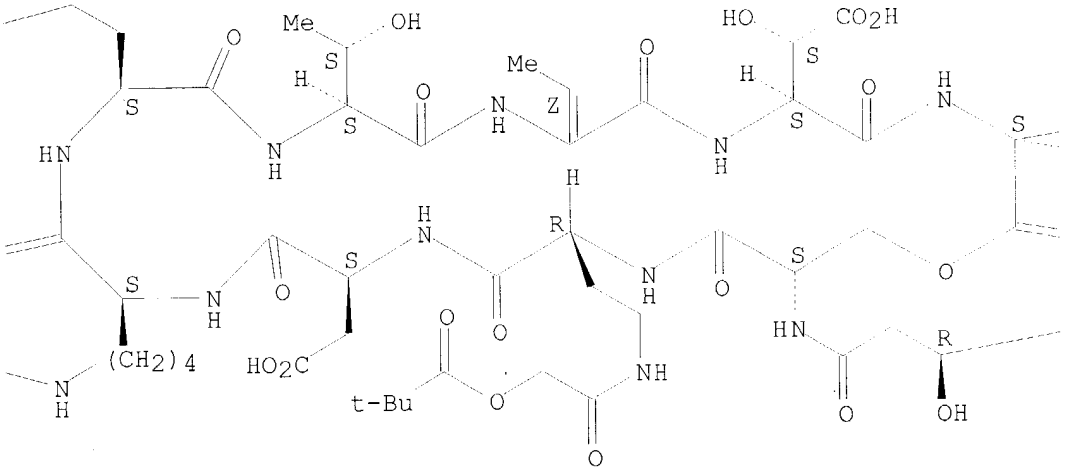

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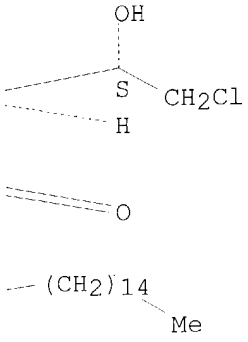

RN 403656-30-4 HCAPLUS
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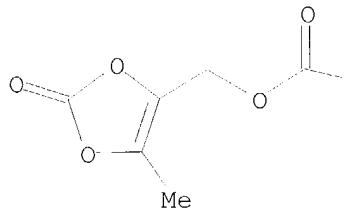
Absolute stereochemistry.
Double bond geometry as shown.

PAGE 1-A



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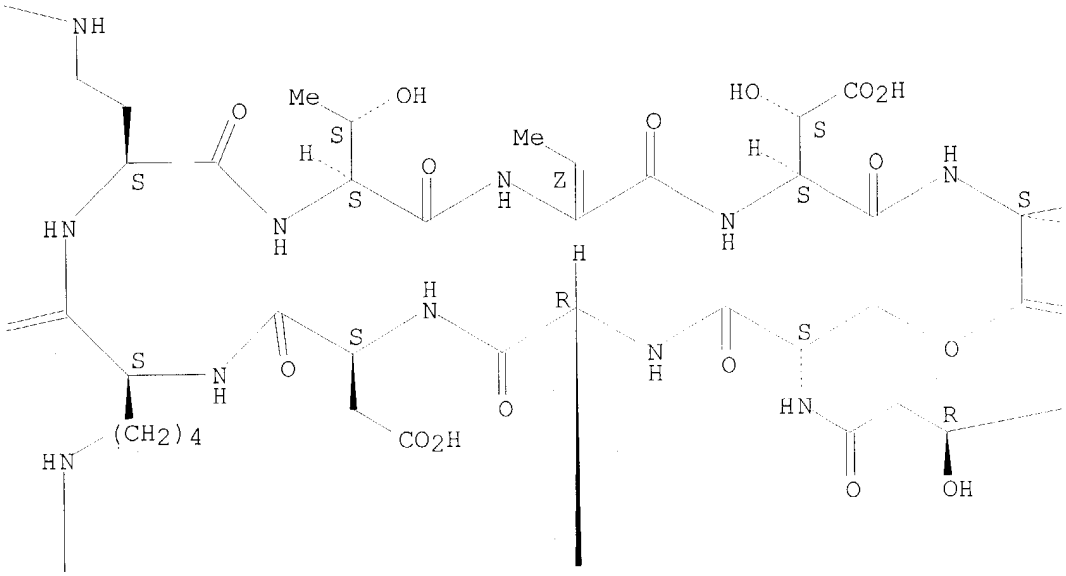

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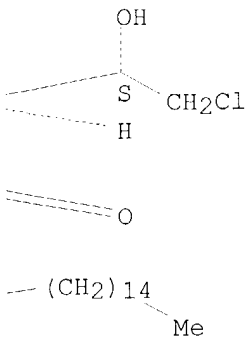

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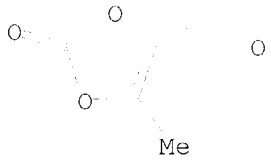
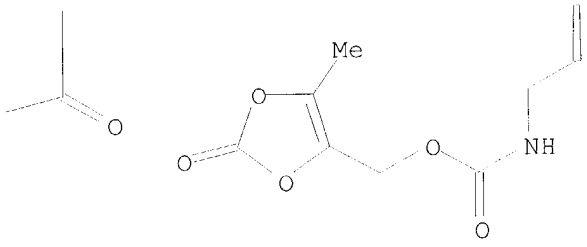
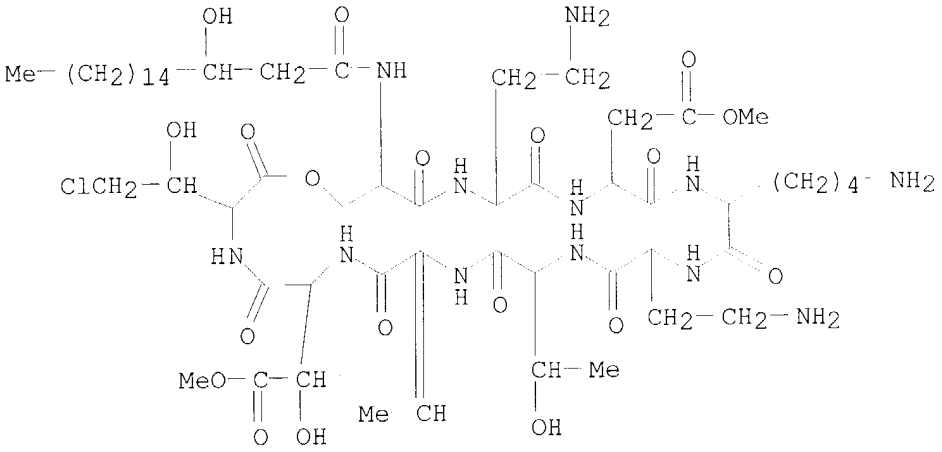


PAGE 2-B

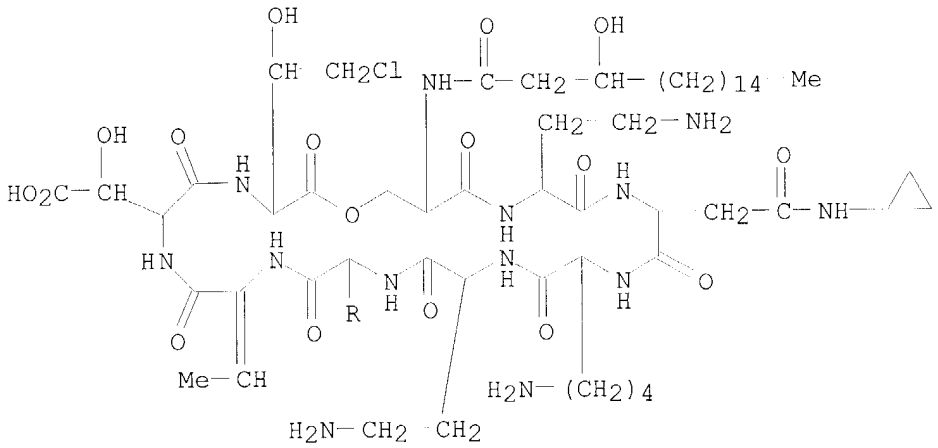


RN 403656-33-7 HCAPLUS
CN Pseudomycin A, 1-[N-[(3R)-3-hydroxy-1-oxooctadecyl]-L-serine]-, dimethyl ester (9CI) (CA INDEX NAME)

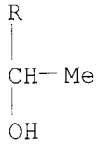


RN 403656-35-9 HCAPLUS
CN Pseudomycin A, 1-[N-[(3R)-3-hydroxy-1-oxooctadecyl]-L-serine]-3-(N-cyclopropyl-L-asparagine)- (9CI) (CA INDEX NAME)

PAGE 1-A

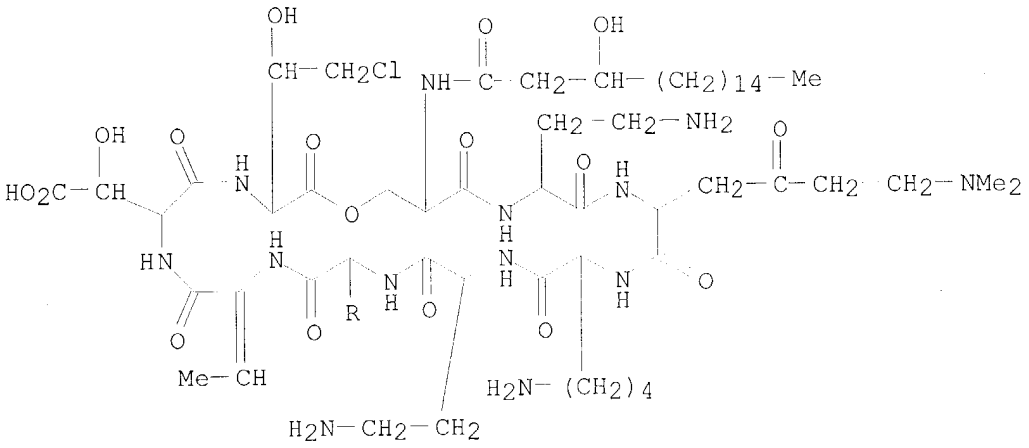


PAGE 2-A

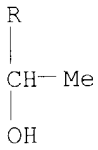


RN 403656-37-1 HCAPLUS
CN Pseudomycin A, 1-[N-[(3R)-3-hydroxy-1-oxooctadecyl]-L-serine]-3-(N6,N6-dimethyl-4-oxo-L-lysine)- (9CI) (CA INDEX NAME)

PAGE 1-A



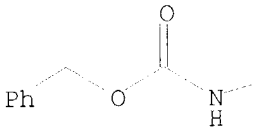
PAGE 2-A

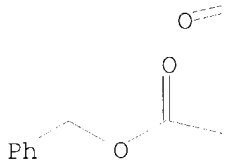


IT **307557-83-1**
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation and antifungal activity of pseudomycin side-chain analogs with reduced toxicity effects)
RN 307557-83-1 HCAPLUS
CN Pseudomycin A, 1-[N-[(3R)-3-hydroxy-1-oxooctadecyl]-L-serine]-2-[(2R)-2-amino-4-[[(phenylmethoxy)carbonyl]amino]butanoic acid]-4-[N6-[(phenylmethoxy)carbonyl]-L-lysine]-5-[(2S)-2-amino-4-[[(phenylmethoxy)carbonyl]amino]butanoic acid]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

PAGE 1-A





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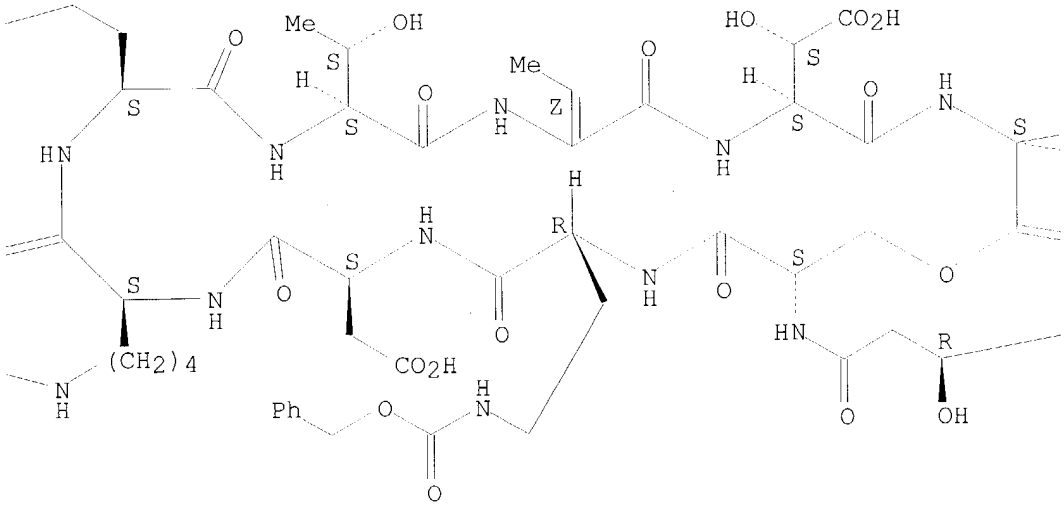

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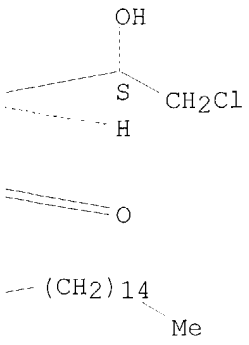


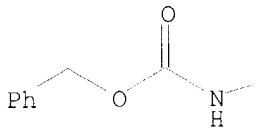
IT **403656-32-6P 403656-34-8P 403656-36-0P**
403656-41-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and antifungal activity of pseudomycin side-chain analogs with reduced toxicity effects)

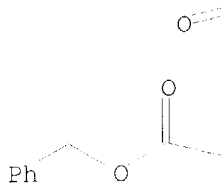
RN 403656-32-6 HCAPLUS

CN Pseudomycin A, 1-[N-[(3R)-3-hydroxy-1-oxooctadecyl]-L-serine]-2-[(2R)-2-amino-4-[[(phenylmethoxy)carbonyl]amino]butanoic acid]-4-[N6-[(phenylmethoxy)carbonyl]-L-lysine]-5-[(2S)-2-amino-4-[[(phenylmethoxy)carbonyl]amino]butanoic acid]-, dimethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

PAGE 1-A





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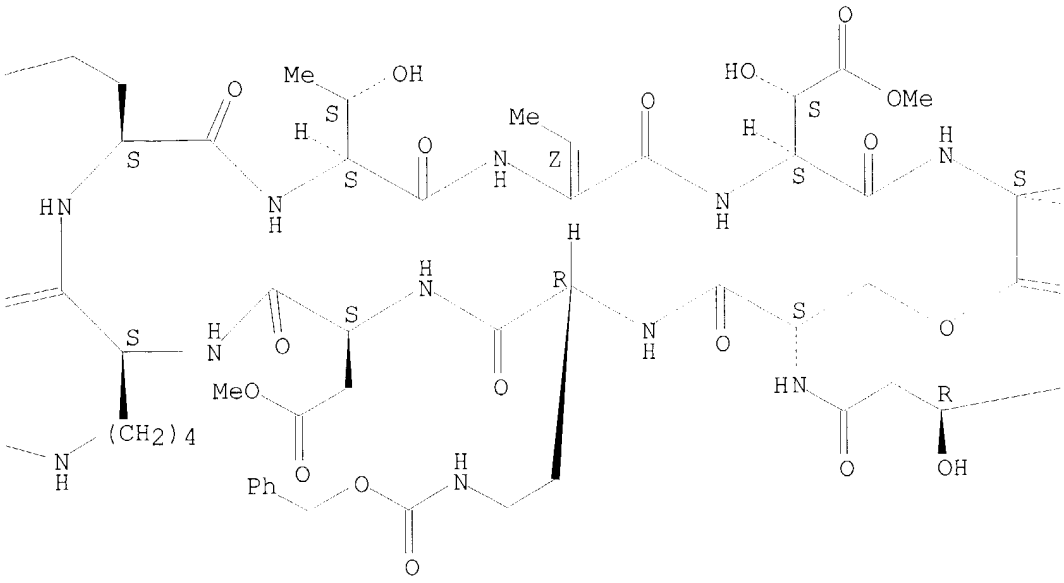

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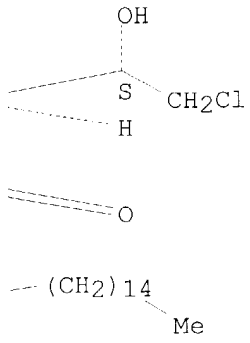
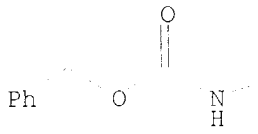
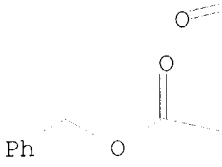


RN 403656-34-8 HCAPLUS
CN Pseudomycin A, 1-[N-[(3R)-3-hydroxy-1-oxooctadecyl]-L-serine]-2-[(2R)-2-amino-4-[[(phenylmethoxy)carbonyl]amino]butanoic acid]-3-[(αS)-α-amino-γ-oxocyclopropanebutanoic acid]-4-[N6-[(phenylmethoxy)carbonyl]-L-lysine]-5-[(2S)-2-amino-4-[[(phenylmethoxy)carbonyl]amino]butanoic acid]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

PAGE 1-A





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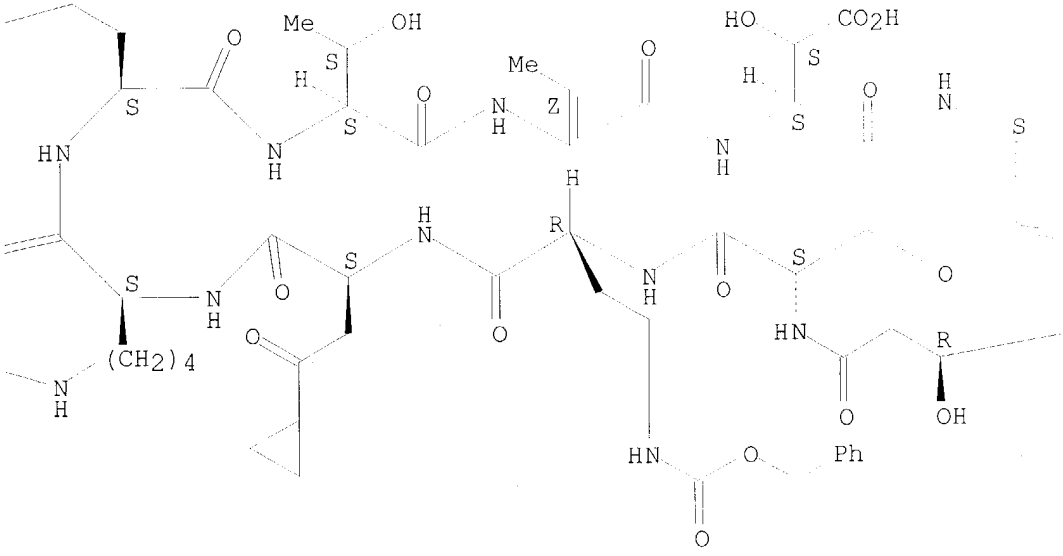

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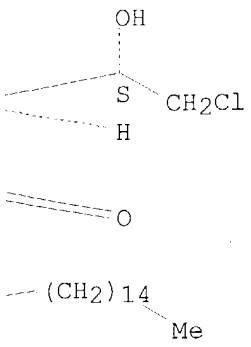
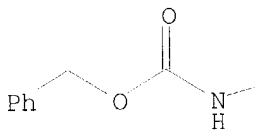
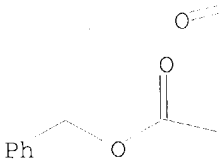


RN 403656-36-0 HCAPLUS
CN Pseudomycin A, 1-[N-[(3R)-3-hydroxy-1-oxooctadecyl]-L-serine]-2-[(2R)-2-amino-4-[[(phenylmethoxy)carbonyl]amino]butanoic acid]-3-(N6,N6-dimethyl-4-oxo-L-lysine)-4-[N6-[(phenylmethoxy)carbonyl]-L-lysine]-5-[(2S)-2-amino-4-[[(phenylmethoxy)carbonyl]amino]butanoic acid]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

PAGE 1-A





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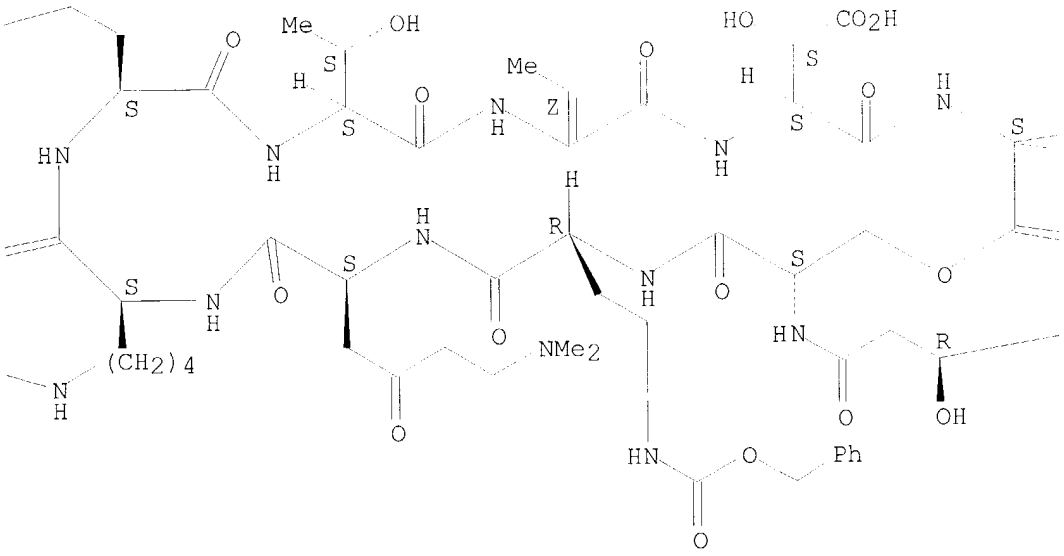

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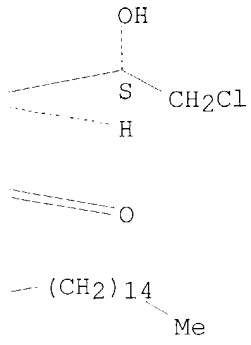
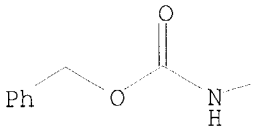
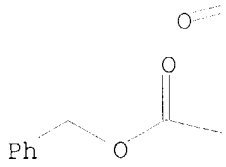


RN 403656-41-7 HCAPLUS
CN Pseudomycin A, 1-[N-[(3R)-3-hydroxy-1-oxooctadecyl]-L-serine]-2-[(2R)-2-amino-4-[[(phenylmethoxy)carbonyl]amino]butanoic acid]-3-(N-cyclopropyl-L-asparagine)-4-[N6-[(phenylmethoxy)carbonyl]-L-lysine]-5-[(2S)-2-amino-4-[[(phenylmethoxy)carbonyl]amino]butanoic acid]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

PAGE 1-A





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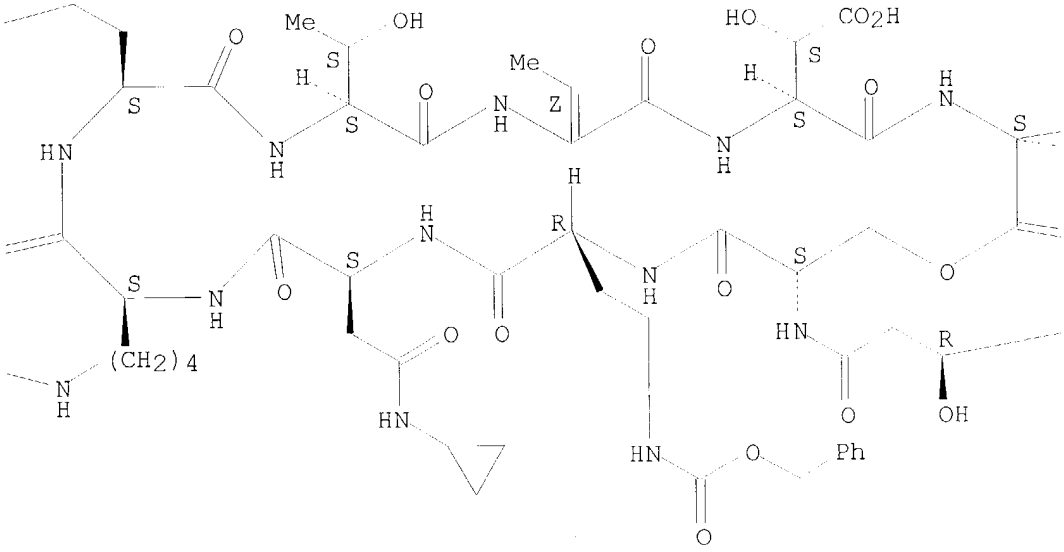
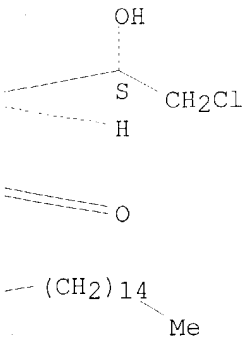




REFERENCE COUNT: 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

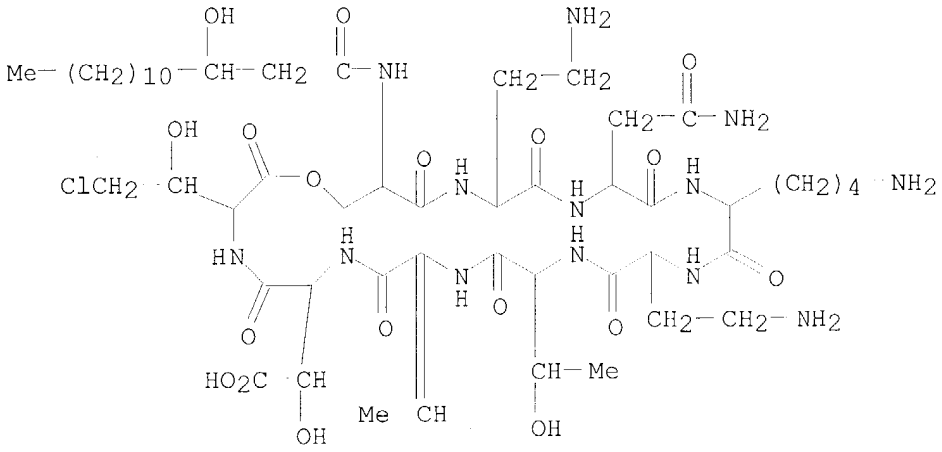
L59 ANSWER 4 OF 26 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:518617 HCAPLUS
DOCUMENT NUMBER: 135:352328
TITLE: **Prodrugs** of 3-amido bearing pseudomycin analogues: novel antifungal agents
AUTHOR(S): Sun, X.; Zeckner, D.; Zhang, Y.; Sachs, R. K.; Current, W.; Rodriguez, M.; Chen, S.-H.
CORPORATE SOURCE: Lilly Research Laboratory, A Division of Eli Lilly and Company, Lilly Corporate Center, Indianapolis, IN, 46285, USA
SOURCE: Bioorganic & Medicinal Chemistry Letters (2001), 11(14), 1881-1884
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English

AB With the aim of identifying safer pseudomycin derivs., we synthesized and evaluated a number of N-acyloxymethyl carbamate linked **prodrugs** of 3-amido pseudomycin analogs. To our satisfaction, all of the **prodrug**-amide combinations prepared exhibited good in vivo efficacy against murine Candidiasis. When evaluated in a dose elevation study, all of the newly synthesized combinations (e.g., 4A, 6A, 8A, and 8B) demonstrated improved toxicity profiles in comparison to their corresponding 3-amides as well as the parent pseudomycin B.

IT **319497-07-9P 319497-10-4P 321156-60-9P**
344620-68-4P 344620-74-2P 344620-82-2P
344776-66-5P 372983-26-1P 372983-27-2P
372983-28-3P 372983-29-4P 372983-30-7P
372983-31-8P 372983-32-9P 372983-33-0P
RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of **prodrugs** of 3-amido bearing pseudomycin analogs as novel antifungal agents)

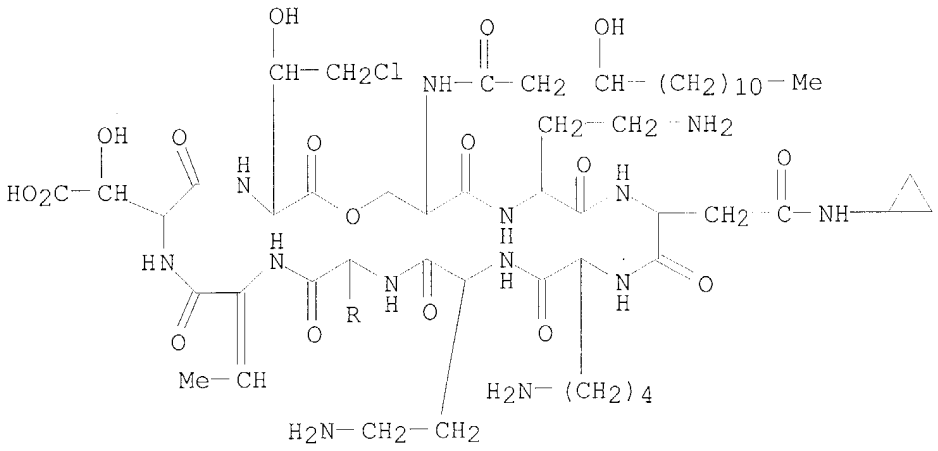
RN 319497-07-9 HCAPLUS

CN Pseudomycin B, 3-L-asparagine- (9CI) (CA INDEX NAME)



RN 319497-10-4 HCAPLUS
CN Pseudomycin B, 3-(N-cyclopropyl-L-asparagine)- (9CI) (CA INDEX NAME)

PAGE 1-A



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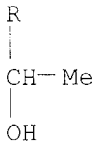
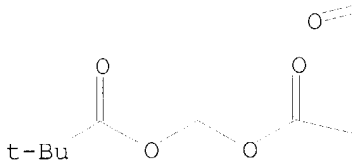


RN 321156-60-9 HCAPLUS
CN Pseudomycin B, 2-[(2R)-2-amino-4-[[[(2,2-dimethyl-1-oxopropoxy)methoxy]carbonyl]amino]butanoic acid]-3-(N-cyclopropyl-L-asparagine)-4-[N6-[[(2,2-dimethyl-1-oxopropoxy)methoxy]carbonyl]-L-lysine]-5-[(2S)-2-amino-4-[[[(2,2-dimethyl-1-oxopropoxy)methoxy]carbonyl]amino]butanoic acid]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

PAGE 1-A



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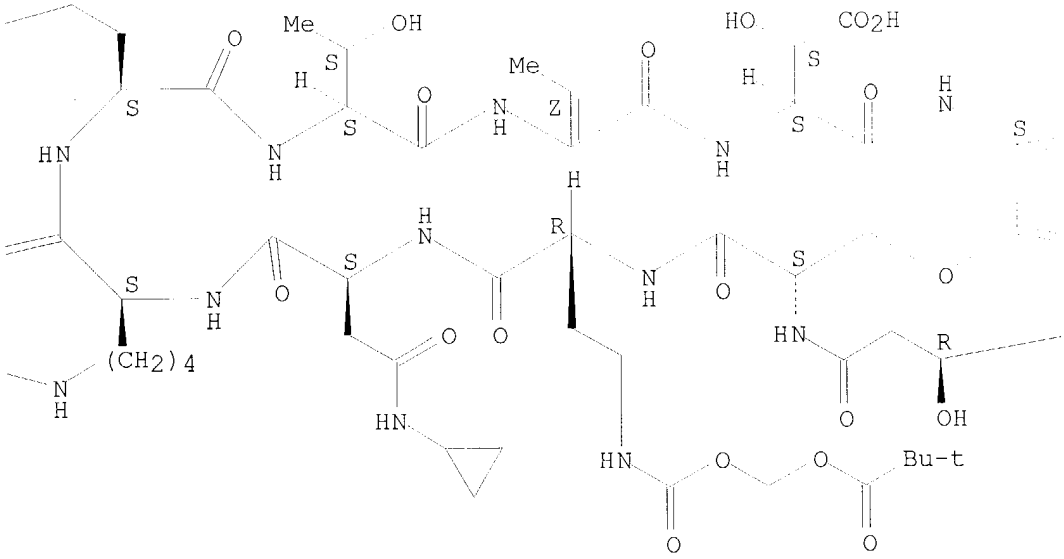
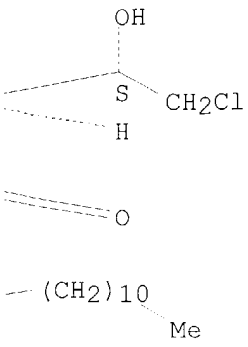
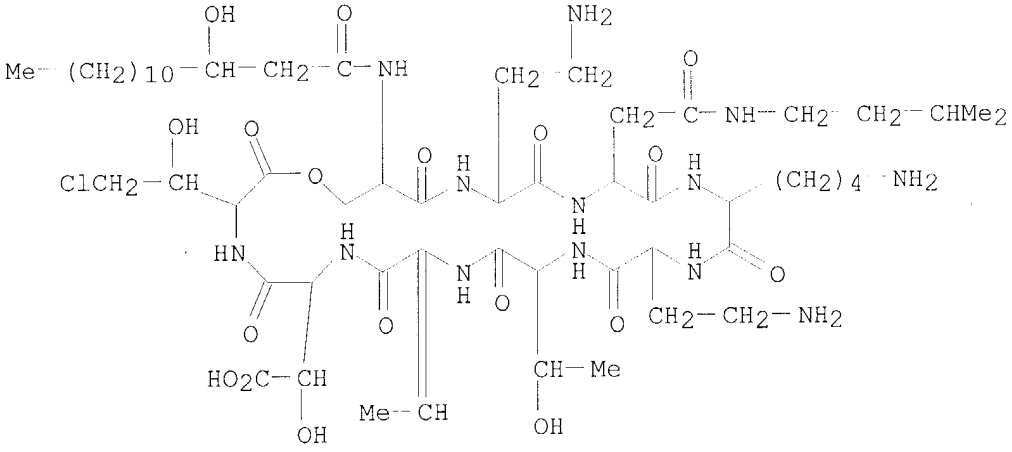




RN 344620-68-4 HCAPLUS
CN Pseudomycin B, 3-[N-(3-methylbutyl)-L-asparagine]- (9CI) (CA INDEX NAME)



RN 344620-74-2 HCAPLUS
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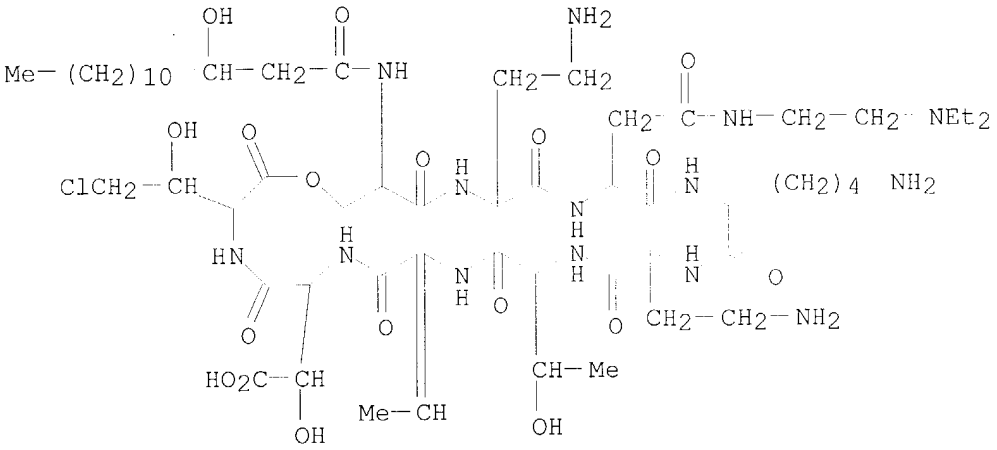
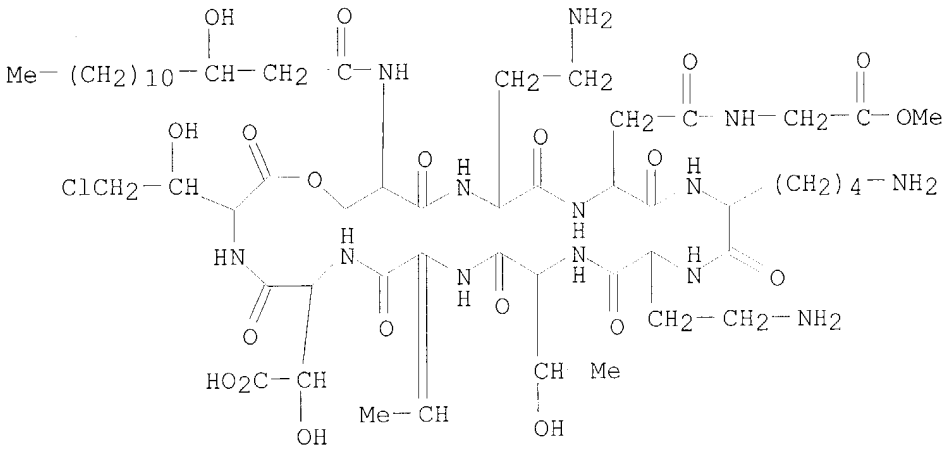
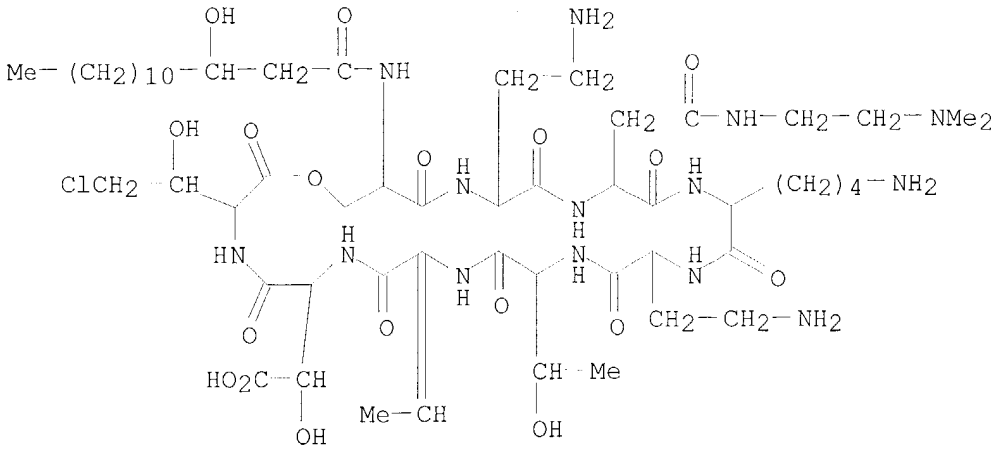


RN 344620-82-2 HCAPLUS
CN Pseudomycin B, 3-[N-(2-methoxy-2-oxoethyl)-L-asparagine]- (9CI) (CA INDEX NAME)



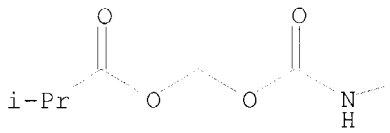
RN 344776-66-5 HCAPLUS
CN Pseudomycin B, 3-[N-[2-(dimethylamino)ethyl]-L-asparagine]- (9CI) (CA INDEX NAME)

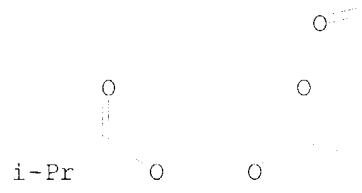


RN 372983-26-1 HCAPLUS
CN Pseudomycin B, 2-[(2R)-2-amino-4-[[[(2-methyl-1-oxopropoxy)methoxy]carbonyl]amino]butanoic acid]-3-L-asparagine-4-[N6-[[(2-methyl-1-oxopropoxy)methoxy]carbonyl]-L-lysine]-5-[(2S)-2-amino-4-[[[(2-methyl-1-oxopropoxy)methoxy]carbonyl]amino]butanoic acid]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

PAGE 1-A





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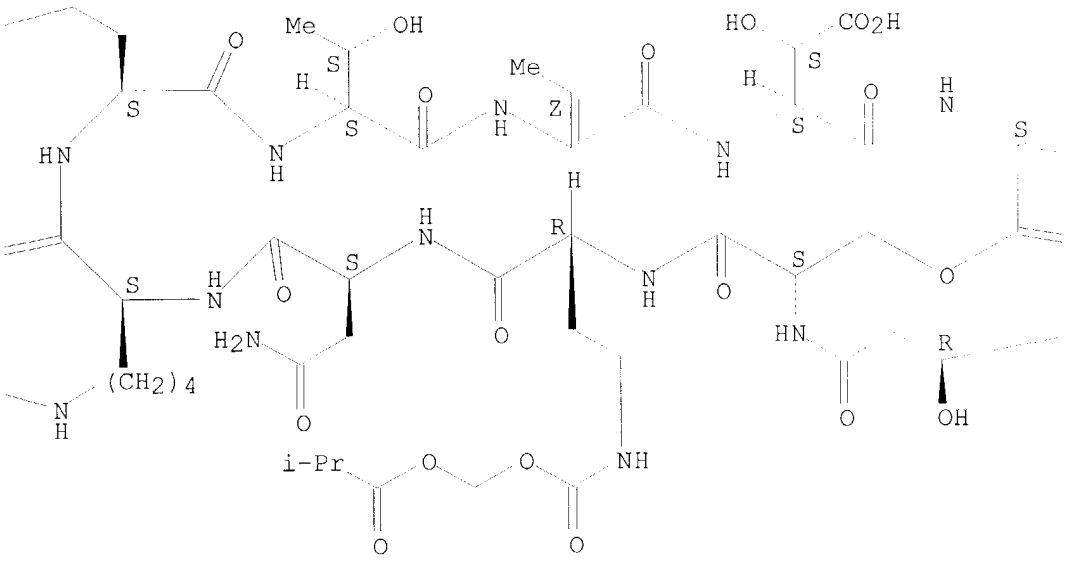

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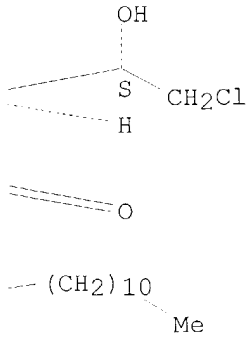
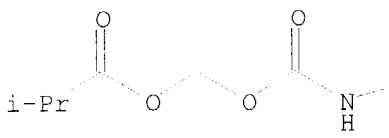
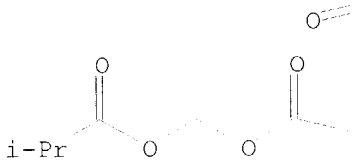


RN 372983-27-2 HCAPLUS
CN Pseudomycin B, 2-[(2R)-2-amino-4-[[[(2-methyl-1-oxopropoxy)methoxy]carbonyl]amino]butanoic acid]-3-(N-cyclopropyl-L-asparagine)-4-[N6-[[(2-methyl-1-oxopropoxy)methoxy]carbonyl]-L-lysine]-5-[(2S)-2-amino-4-[[[(2-methyl-1-oxopropoxy)methoxy]carbonyl]amino]butanoic acid]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

PAGE 1-A





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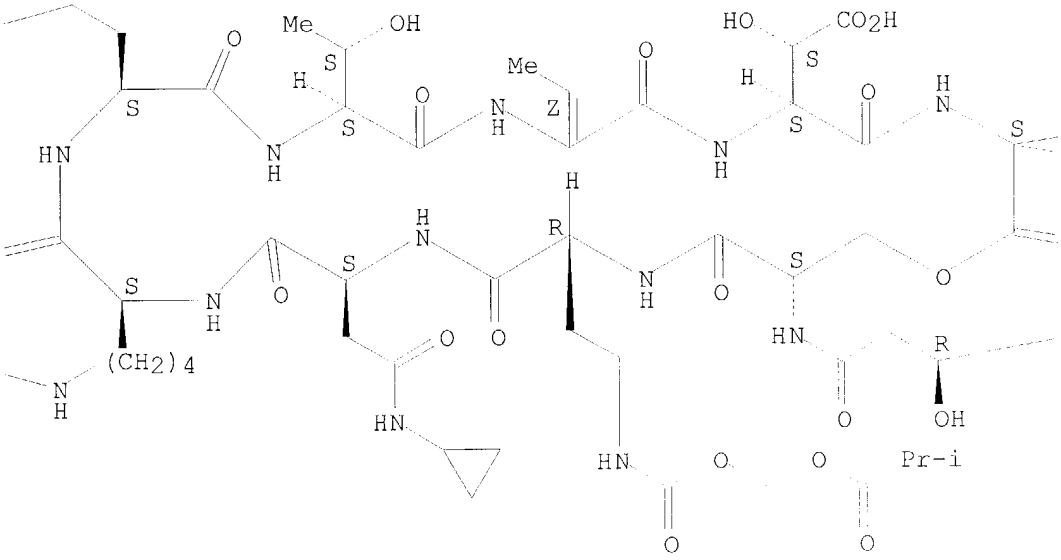

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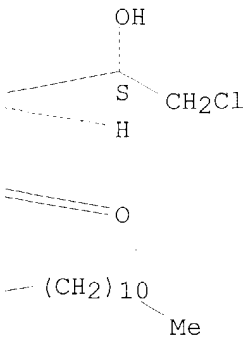
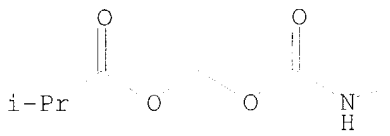
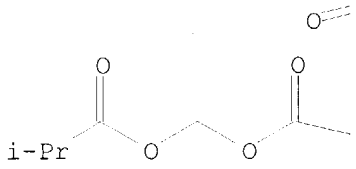


RN 372983-28-3 HCAPLUS
CN Pseudomycin B, 2-[(2R)-2-amino-4-[[[(2-methyl-1-oxopropoxy)methoxy]carbonyl]amino]butanoic acid]-3-[N-(3-methylbutyl)-L-asparagine]-4-[N6-[[(2-methyl-1-oxopropoxy)methoxy]carbonyl]-L-lysine]-5-[(2S)-2-amino-4-[[[(2-methyl-1-oxopropoxy)methoxy]carbonyl]amino]butanoic acid]- (9CI) (CA INDEX NAME)

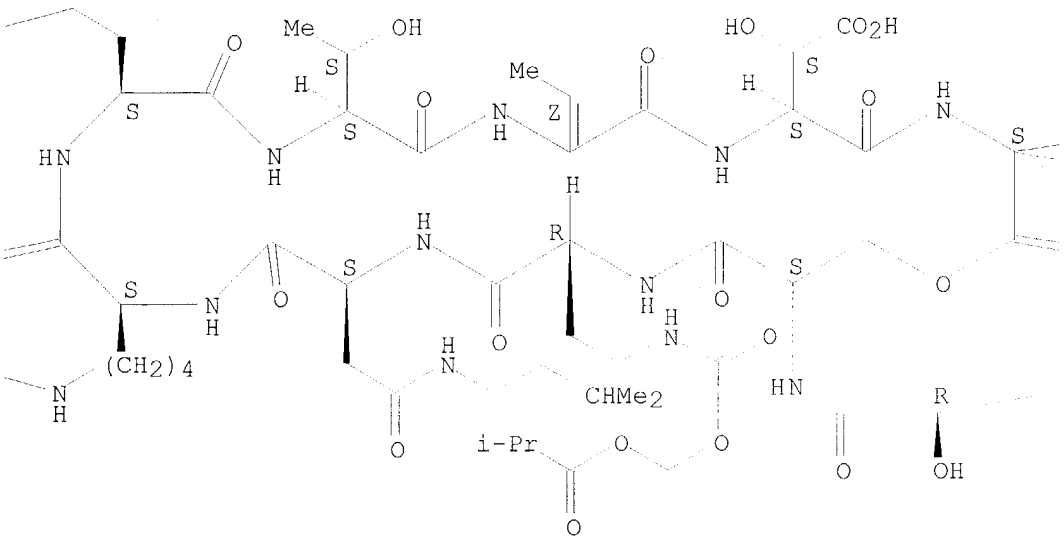
Absolute stereochemistry.
Double bond geometry as shown.

PAGE 1-A





PAGE 1-B



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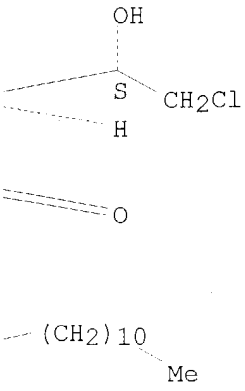
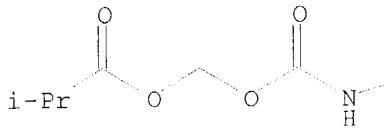
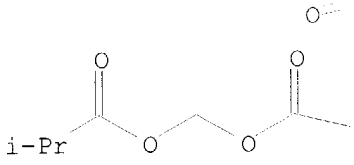


RN 372983-29-4 HCAPLUS
CN Pseudomycin B, 2-[(2R)-2-amino-4-[[[(2-methyl-1-oxopropoxy)methoxy]carbonyl]amino]butanoic acid]-3-[N-[2-(dimethylamino)ethyl]-L-asparagine]-4-[N6-[[(2-methyl-1-oxopropoxy)methoxy]carbonyl]-L-lysine]-5-[(2S)-2-amino-4-[[[(2-methyl-1-oxopropoxy)methoxy]carbonyl]amino]butanoic acid]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

PAGE 1-A





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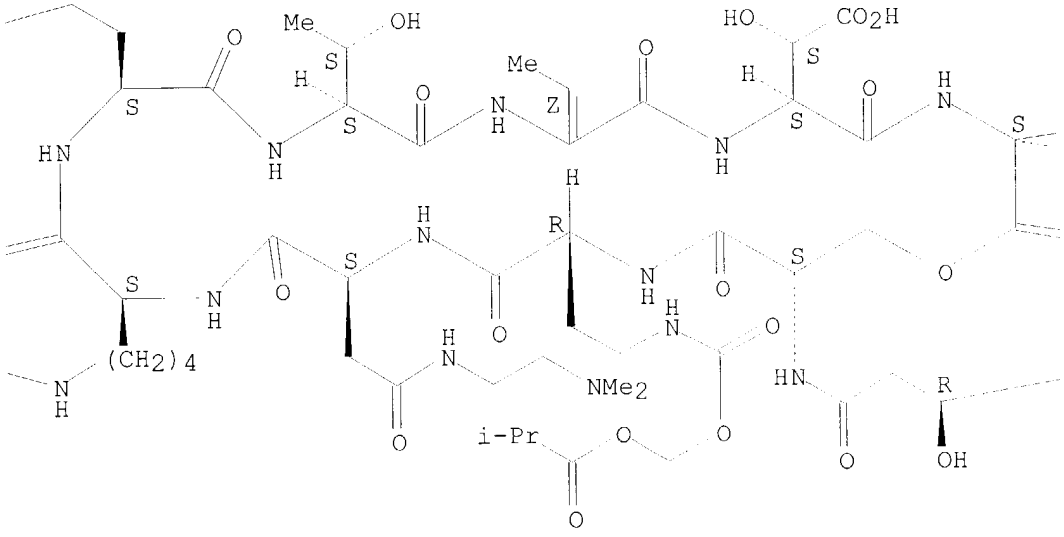

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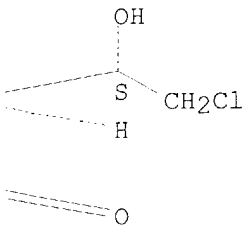
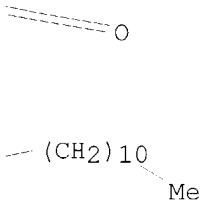
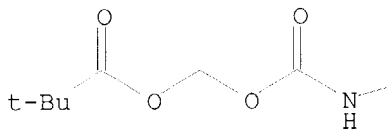


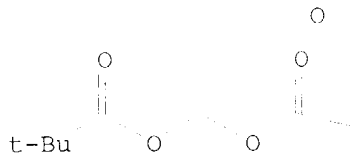


RN 372983-30-7 HCAPLUS
CN Pseudomycin B, 2-[(2R)-2-amino-4-[[[(2,2-dimethyl-1-oxopropoxy)methoxy]carbonyl]amino]butanoic acid]-3-[N-[2-(dimethylamino)ethyl]-L-asparagine]-4-[N6-[[(2,2-dimethyl-1-oxopropoxy)methoxy]carbonyl]-L-lysine]-5-[(2S)-2-amino-4-[[[(2,2-dimethyl-1-oxopropoxy)methoxy]carbonyl]amino]butanoic acid]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

PAGE 1-A





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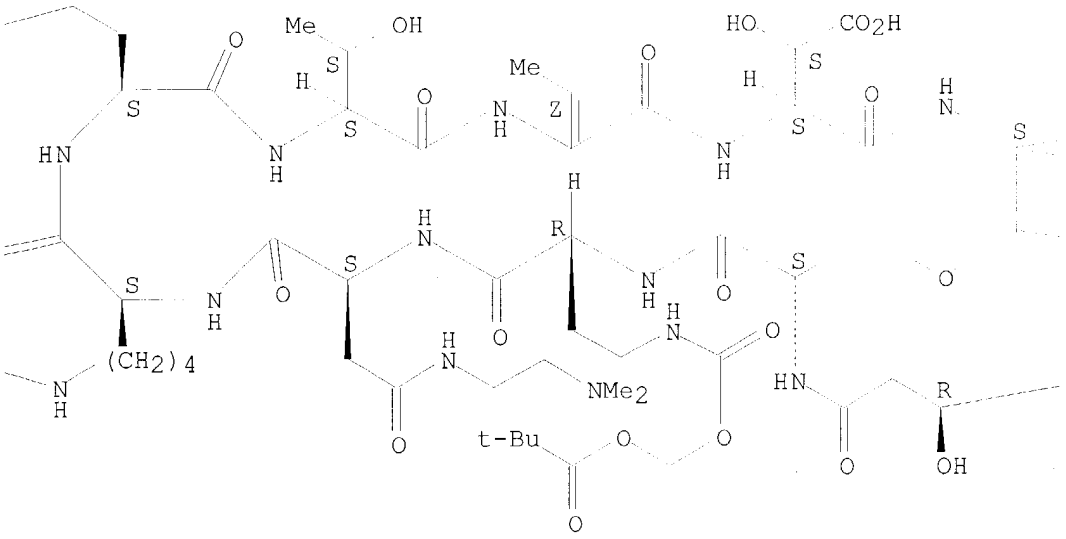

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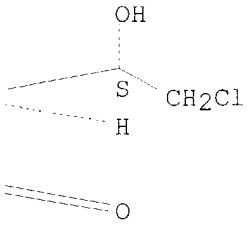
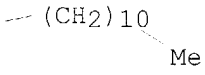
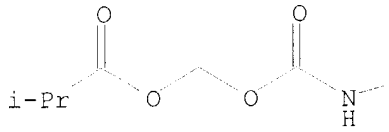


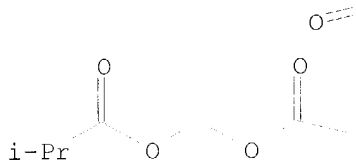


RN 372983-31-8 HCAPLUS
CN Pseudomycin B, 2-[(2R)-2-amino-4-[[[(2-methyl-1-oxopropoxy)methoxy]carbonyl]amino]butanoic acid]-3-[N-[2-(diethylamino)ethyl]-L-asparagine]-4-[N6-[[(2-methyl-1-oxopropoxy)methoxy]carbonyl]-L-lysine]-5-[(2S)-2-amino-4-[[[(2-methyl-1-oxopropoxy)methoxy]carbonyl]amino]butanoic acid]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

PAGE 1-A





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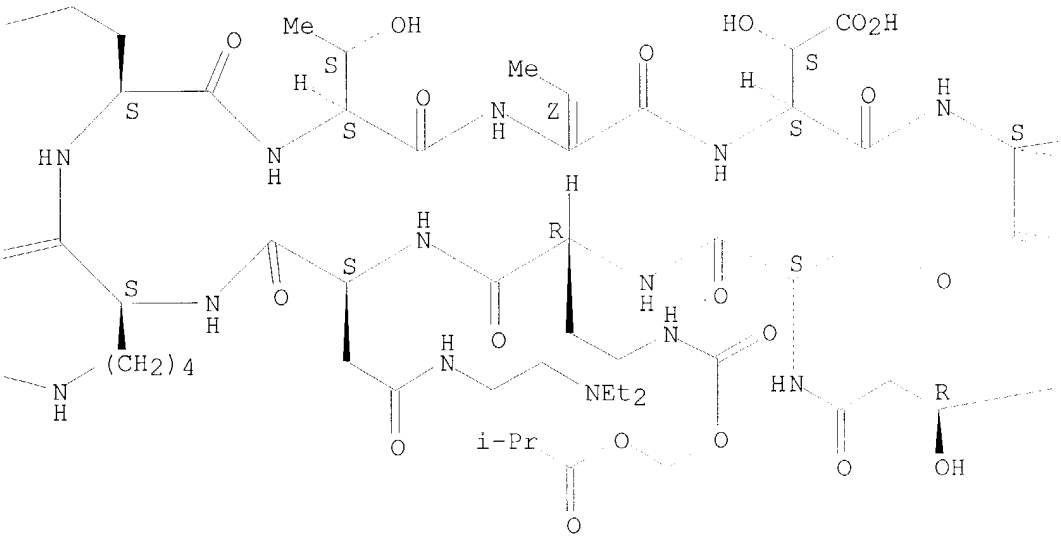

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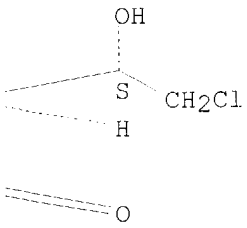
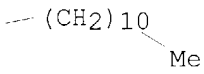
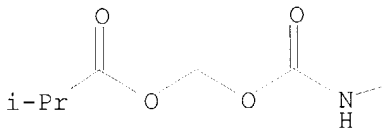


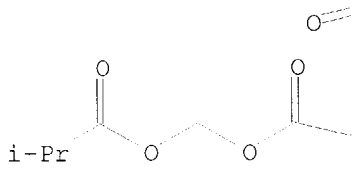


RN 372983-32-9 HCAPLUS
CN Pseudomycin B, 2-[(2R)-2-amino-4-[[[(2-methyl-1-oxopropoxy)methoxy]carbonyl]amino]butanoic acid]-3-[N-(2-methoxy-2-oxoethyl)-L-asparagine]-4-{N6-[[(2-methyl-1-oxopropoxy)methoxy]carbonyl]-L-lysine]-5-[(2S)-2-amino-4-[[[(2-methyl-1-oxopropoxy)methoxy]carbonyl]amino]butanoic acid]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

PAGE 1-A





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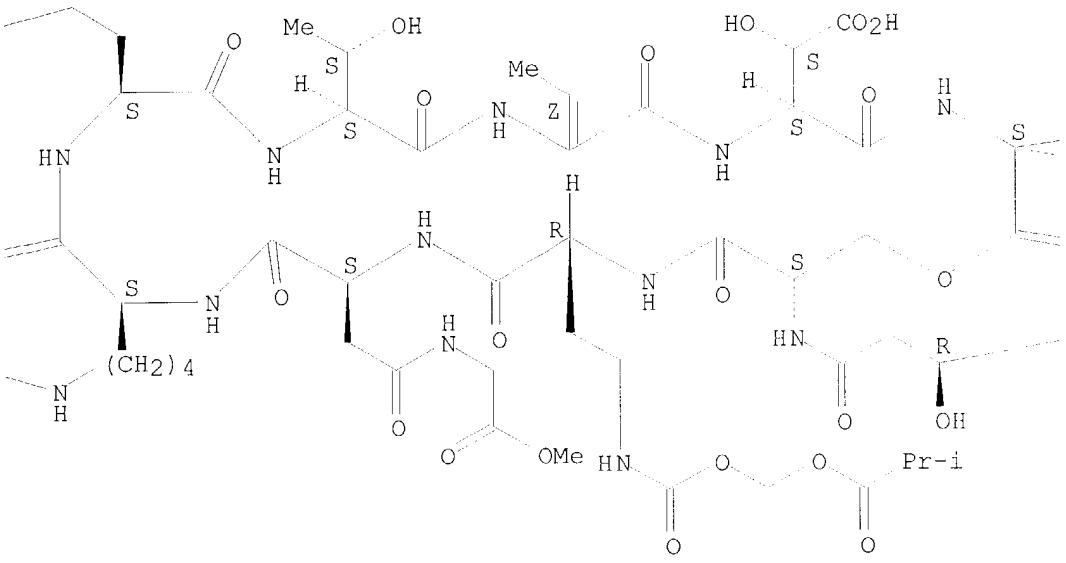

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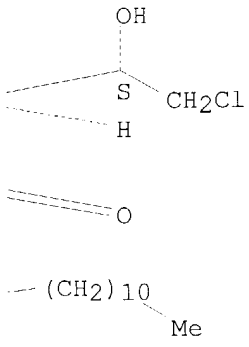
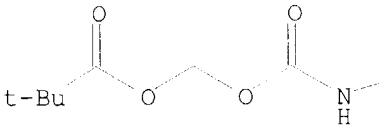
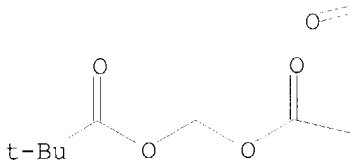


RN 372983-33-0 HCAPLUS
CN Pseudomycin B, 2-[(2R)-2-amino-4-[[[(2,2-dimethyl-1-oxopropoxy)methoxy]carbonyl]amino]butanoic acid]-3-[N-(2-methoxy-2-oxoethyl)-L-asparagine]-4-[N6-[[(2,2-dimethyl-1-oxopropoxy)methoxy]carbonyl]-L-lysine]-5-[(2S)-2-amino-4-[[[(2,2-dimethyl-1-oxopropoxy)methoxy]carbonyl]amino]butanoic acid]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

PAGE 1-A





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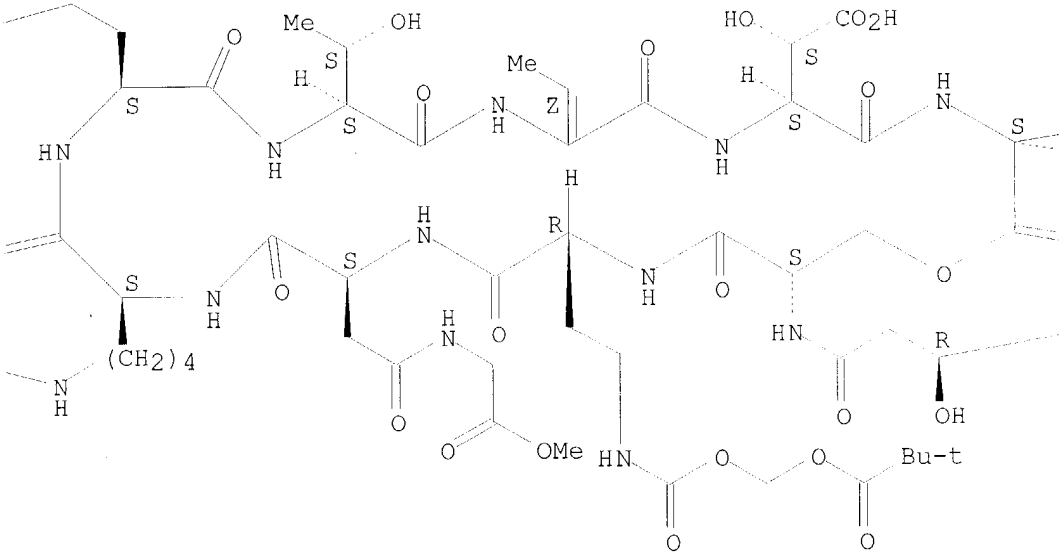
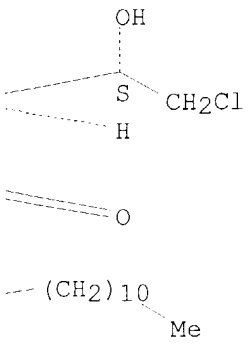
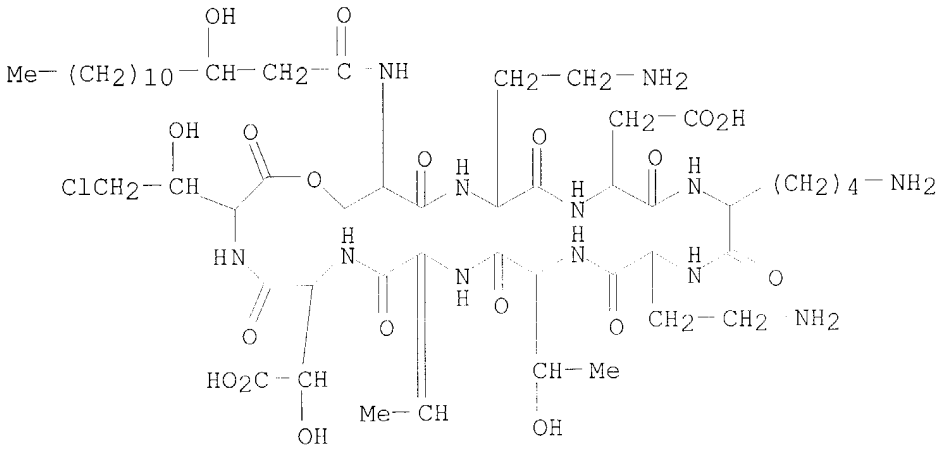




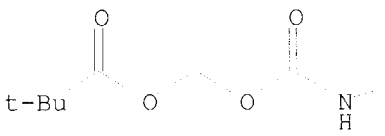
IT **139203-14-8**, Pseudomycin B
RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); THU (Therapeutic use); BIOL (Biological study); RACT (Reactant or reagent); USES (Uses)
(preparation of **prodrugs** of 3-amido bearing pseudomycin analogs as novel antifungal agents)
RN 139203-14-8 HCAPLUS
CN Pseudomycin B (9CI) (CA INDEX NAME)

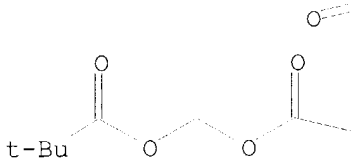


IT **321156-59-6P 372983-25-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of **prodrugs** of 3-amido bearing pseudomycin analogs as novel antifungal agents)
RN 321156-59-6 HCAPLUS
CN Pseudomycin B, 2-[(2R)-2-amino-4-[[[(2,2-dimethyl-1-oxopropoxy)methoxy]carbonyl]amino]butanoic acid]-4-[N6-[[(2,2-dimethyl-1-oxopropoxy)methoxy]carbonyl]-L-lysine]-5-[(2S)-2-amino-4-[[[(2,2-dimethyl-1-oxopropoxy)methoxy]carbonyl]amino]butanoic acid]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

PAGE 1-A





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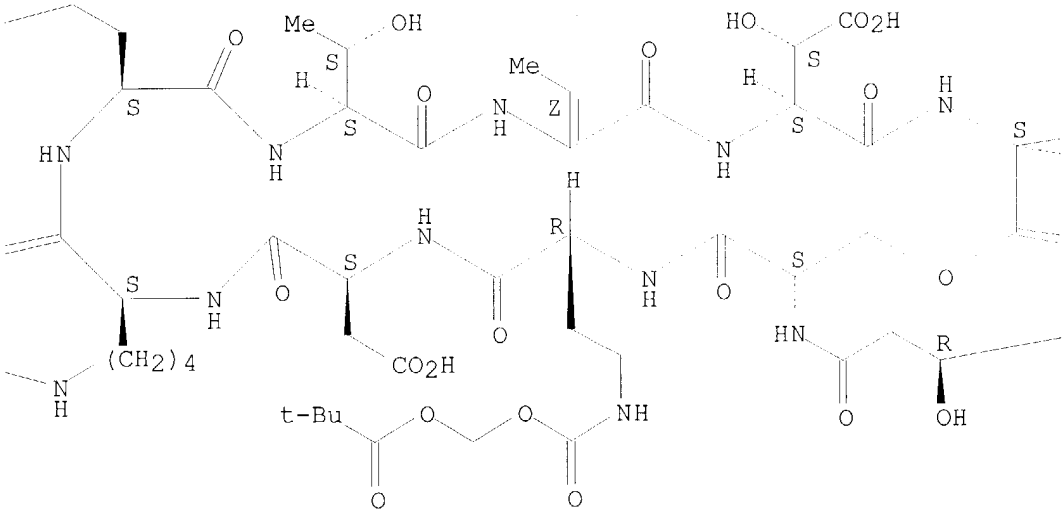

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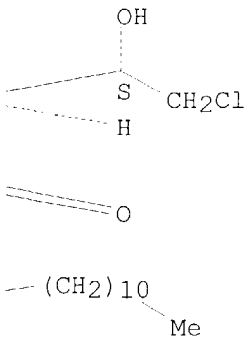
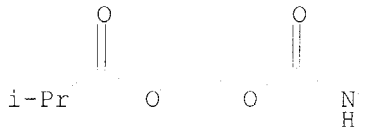
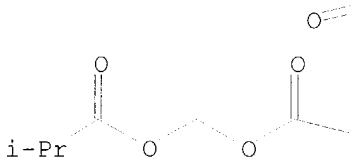


RN 372983-25-0 HCAPLUS
CN Pseudomycin B, 2-[(2R)-2-amino-4-[[[(2-methyl-1-oxopropoxy)methoxy]carbonyl]amino]butanoic acid]-4-[N6-[[(2-methyl-1-oxopropoxy)methoxy]carbonyl]-L-lysine]-5-[(2S)-2-amino-4-[[[(2-methyl-1-oxopropoxy)methoxy]carbonyl]amino]butanoic acid]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

PAGE 1-A





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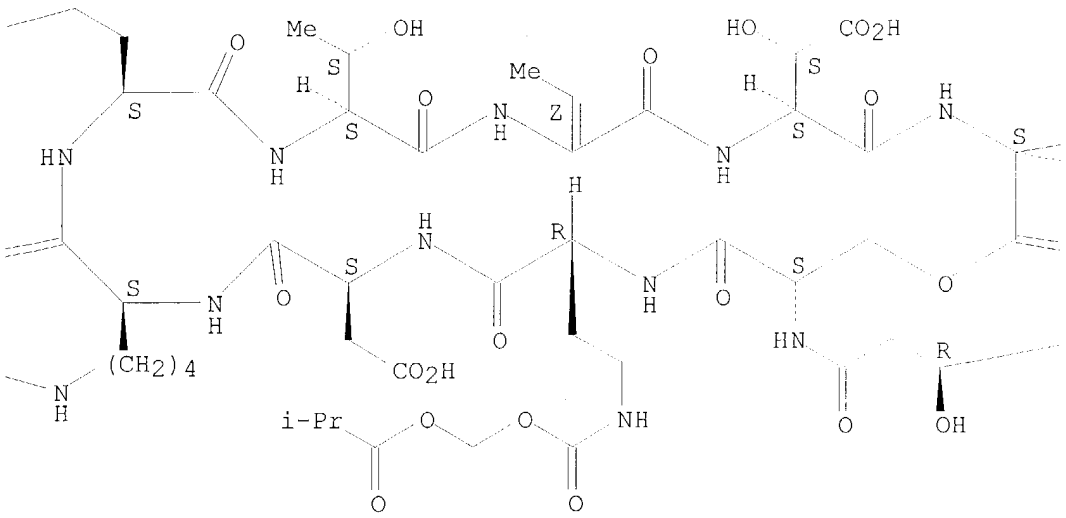

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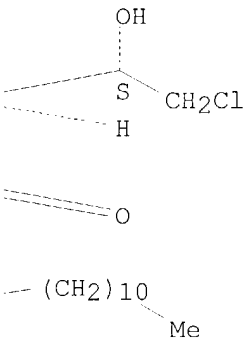


REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

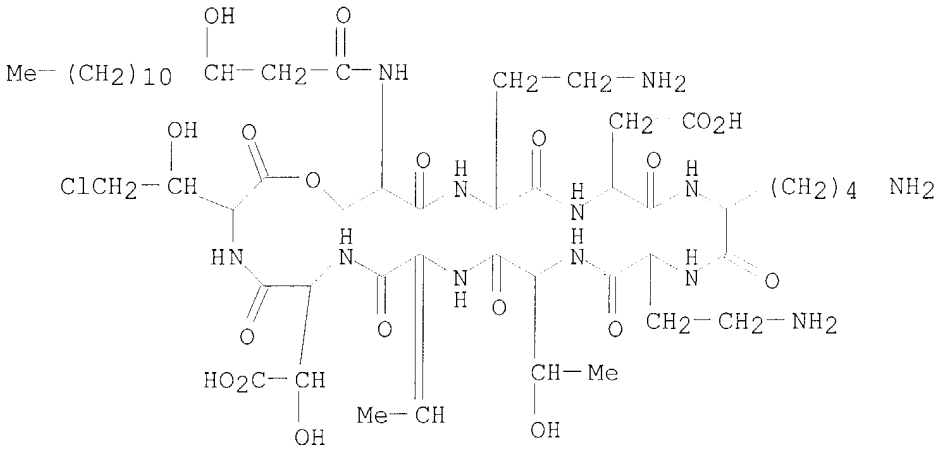
L59 ANSWER 5 OF 26 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:518616 HCAPLUS
DOCUMENT NUMBER: 135:257454
TITLE: N-Acyloxymethyl carbamate linked **prodrugs** of pseudomycins are novel antifungal agents
AUTHOR(S): Sun, Xicheng; Zeckner, Douglas J.; Current, William L.; Boyer, Robert; McMillian, Carl; Yumibe, Nathan; Chen, Shu-Hui
CORPORATE SOURCE: Lilly Research Laboratories, A Division of Eli Lilly

and Company, Lilly Corporate Center, Indianapolis, IN, 46285, USA
SOURCE: Bioorganic & Medicinal Chemistry Letters (2001), 11(14), 1875-1879
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 135:257454

AB We describe herein the synthesis, bioconversion, antifungal activity, and preliminary toxicol. evaluation of a series of N-acyloxymethyl carbamate linked **triprodrugs** of pseudomycins. The syntheses of these **prodrugs** were achieved via simple N-acylation of PSB or PSC' with various **prodrug** linkers. As expected, upon incubation with mouse and/or human plasma, many of these **prodrugs** were converted to the parent compound within a few hours. Of particular significance, two pseudomycin **triprodrugs** showed excellent in vivo efficacy against systemic Candidiasis without tail vein irritation being observed

IT **139203-14-8**, Pseudomycin B
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); BIOL (Biological study); RACT (Reactant or reagent)
(preparation of macrocyclic peptides containing N-acyloxymethyl carbamate groups
as pseudomycin analogs for use as antifungal agents)

RN 139203-14-8 HCAPLUS
CN Pseudomycin B (9CI) (CA INDEX NAME)

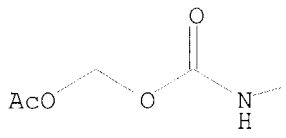


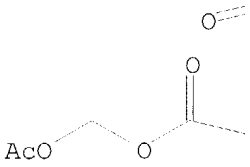
IT **321156-58-5P 321156-59-6P 362055-01-4P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of macrocyclic peptides containing N-acyloxymethyl carbamate groups
as pseudomycin analogs for use as antifungal agents)

RN 321156-58-5 HCAPLUS
CN Pseudomycin B, 2-[(2R)-2-amino-4-[[[(acetyloxy)methoxy]carbonyl]amino]butanoic acid]-4-[N6-[[(acetyloxy)methoxy]carbonyl]-L-lysine]-5-[(2S)-2-amino-4-[[[(acetyloxy)methoxy]carbonyl]amino]butanoic acid]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

PAGE 1-A





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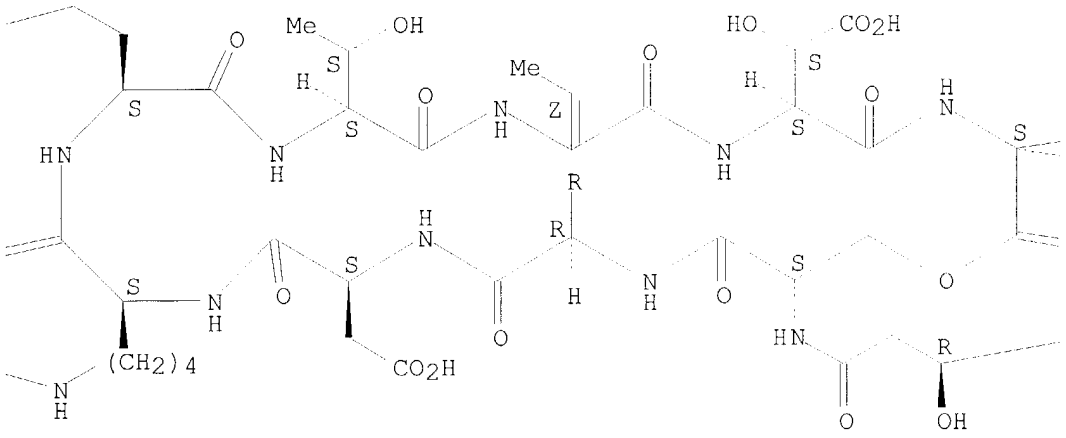

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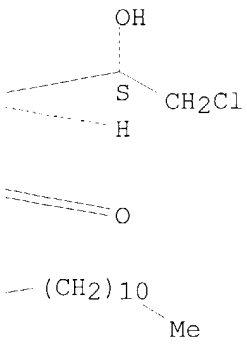

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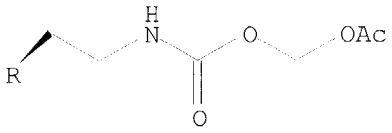
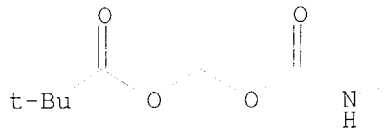
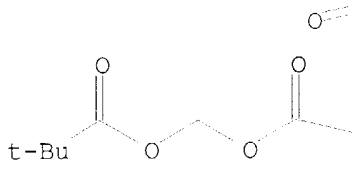


RN 321156-59-6 HCAPLUS
CN Pseudomycin B, 2-[(2R)-2-amino-4-[[[(2,2-dimethyl-1-oxopropoxy)methoxy]carbonyl]amino]butanoic acid]-4-[N6-[[(2,2-dimethyl-1-oxopropoxy)methoxy]carbonyl]-L-lysine]-5-[(2S)-2-amino-4-[[[(2,2-dimethyl-1-oxopropoxy)methoxy]carbonyl]amino]butanoic acid]- (9CI) (CA INDEX NAME)

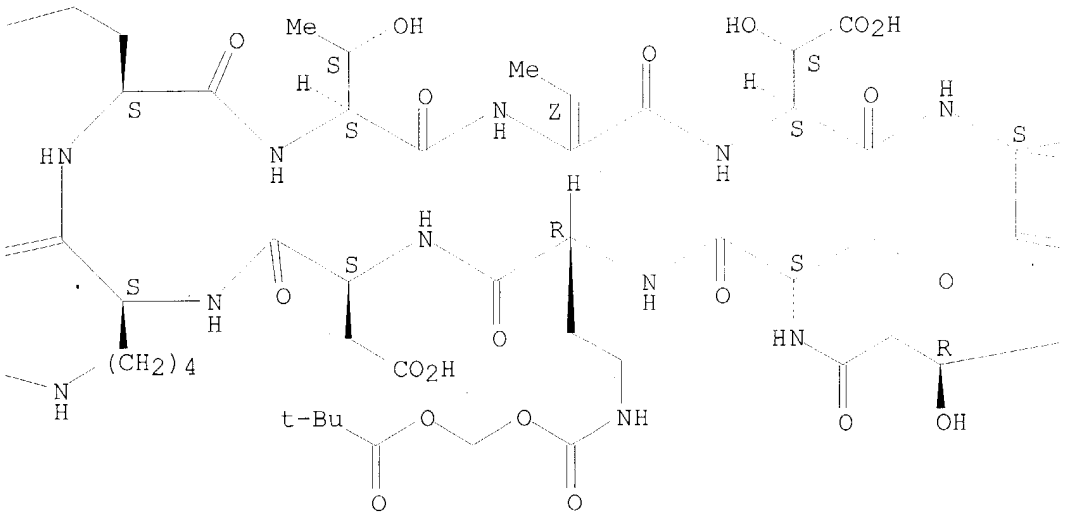
Absolute stereochemistry.
Double bond geometry as shown.

PAGE 1-A





PAGE 1-B



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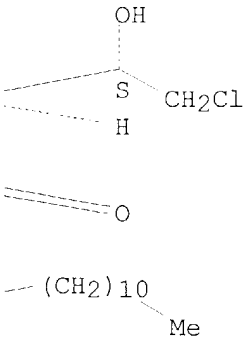
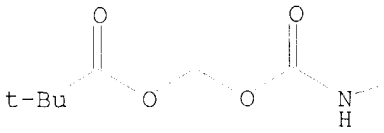
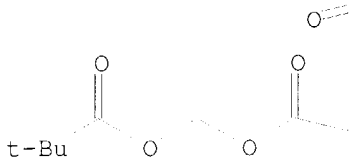


RN 362055-01-4 HCAPLUS
CN Pseudomycin B, 1-[N-[(3R)-3-hydroxy-1-oxohexadecyl]-L-serine]-2-[(2R)-2-amino-4-[[[(2,2-dimethyl-1-oxopropoxy)methoxy]carbonyl]amino]butanoic acid]-4-[N6-[[(2,2-dimethyl-1-oxopropoxy)methoxy]carbonyl]-L-lysine]-5-[(2S)-2-amino-4-[[[(2,2-dimethyl-1-oxopropoxy)methoxy]carbonyl]amino]butanoic acid]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

PAGE 1-A





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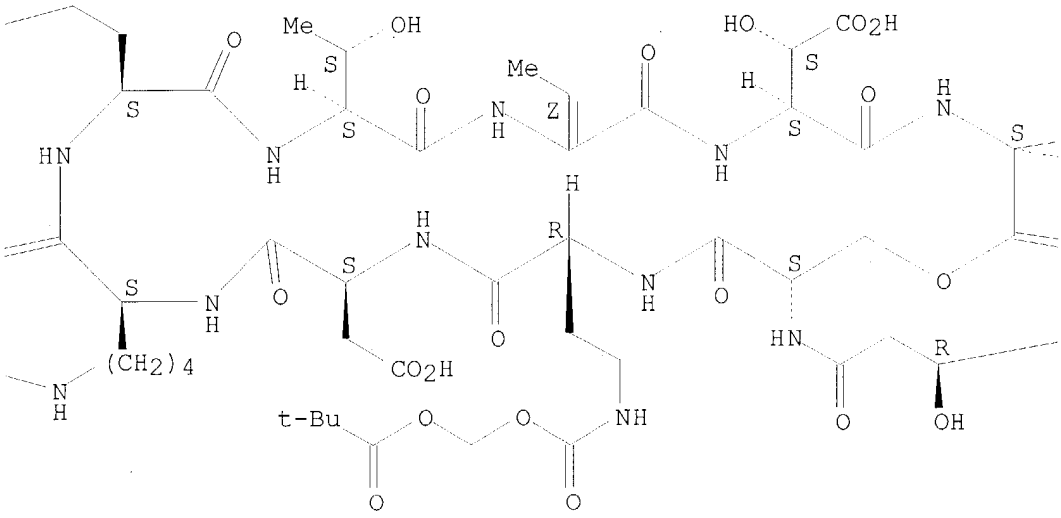
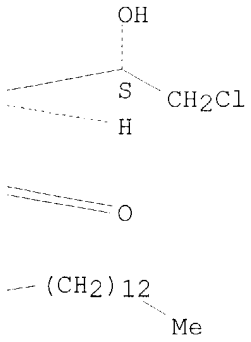
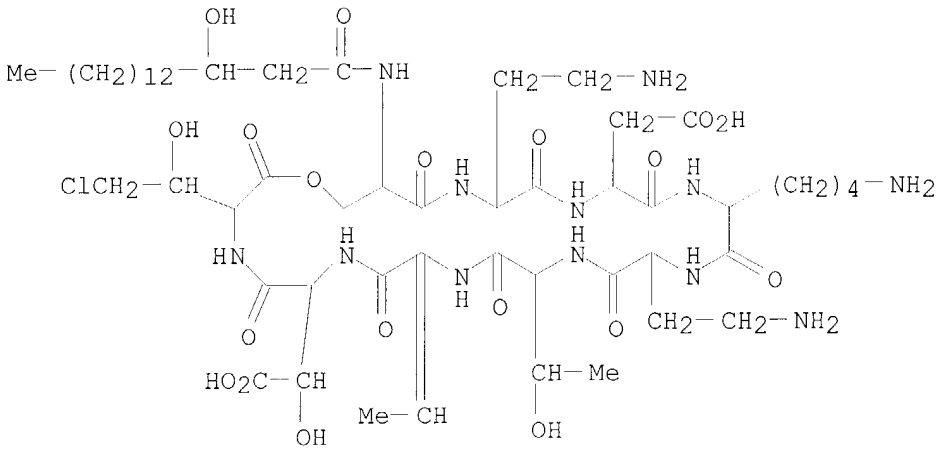




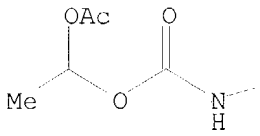
IT **162443-73-4,** Pseudomycin C' **362512-32-1**
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of macrocyclic peptides containing N-acyloxymethyl carbamate groups
as pseudomycin analogs for use as antifungal agents)
RN 162443-73-4 HCAPLUS
CN Pseudomycin C' (9CI) (CA INDEX NAME)

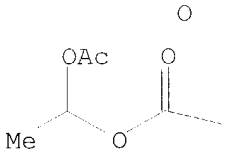


RN 362512-32-1 HCAPLUS
CN Pseudomycin B, 2-[(2R)-4-[[[1-(acetyloxy)ethoxy]carbonyl]amino]-2-aminobutanoic acid]-4-[N6-[[1-(acetyloxy)ethoxy]carbonyl]-L-lysine]-5-[(2S)-4-[[[1-(acetyloxy)ethoxy]carbonyl]amino]-2-aminobutanoic acid]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

PAGE 1-A





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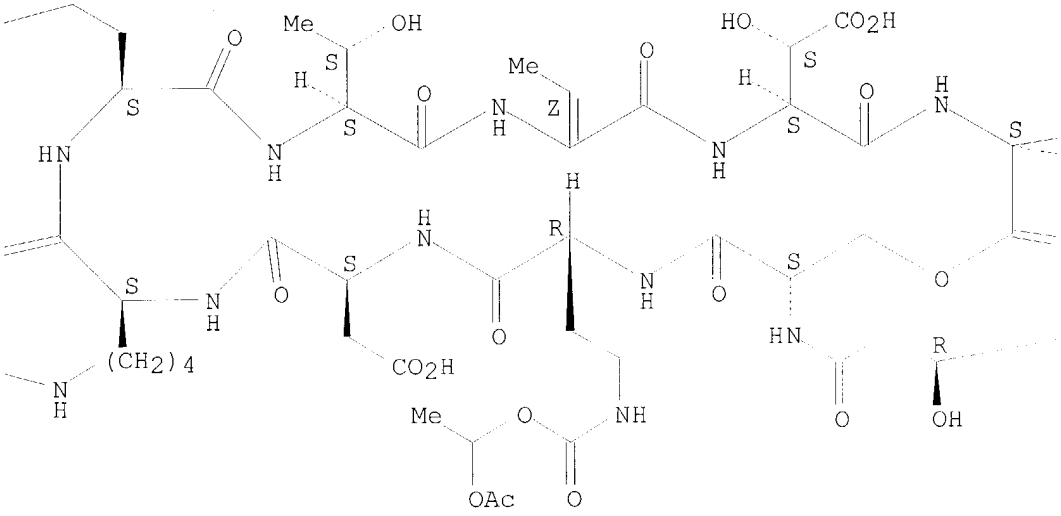
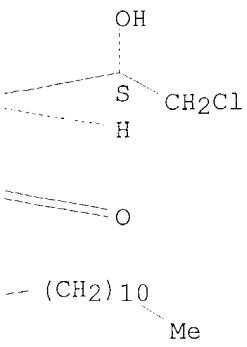




REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L59 ANSWER 6 OF 26 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:474114 HCAPLUS
DOCUMENT NUMBER: 135:204988
TITLE: Synthesis and Evaluation of Oxodioxolenylmethyl Carbamate **Prodrugs** of Pseudomycins
AUTHOR(S): Sun, Xicheng; Rodriguez, Michael; Zeckner, Doug; Sachs, Bobbie; Current, William; Boyer, Robert; Paschal, Jonathan; McMillian, Carl; Chen, Shu-Hui
CORPORATE SOURCE: Lilly Research Laboratories A Division of Eli Lilly and Company, Indianapolis, IN, 46285, USA
SOURCE: Journal of Medicinal Chemistry (2001), 44(16), 2671-2674
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English

AB With the aim of increasing therapeutic indexes of novel cyclic depsinonapeptide pseudomycins, we synthesized and evaluated a series of mono-, di-, and trioxodioxolenylmethyl carbamate **prodrugs** of pseudomycin B and pseudomycin C'. It is rather encouraging to note that several members of the newly synthesized **prodrugs** described herein exhibited comparable in vivo efficacy to that achieved by the parent compds., yet free of tail vein irritation and histamine induced toxicity in vivo.

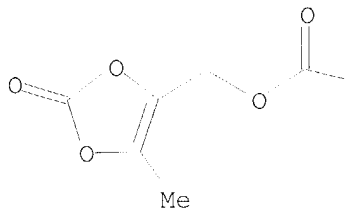
IT **321156-55-2P 358365-67-0P 358365-68-1P**
358365-69-2P 358365-70-5P 358365-71-6P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(synthesis and evaluation of oxodioxolenylmethyl carbamate **prodrugs** of pseudomycins)

RN 321156-55-2 HCAPLUS
CN Pseudomycin C', 2-[(2R)-2-amino-4-[[[(5-methyl-2-oxo-1,3-dioxol-4-yl)methoxy]carbonyl]amino]butanoic acid]-4-[N6-[[(5-methyl-2-oxo-1,3-dioxol-4-yl)methoxy]carbonyl]-L-lysine]-5-[(2S)-2-amino-4-[[[(5-methyl-2-oxo-1,3-dioxol-4-yl)methoxy]carbonyl]amino]butanoic acid]- (9CI) (CA

INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

PAGE 1-A



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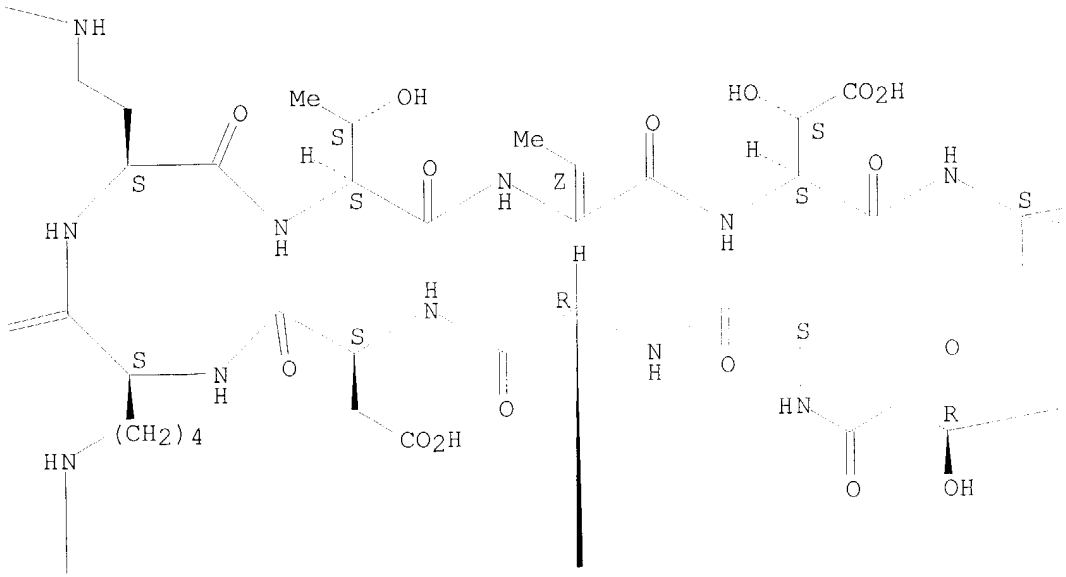

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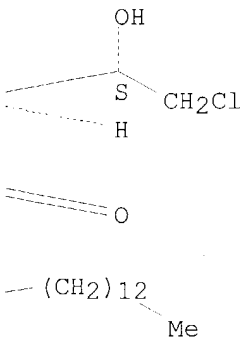

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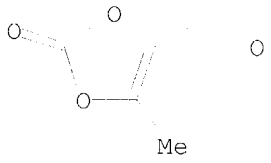

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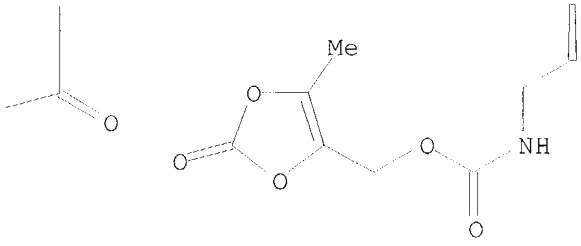
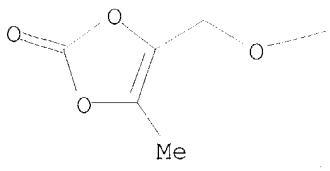


RN 358365-67-0 HCAPLUS
CN Pseudomycin B, 5-[(2S)-2-amino-4-[[[(5-methyl-2-oxo-1,3-dioxol-4-yl)methoxy]carbonyl]amino]butanoic acid]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

PAGE 1-A



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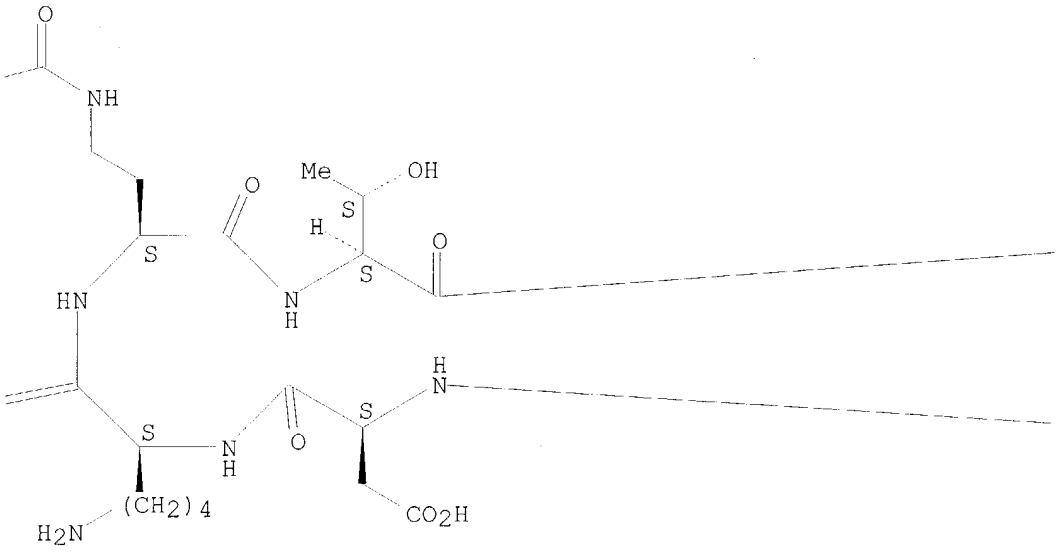

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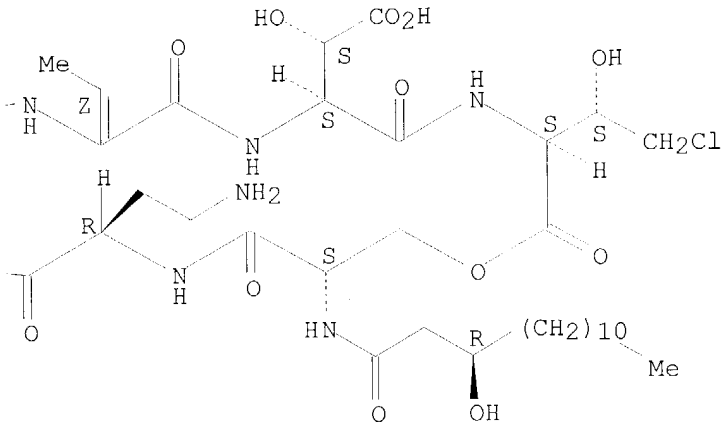
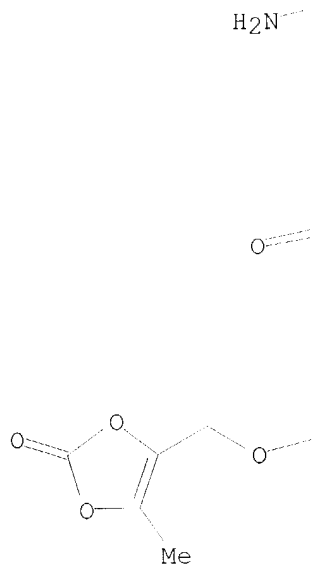


RN 358365-68-1 HCAPLUS
CN Pseudomycin B, 2-[(2R)-2-amino-4-[[[(5-methyl-2-oxo-1,3-dioxol-4-yl)methoxy]carbonyl]amino]butanoic acid]-4-[N6-[[(5-methyl-2-oxo-1,3-dioxol-4-yl)methoxy]carbonyl]-L-lysine]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

PAGE 1-A



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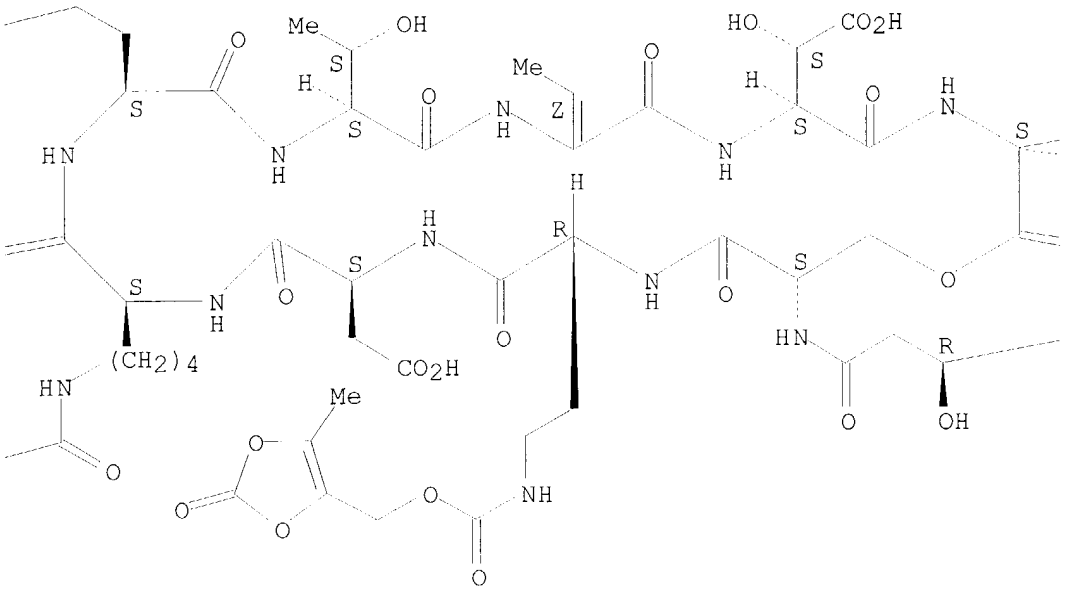

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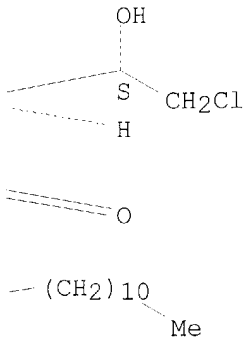
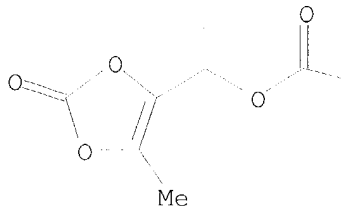


RN 358365-69-2 HCAPLUS
CN Pseudomycin B, 2-[(2R)-2-amino-4-[[[(5-methyl-2-oxo-1,3-dioxol-4-yl)methoxy]carbonyl]amino]butanoic acid]-4-[N6-[[(5-methyl-2-oxo-1,3-dioxol-4-yl)methoxy]carbonyl]-L-lysine]-5-[(2S)-2-amino-4-[[[(5-methyl-2-oxo-1,3-dioxol-4-yl)methoxy]carbonyl]amino]butanoic acid]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

PAGE 1-A



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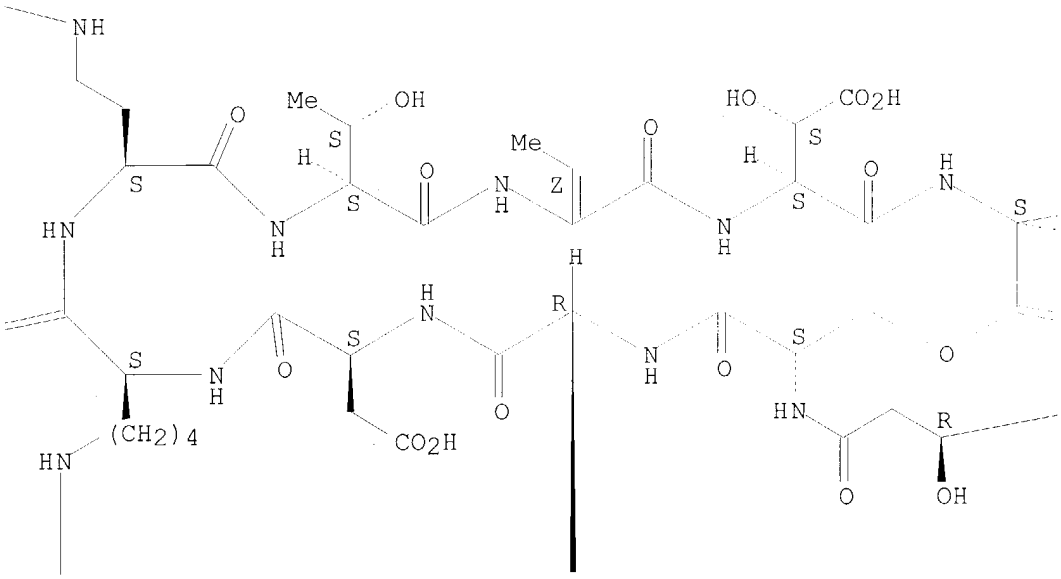

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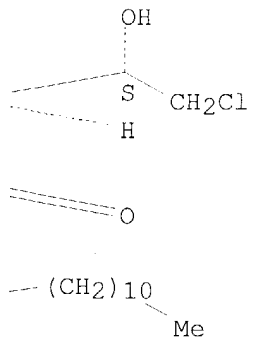

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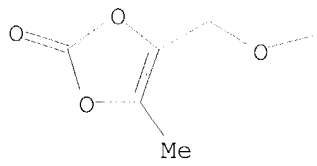

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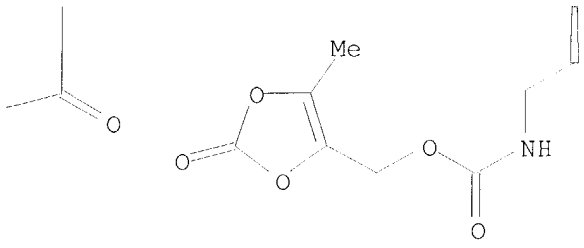
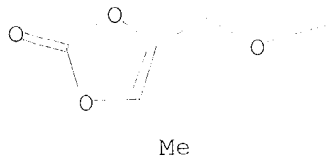


RN 358365-70-5 HCAPLUS
CN Pseudomycin C', 5-[(2S)-2-amino-4-[[[(5-methyl-2-oxo-1,3-dioxol-4-yl)methoxy]carbonyl]amino]butanoic acid]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

PAGE 1-A



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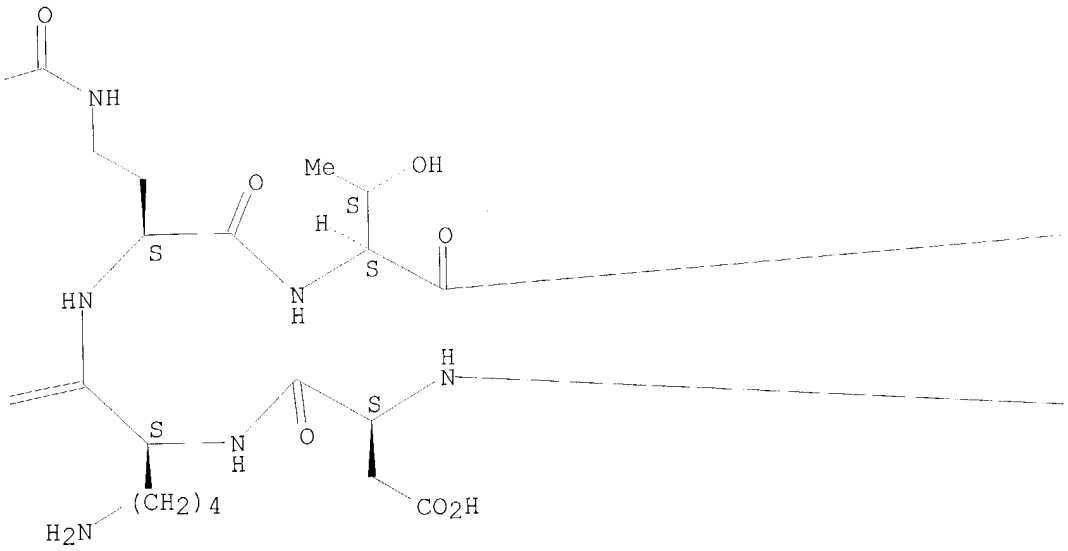

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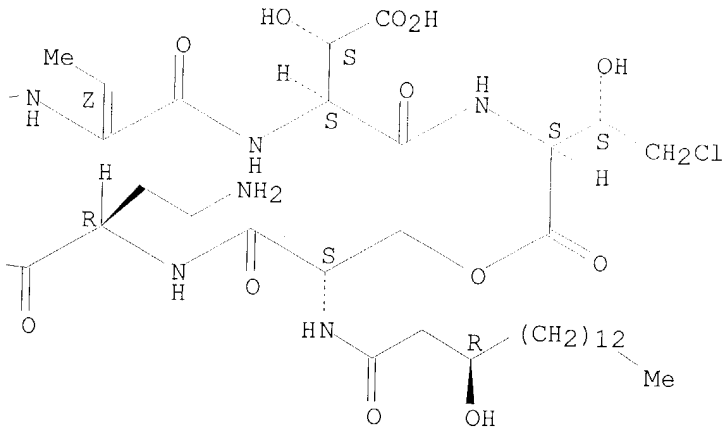
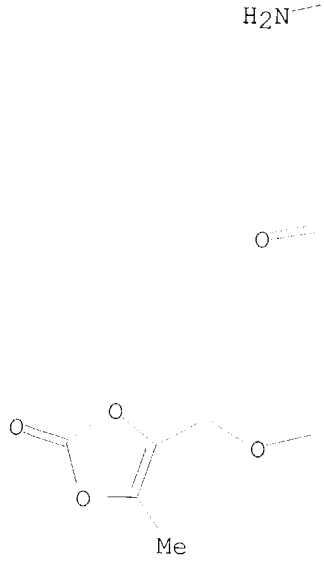


RN 358365-71-6 HCAPLUS
CN Pseudomycin C', 2-[(2R)-2-amino-4-[[[(5-methyl-2-oxo-1,3-dioxol-4-yl)methoxy]carbonyl]amino]butanoic acid]-4-[N6-[[(5-methyl-2-oxo-1,3-dioxol-4-yl)methoxy]carbonyl]-L-lysine]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

PAGE 1-A



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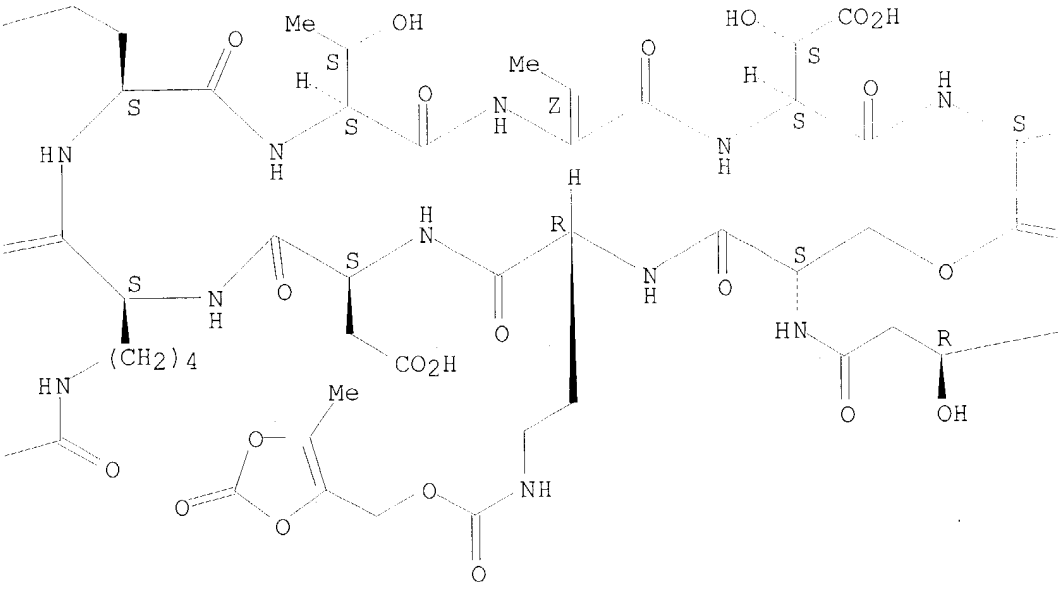

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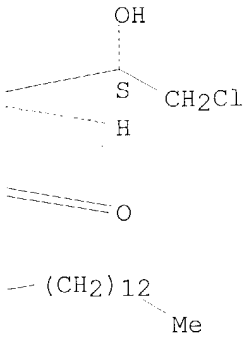
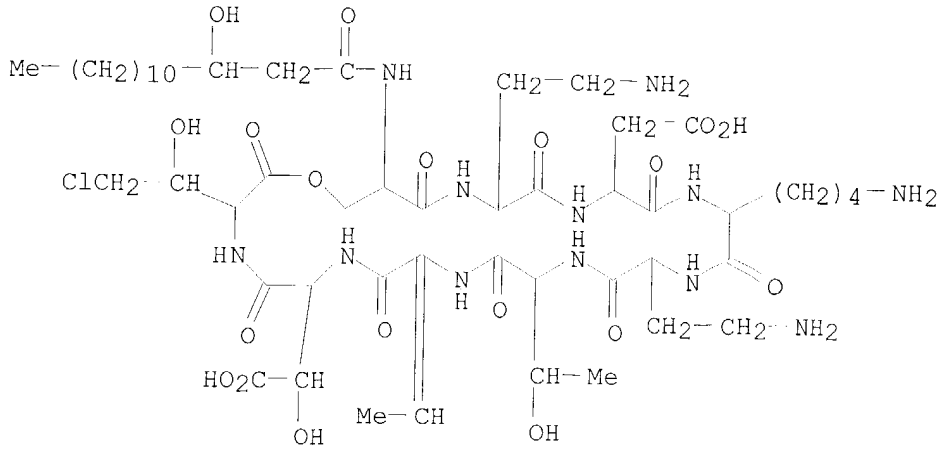
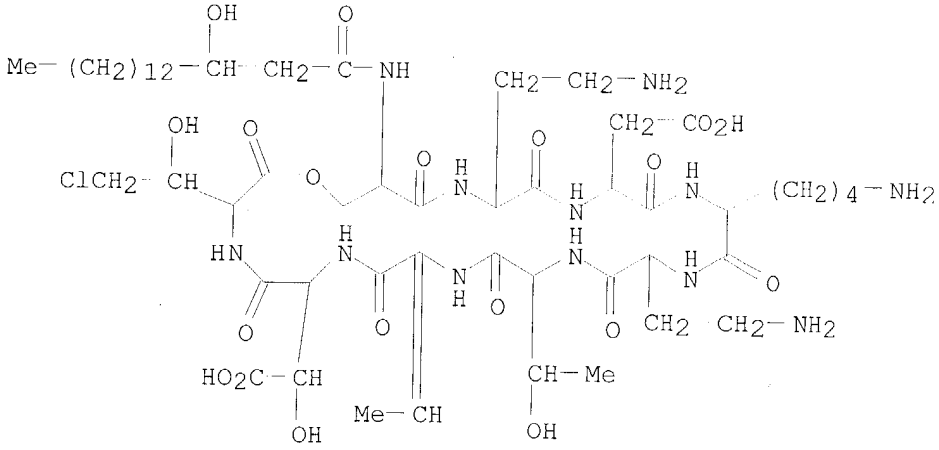


IT **139203-14-8**, Pseudomycin B **162443-73-4**, Pseudomycin C'
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(synthesis and evaluation of oxodioxolenylmethyl carbamate **prodrugs** of pseudomycins)
RN 139203-14-8 HCAPLUS
CN Pseudomycin B (9CI) (CA INDEX NAME)



RN 162443-73-4 HCAPLUS
CN Pseudomycin C' (9CI) (CA INDEX NAME)



REFERENCE COUNT: 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L59 ANSWER 7 OF 26 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:434780 HCAPLUS
DOCUMENT NUMBER: 135:19918
TITLE: Preparation of pseudomycin phosphate **prodrugs**
INVENTOR(S): Chen, Shu Hui; Sun, Xicheng David; Zhang, Yanzhi
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: PCT Int. Appl., 58 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001041534	A2	20010614	WO 2000-US30167	20001129

WO 2001041534 A3 20011122
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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

AU 2001030718	A5	20010618	AU 2001-30718		20001129
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			WO 2000-US30167	W	20001129

OTHER SOURCE(S): MARPAT 135:19918
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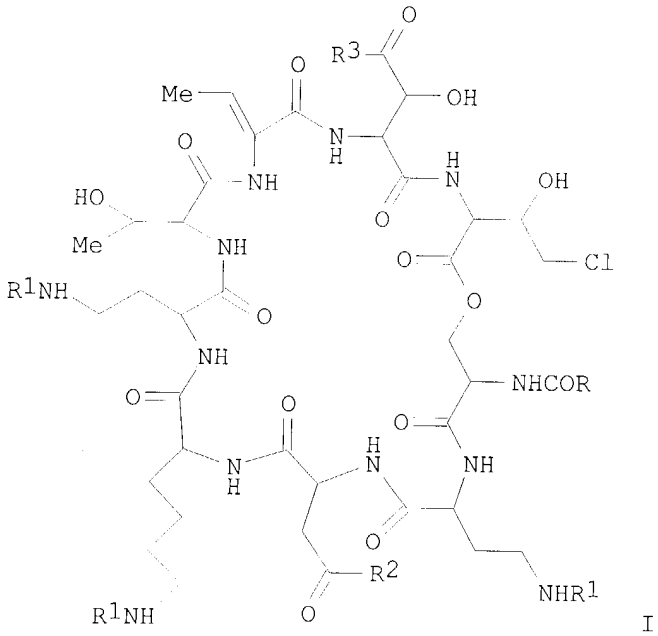


I

AB Pseudomycin **prodrugs** I [R is alkyl, acylaminomethyl, Ph, phenylhydroxyalkyl, 3-pyridyl, or alkylaminoalkyl radicals of defined structure; R1 = H, o- or p-CO2CH2C6H4[OP(O)(OR1a)2], or CO2CH2OP(O)(OR1a)(OR1b), where R1a = H, C1-6 alkyl, benzyl, or CH2CH2SiMe3 and R1b = H or C1-6 alkyl (at least one R1 ≠ H); R2, R3 = OH, alkoxy, cycloalkyloxy, an amino group or amino acid alkyl ester residue, etc.] and their pharmaceutically acceptable salts were prepared for use as antifungal agents. Thus, pseudomycin B was treated with p-O2NC6H4OCO2CH2-p-C6H4OP(O)(OCH2Ph)2 (p-O2NC6H4OR1) (preparation given) to yield the tri-substituted phosphate benzyloxycarbamate **prodrug** which was assayed for tail vein toxicity.

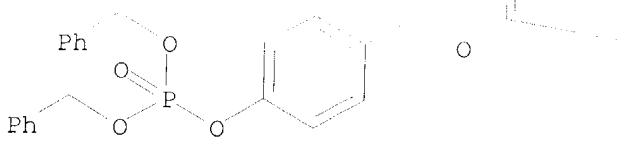
IT **342808-52-0P 342808-54-2P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of pseudomycin phosphate **prodrugs**)

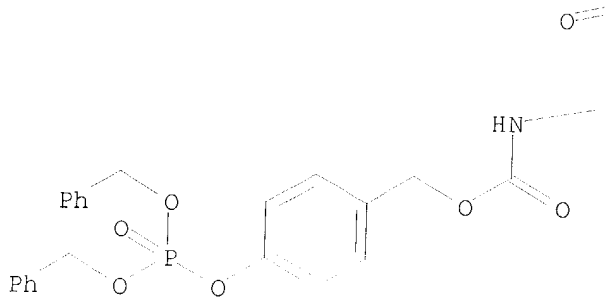
RN 342808-52-0 HCAPLUS

CN Pseudomycin B, 2-[(2R)-2-amino-4-[[[[4-[[bis(phenylmethoxy)phosphinyl]oxy]phenyl]methoxy]carbonyl]amino]butanoic acid]-4-[N6-[[[4-[[bis(phenylmethoxy)phosphinyl]oxy]phenyl]methoxy]carbonyl]-L-lysine]-5-[(2S)-2-amino-4-[[[[4-[[bis(phenylmethoxy)phosphinyl]oxy]phenyl]methoxy]carbonyl]amino]butanoic acid]- (9CI) (CA INDEX NAME)

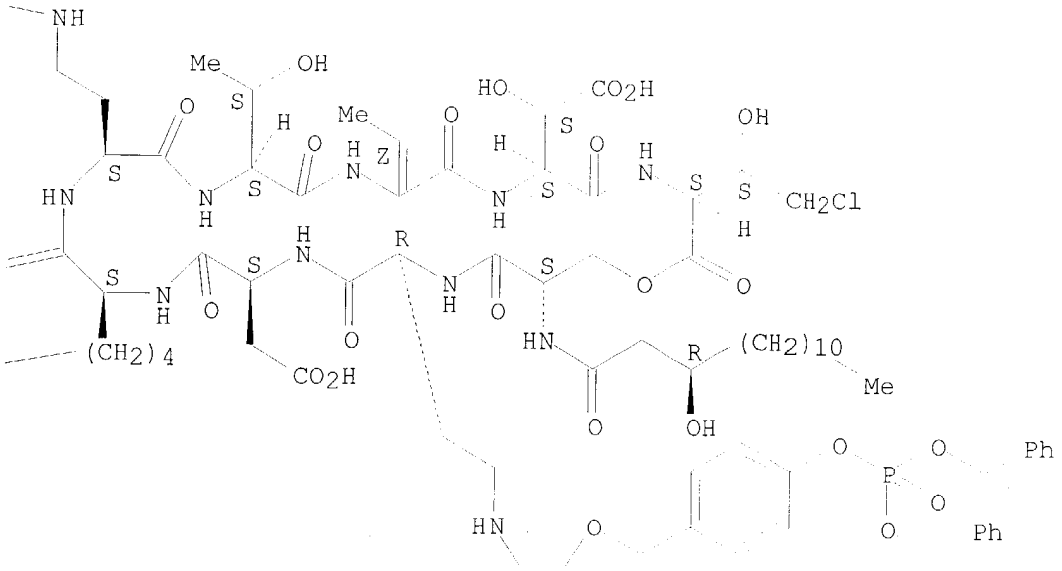
Absolute stereochemistry.
Double bond geometry as shown.

PAGE 1-A





PAGE 1-B

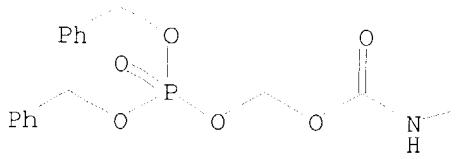


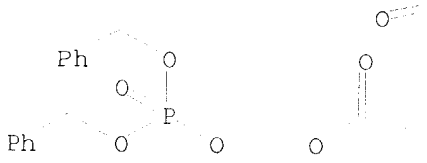
PAGE 2-B

RN 342808-54-2 HCAPLUS
CN Pseudomycin B, 2-[(2R)-2-amino-4-[[[[[bis(phenylmethoxy)phosphinyl]oxy]methoxy]carbonyl]amino]butanoic acid]-4-[N6-[[[[bis(phenylmethoxy)phosphinyl]oxy]methoxy]carbonyl]-L-lysine]-5-[(2S)-2-amino-4-[[[[[bis(phenylmethoxy)phosphinyl]oxy]methoxy]carbonyl]amino]butanoic acid]- (9CI) (CA INDEX NAME)

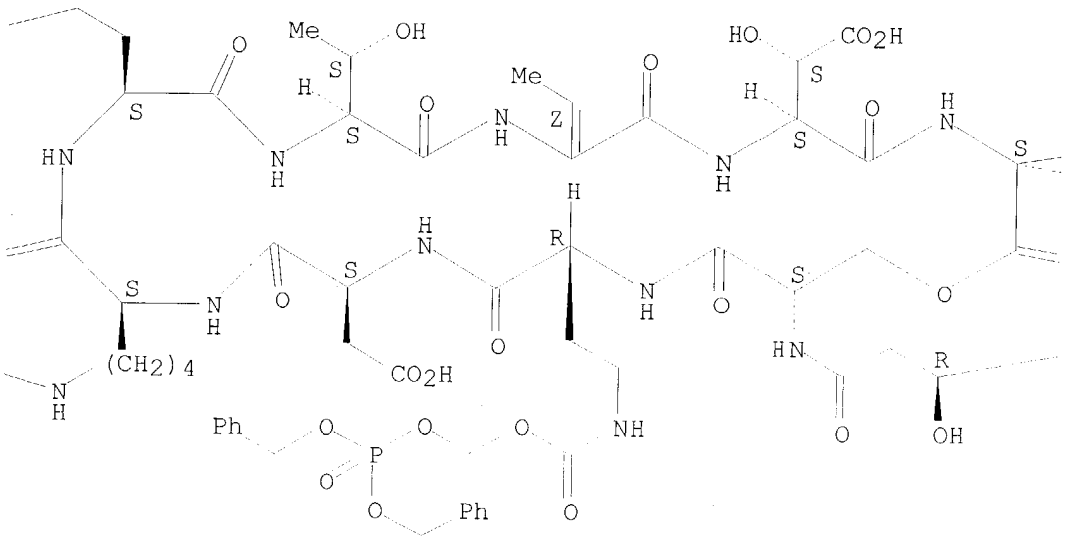
Absolute stereochemistry.
Double bond geometry as shown.

PAGE 1-A





PAGE 1-B



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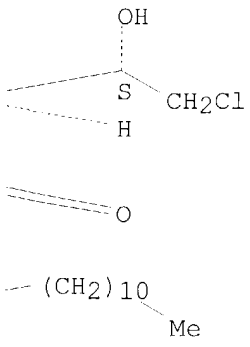
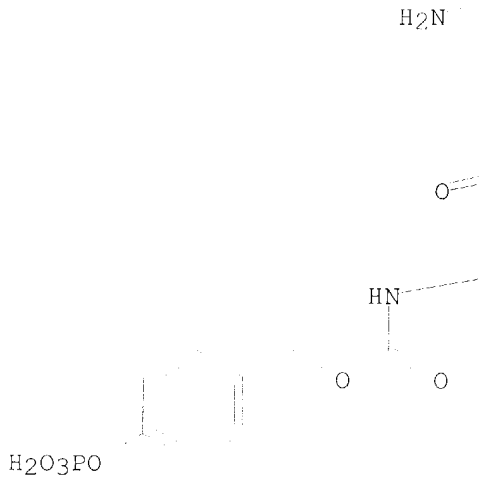


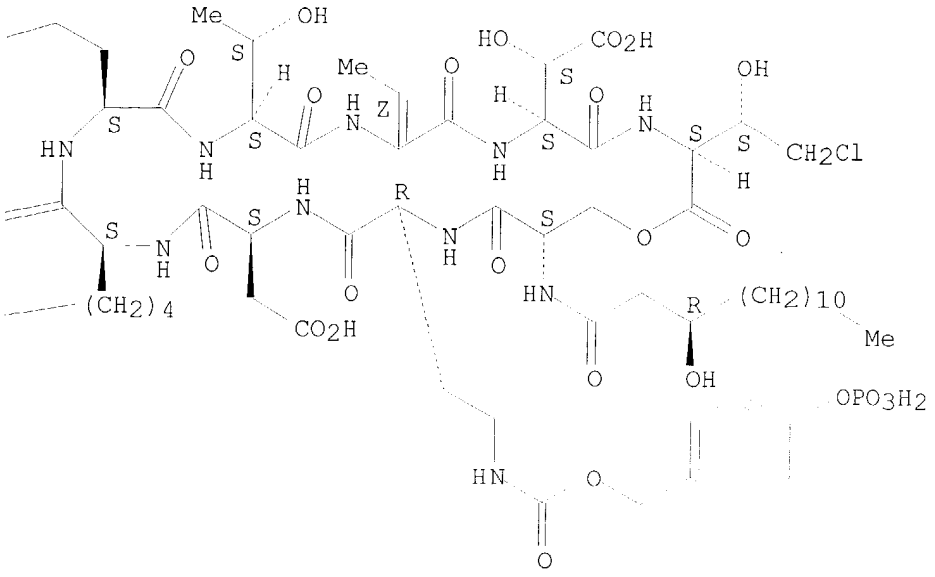
IT **342808-53-1P 342808-55-3P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pseudomycin phosphate **prodrugs**)
RN 342808-53-1 HCAPLUS
CN Pseudomycin B, 2-[(2R)-2-amino-4-[[[[4-(phosphonooxy)phenyl]methoxy]carbonyl]amino]butanoic acid]-4-[N6-[[[4-(phosphonooxy)phenyl]methoxy]carbonyl]-L-lysine]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

PAGE 1-A



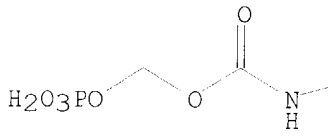
PAGE 1-B

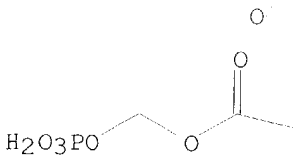


RN 342808-55-3 HCAPLUS
CN Pseudomycin B, 2-[(2R)-2-amino-4-[[[(phosphonooxy)methoxy]carbonyl]amino]butanoic acid]-4-[N6-[[(phosphonooxy)methoxy]carbonyl]-L-lysine]-5-[(2S)-2-amino-4-[[[(phosphonooxy)methoxy]carbonyl]amino]butanoic acid]- (9CI) (CA INDEX NAME)

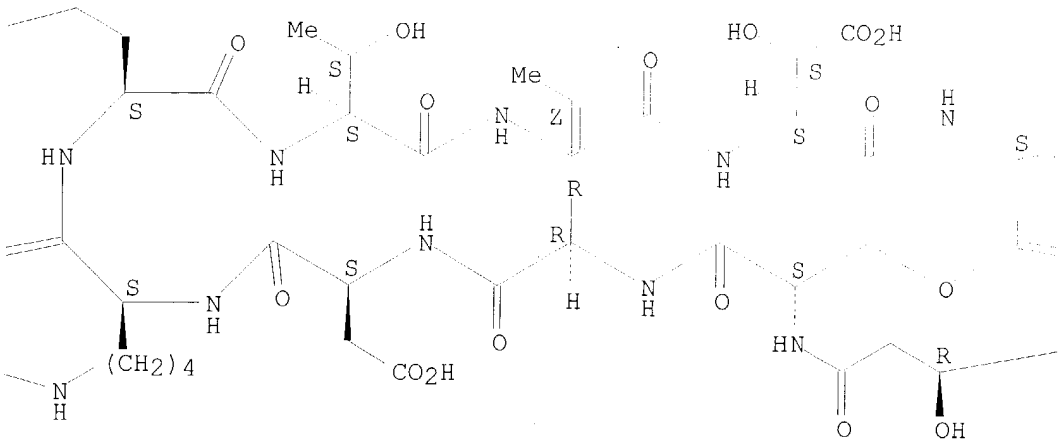
Absolute stereochemistry.
Double bond geometry as shown.

PAGE 1-A

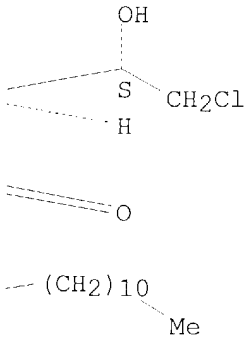




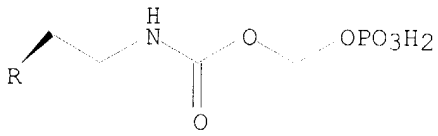
PAGE 1-B



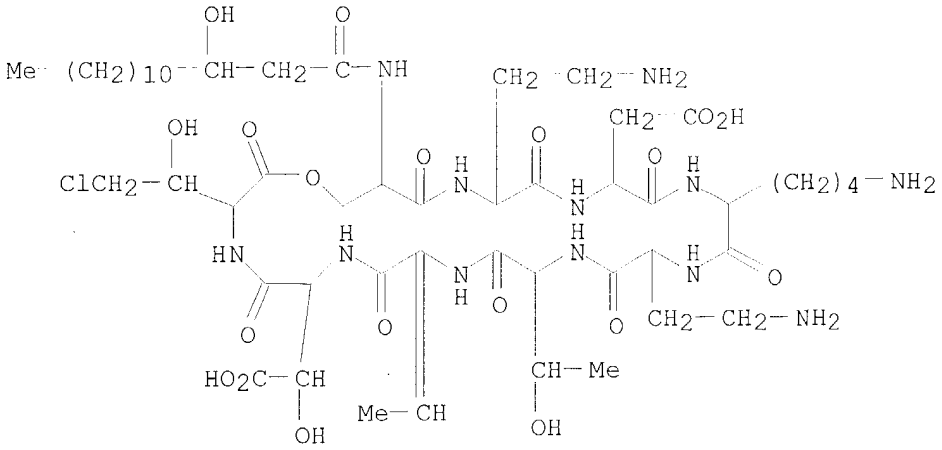
PAGE 1-C



PAGE 2-A



IT **139203-14-8,** Pseudomycin b
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of pseudomycin phosphate **prodrugs**)
RN 139203-14-8 HCAPLUS
CN Pseudomycin B (9CI) (CA INDEX NAME)



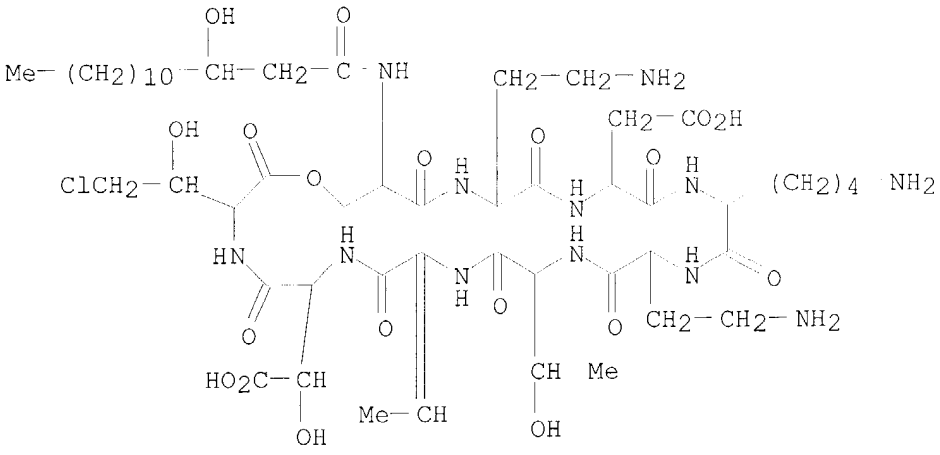
L59 ANSWER 8 OF 26 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:246317 HCAPLUS
DOCUMENT NUMBER: 135:46406
TITLE: Syntheses and antifungal activities of novel 3-amido bearing pseudomycin analogues
AUTHOR(S): Zhang, Y.-Z.; Sun, X.; Zeckner, D.; Sachs, R. K.; Current, W. L.; Gidda, J.; Rodriguez, M.; Chen, S.-H.
CORPORATE SOURCE: Lilly Research Laboratories, A Division of Eli Lilly and Company, Lilly Corporate Center, Indianapolis, IN, 46285, USA
SOURCE: Bioorganic & Medicinal Chemistry Letters (2001), 11(7), 903-907
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English

AB As a result of our core SAR effort, we discovered a large number of 3-amido (CONHR) pseudomycin B (PSB) analogs [e.g., R = cyclopropyl (LY448212) and R = (CH2)2NMe2 (LY448731)] that retain good in vitro and in vivo (IP) activities against Candida and Cryptococcus without inherent tail vein irritation. Several dimethylamino termini bearing 3-amides (e.g., LY448731) also exhibited improved potency against Aspergillus in vitro. When evaluated in a two-week rat toxicol. study, it was found that all animals receiving LY448212 (up to 75 mg/kg) were found to be normal. On the basis of these observations, we are convinced that it is possible to broaden the antifungal spectrum and improve the safety profile of pseudomycin analogs at the same time.

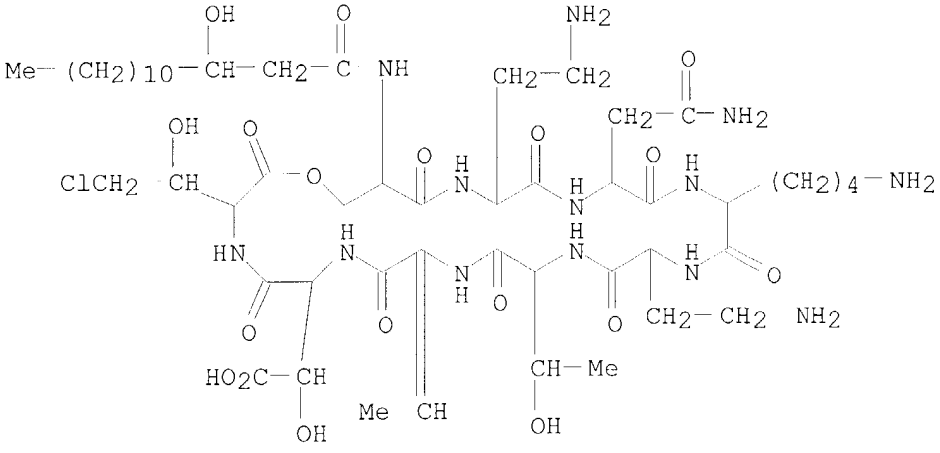
IT **139203-14-8DP**, Pseudomycin b, analogs **319497-07-9P**
319497-10-4P, LY 448212 **319497-19-3P**
344620-63-9P 344620-64-0P 344620-65-1P
344620-66-2P 344620-67-3P 344620-68-4P
344620-69-5P 344620-70-8P 344620-71-9P
344620-72-0P 344620-73-1P 344620-74-2P
344620-75-3P 344620-76-4P 344620-77-5P
344620-78-6P 344620-79-7P 344620-80-0P
344620-81-1P 344620-82-2P 344620-83-3P
344620-84-4P 344620-85-5P 344620-86-6P
344776-66-5P, LY 448731
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological

study); PREP (Preparation)
(syntheses and antifungal activities of novel 3-amido bearing pseudomycin analogs)

RN 139203-14-8 HCAPLUS
CN Pseudomycin B (9CI) (CA INDEX NAME)

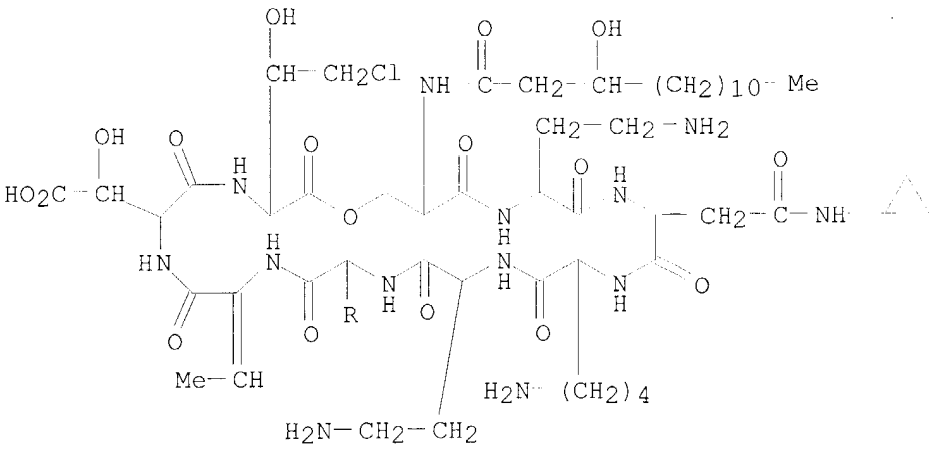


RN 319497-07-9 HCAPLUS
CN Pseudomycin B, 3-L-asparagine- (9CI) (CA INDEX NAME)

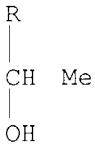


RN 319497-10-4 HCAPLUS
CN Pseudomycin B, 3-(N-cyclopropyl-L-asparagine)- (9CI) (CA INDEX NAME)

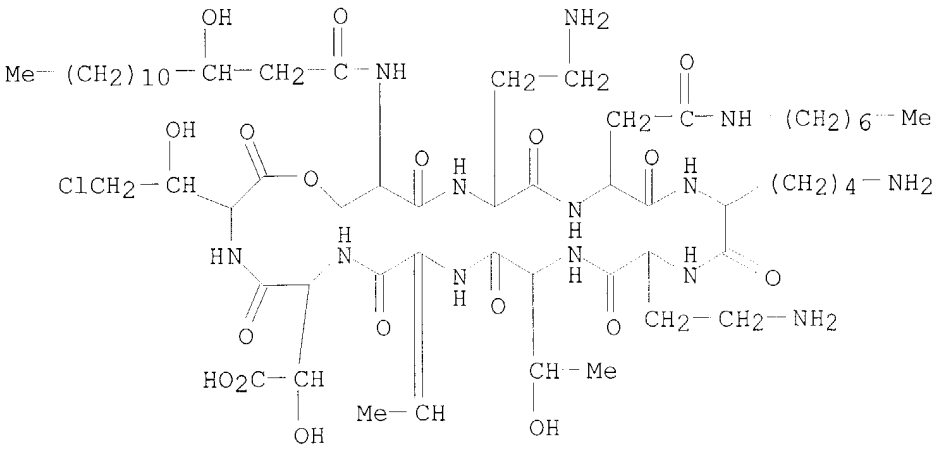
PAGE 1-A



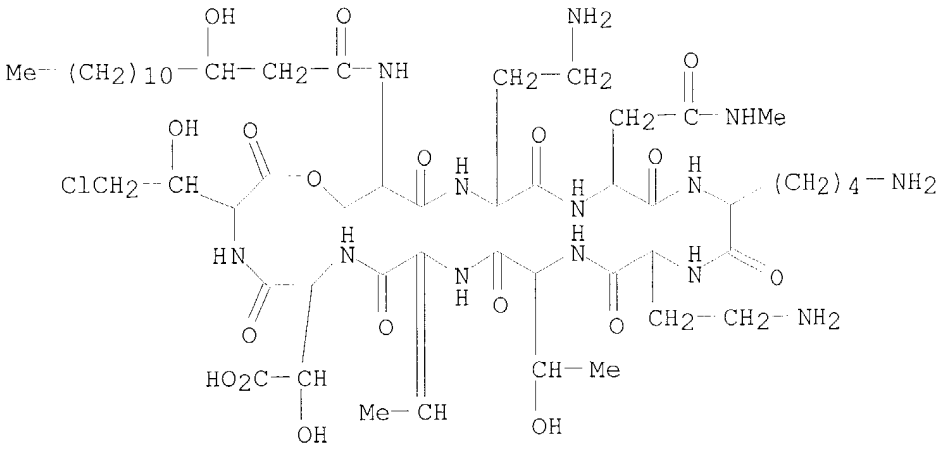
PAGE 2-A



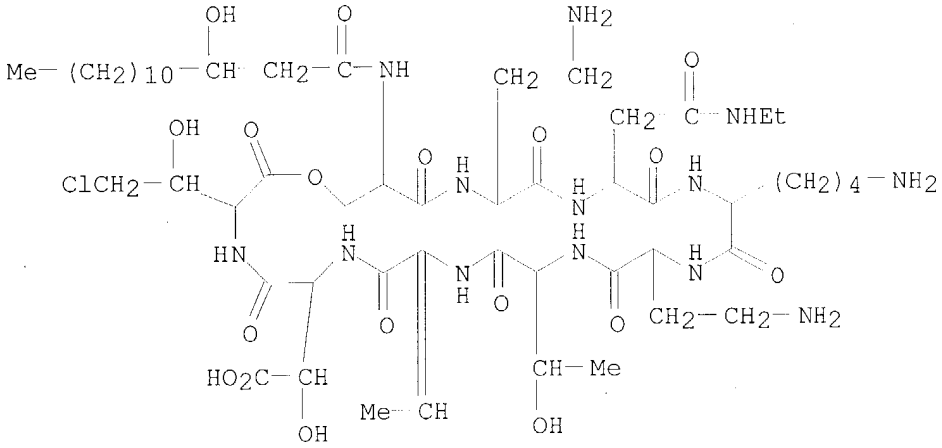
RN 319497-19-3 HCAPLUS
CN Pseudomycin B, 3-(N-heptyl-L-asparagine)- (9CI) (CA INDEX NAME)



RN 344620-63-9 HCAPLUS
CN Pseudomycin B, 3-(N-methyl-L-asparagine)- (9CI) (CA INDEX NAME)



RN 344620-64-0 HCAPLUS
CN Pseudomycin B, 3-(N-ethyl-L-asparagine)- (9CI) (CA INDEX NAME)



RN 344620-65-1 HCAPLUS
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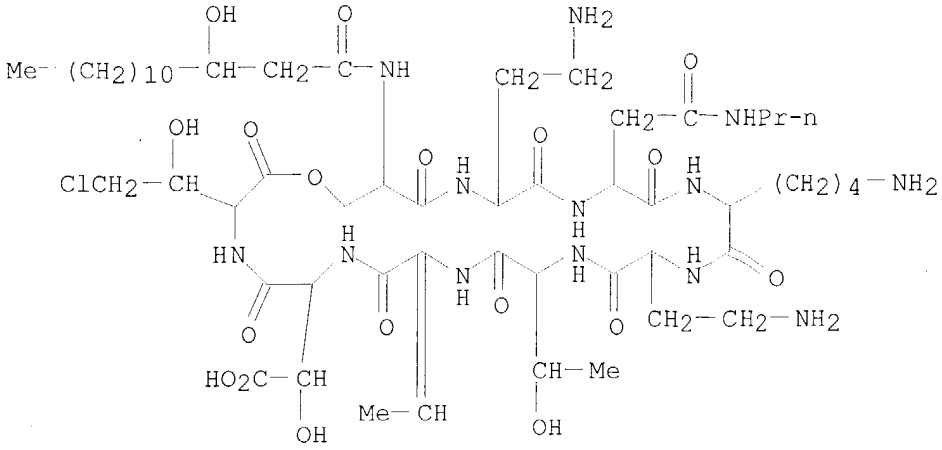
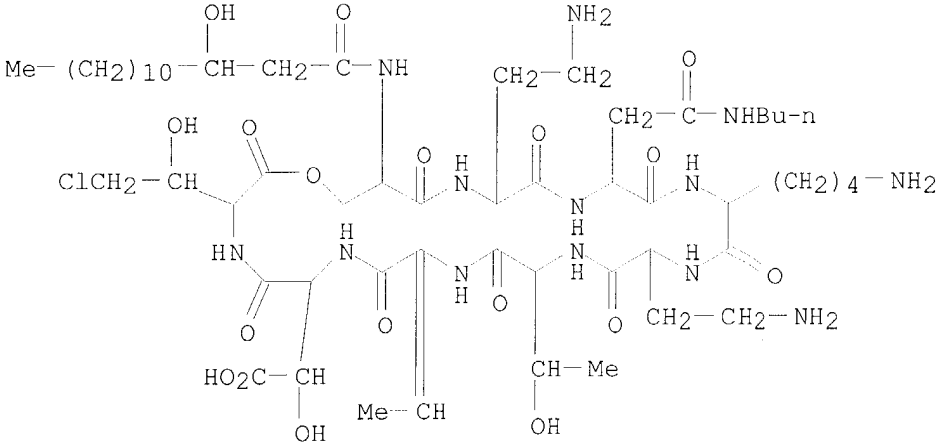
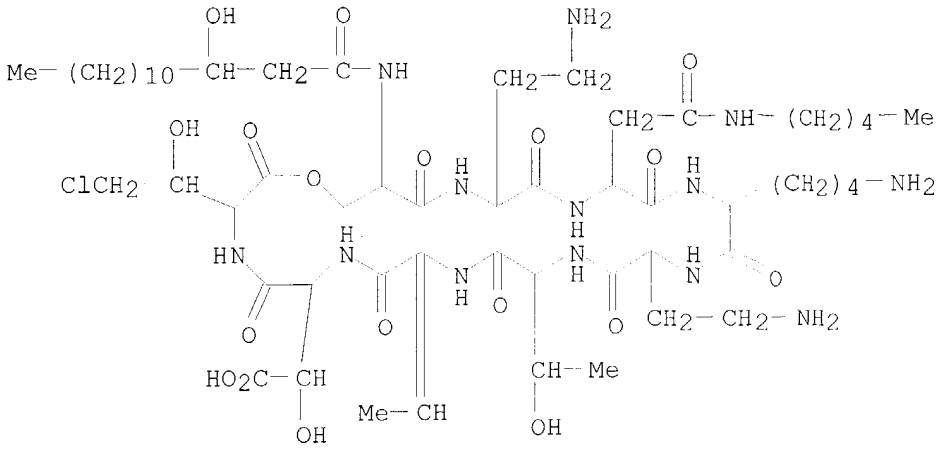


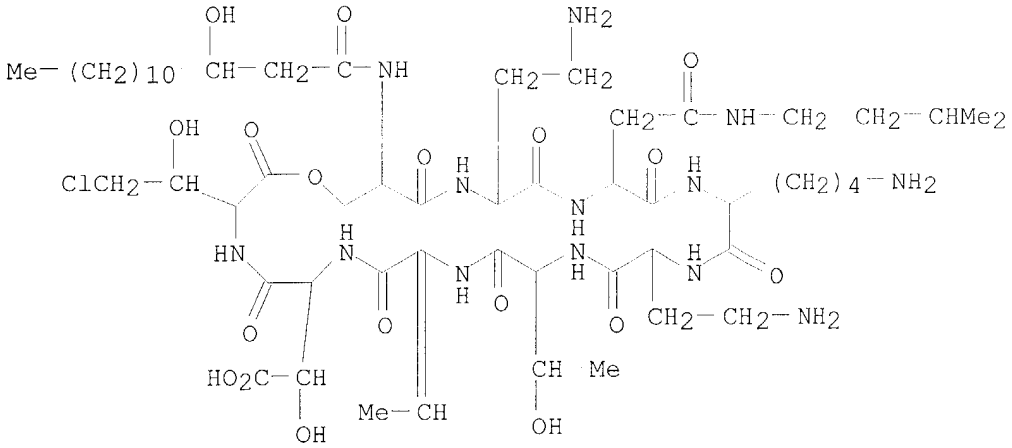
RN 344620-66-2 HCAPLUS
CN Pseudomycin B, 3-(N-butyl-L-asparagine)- (9CI) (CA INDEX NAME)



RN 344620-67-3 HCAPLUS
CN Pseudomycin B, 3-(N-pentyl-L-asparagine)- (9CI) (CA INDEX NAME)



RN 344620-68-4 HCAPLUS
CN Pseudomycin B, 3-[N-(3-methylbutyl)-L-asparagine]- (9CI) (CA INDEX NAME)



RN 344620-69-5 HCAPLUS
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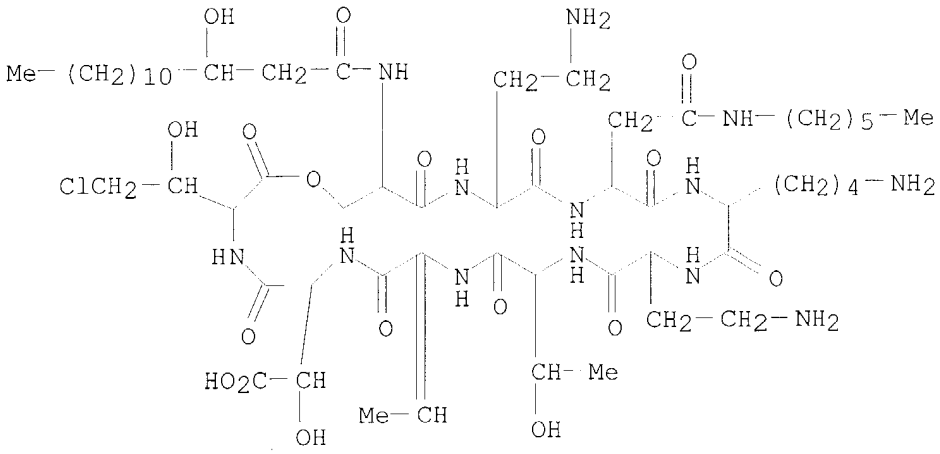

RN 344620-70-8 HCAPLUS
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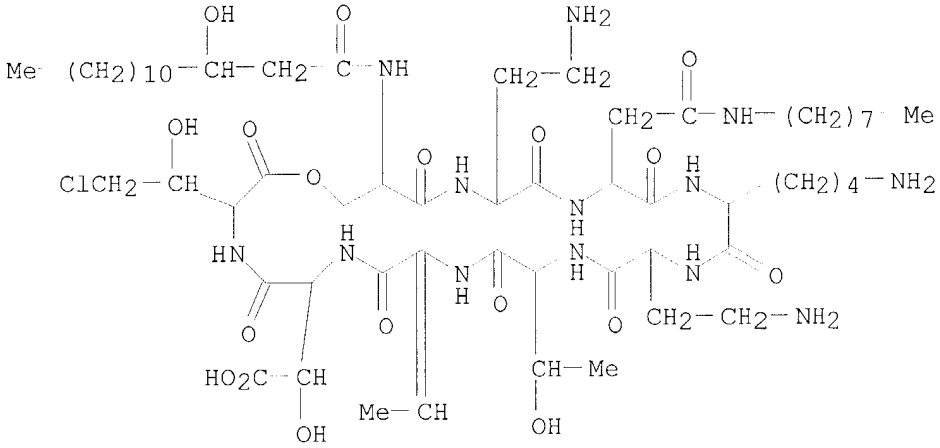
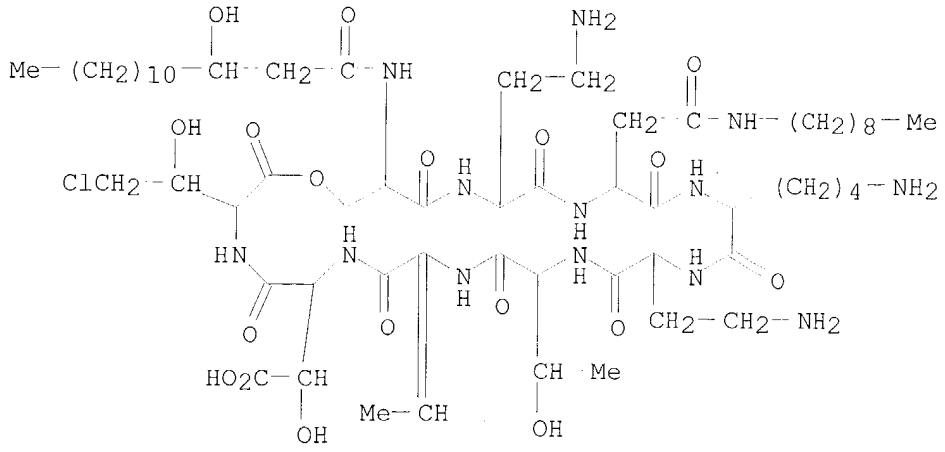
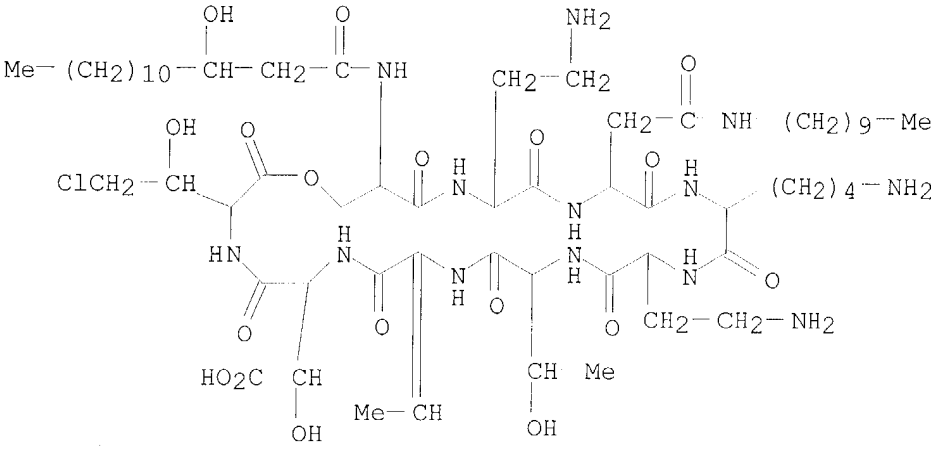


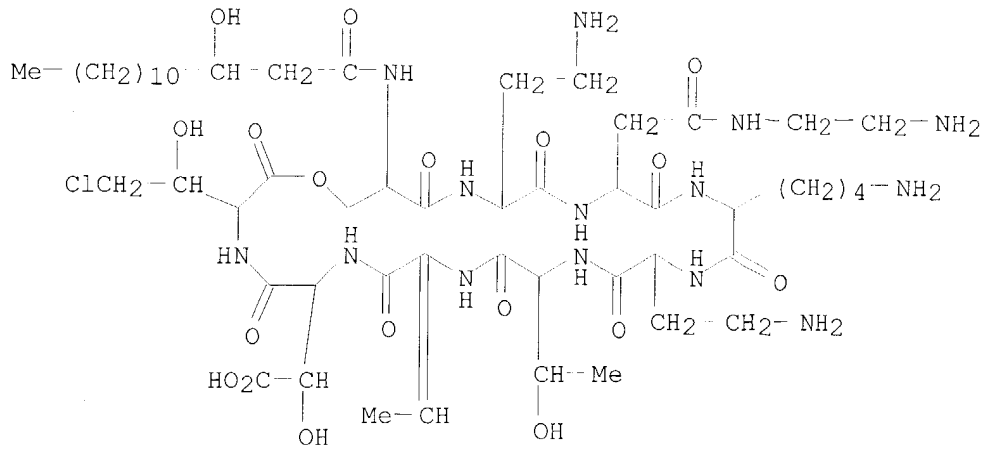
RN 344620-71-9 HCAPLUS
CN Pseudomycin B, 3-(N-nonyl-L-asparagine)- (9CI) (CA INDEX NAME)



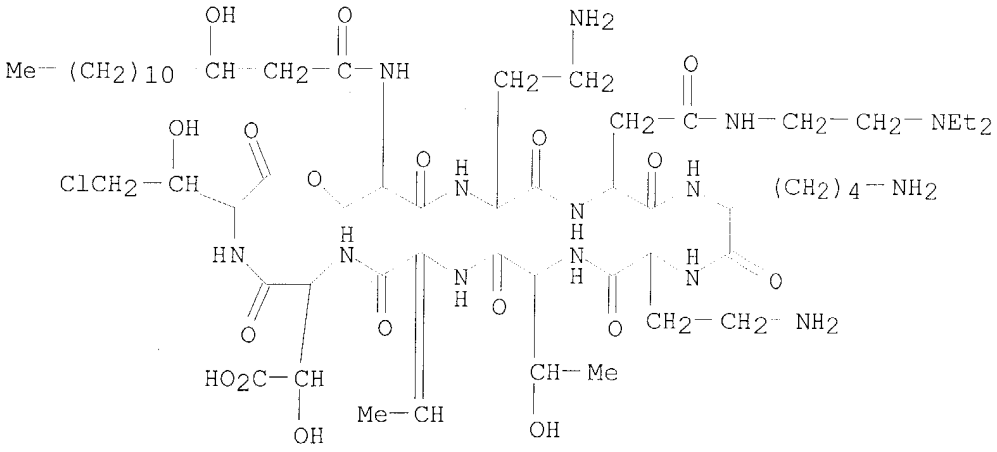
RN 344620-72-0 HCAPLUS
CN Pseudomycin B, 3-(N-decyl-L-asparagine)- (9CI) (CA INDEX NAME)



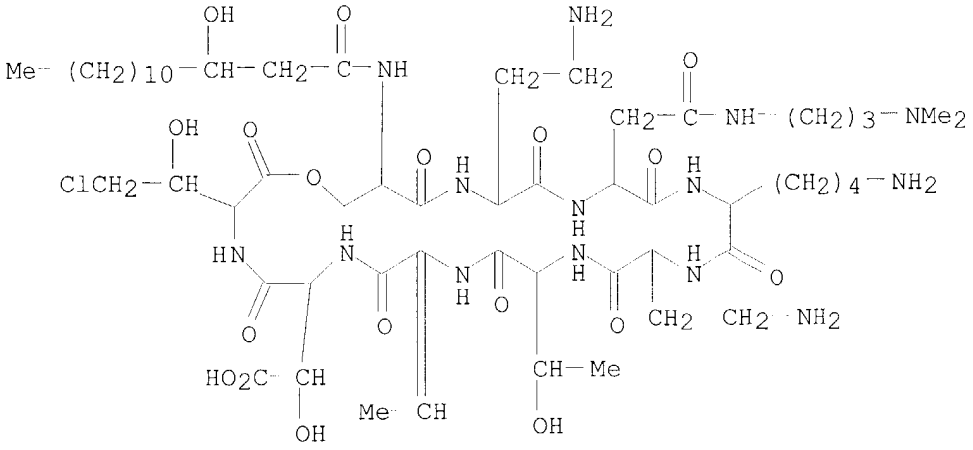
RN 344620-73-1 HCAPLUS
CN Pseudomycin B, 3-[N-(2-aminoethyl)-L-asparagine]- (9CI) (CA INDEX NAME)



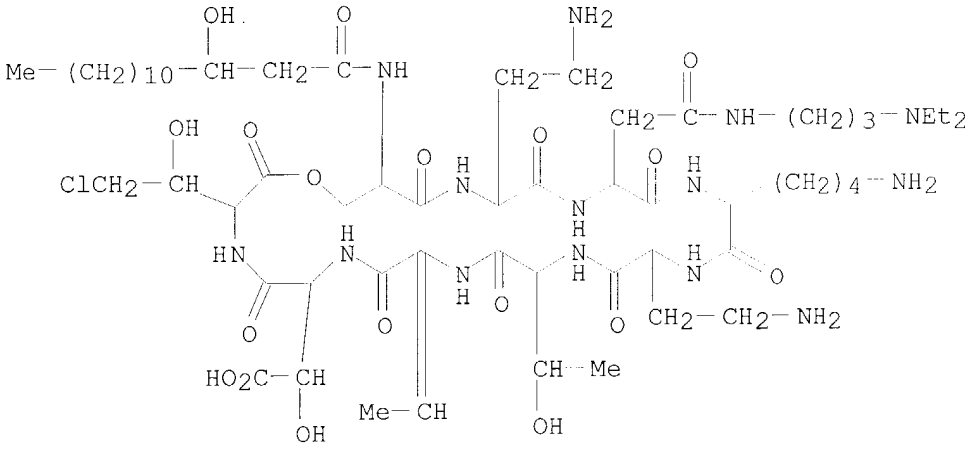
RN 344620-74-2 HCAPLUS
CN Pseudomycin B, 3-[N-[2-(diethylamino)ethyl]-L-asparagine]- (9CI) (CA INDEX NAME)



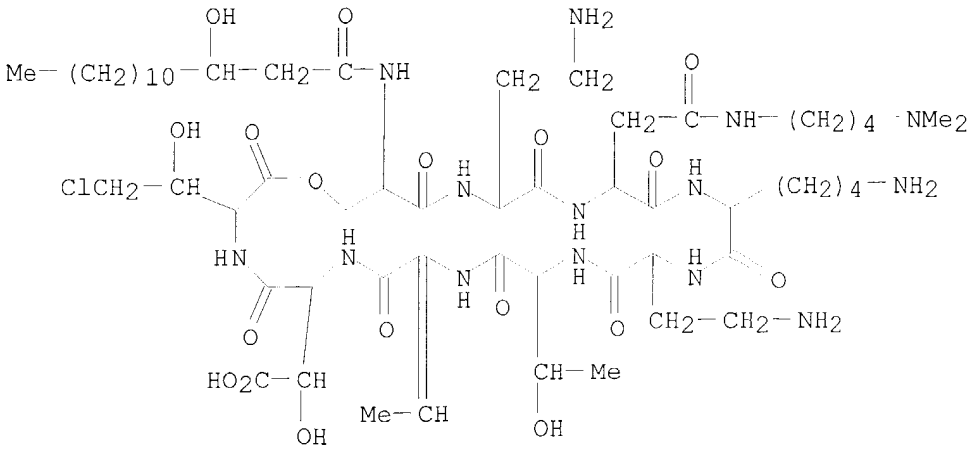
RN 344620-75-3 HCAPLUS
CN Pseudomycin B, 3-[N-[3-(dimethylamino)propyl]-L-asparagine]- (9CI) (CA INDEX NAME)



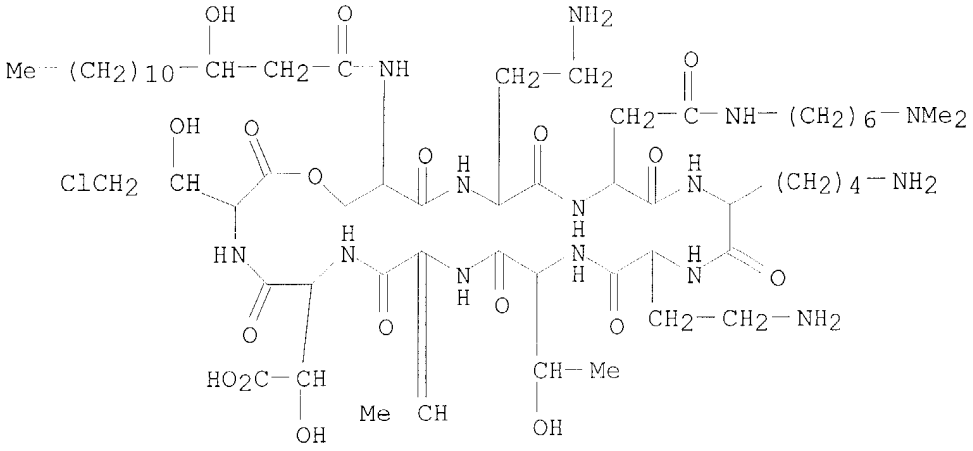
RN 344620-76-4 HCAPLUS
CN Pseudomycin B, 3-[N-[3-(diethylamino)propyl]-L-asparagine]- (9CI) (CA INDEX NAME)



RN 344620-77-5 HCAPLUS
CN Pseudomycin B, 3-[N-[4-(dimethylamino)butyl]-L-asparagine]- (9CI) (CA INDEX NAME)



RN 344620-78-6 HCAPLUS
CN Pseudomycin B, 3-[N-[6-(dimethylamino)hexyl]-L-asparagine]- (9CI) (CA INDEX NAME)



RN 344620-79-7 HCAPLUS
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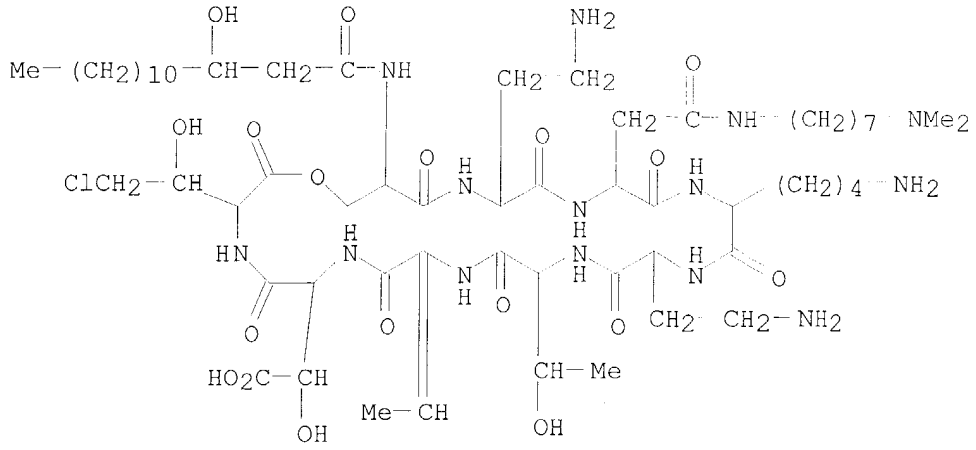

RN 344620-80-0 HCAPLUS
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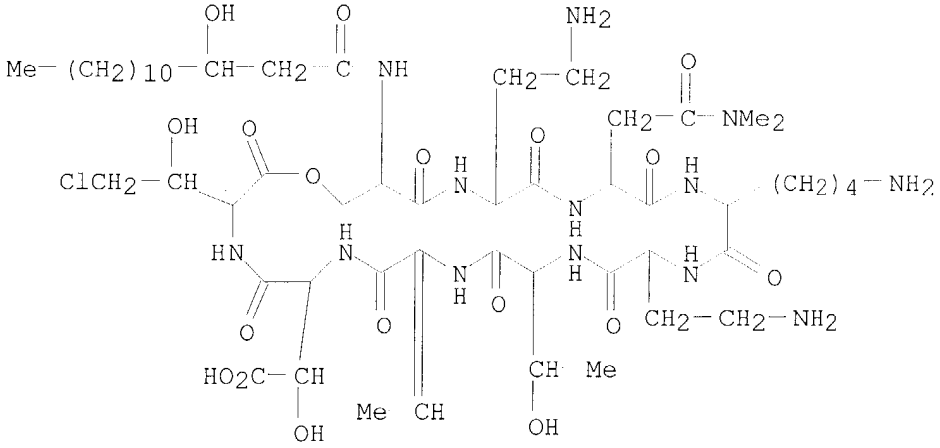
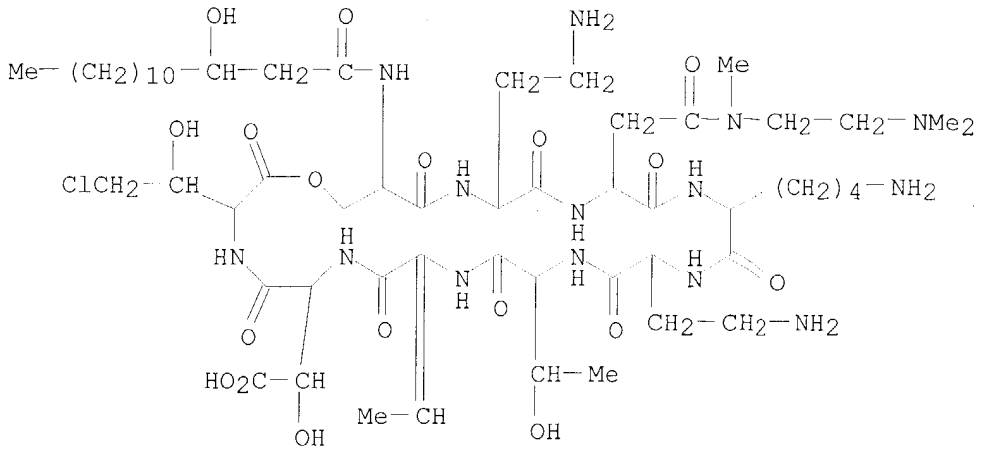
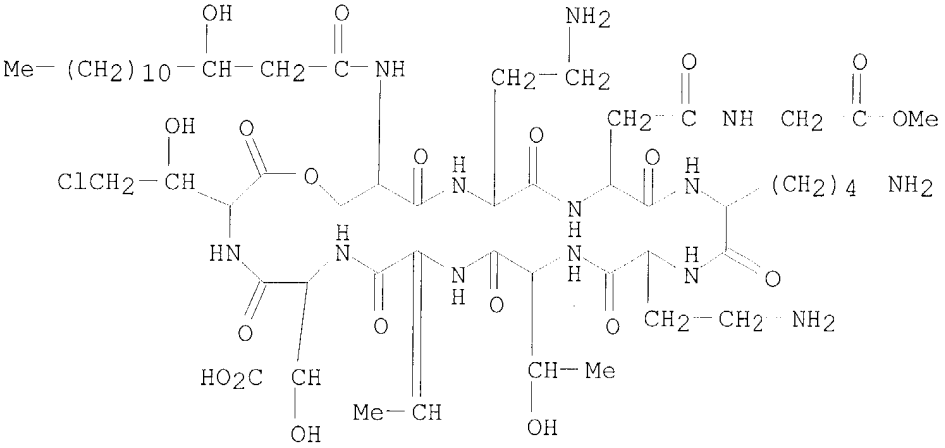


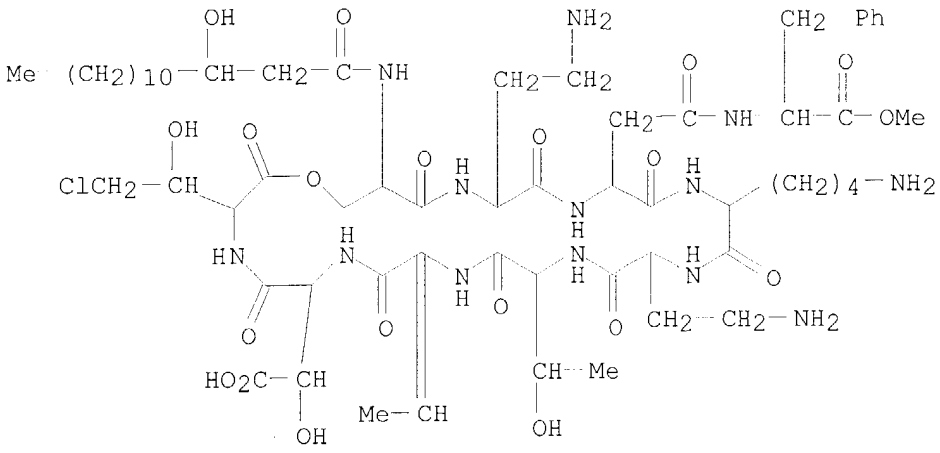
RN 344620-81-1 HCAPLUS
CN Pseudomycin B, 3-[N-[2-(dimethylamino)ethyl]-N-methyl-L-asparagine]- (9CI) (CA INDEX NAME)



RN 344620-82-2 HCAPLUS
CN Pseudomycin B, 3-[N-(2-methoxy-2-oxoethyl)-L-asparagine]- (9CI) (CA INDEX NAME)

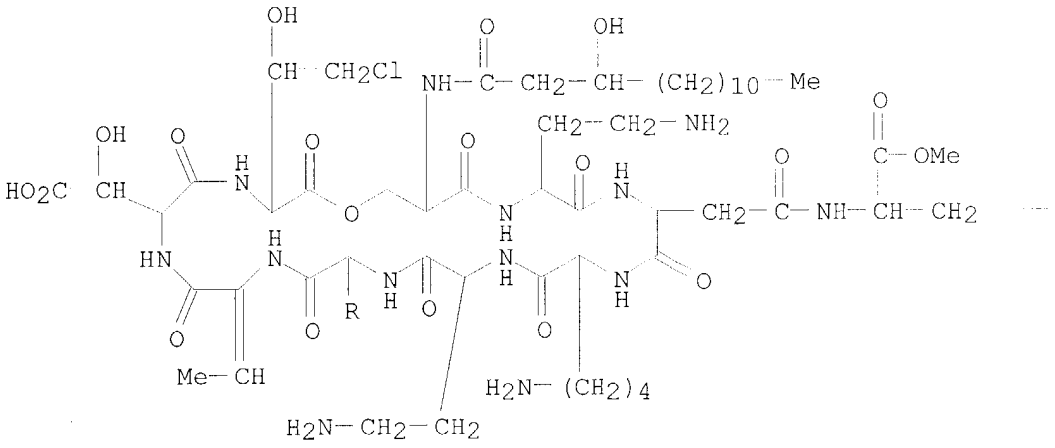


RN 344620-83-3 HCAPLUS
CN Pseudomycin B, 3-[N-[(1S)-2-methoxy-2-oxo-1-(phenylmethyl)ethyl]-L-asparagine]- (9CI) (CA INDEX NAME)

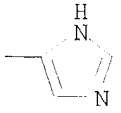


RN 344620-84-4 HCAPLUS
CN Pseudomycin B, 3-[N-[(1S)-1-(1H-imidazol-4-ylmethyl)-2-methoxy-2-oxoethyl]-L-asparagine]- (9CI) (CA INDEX NAME)

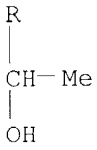
PAGE 1-A



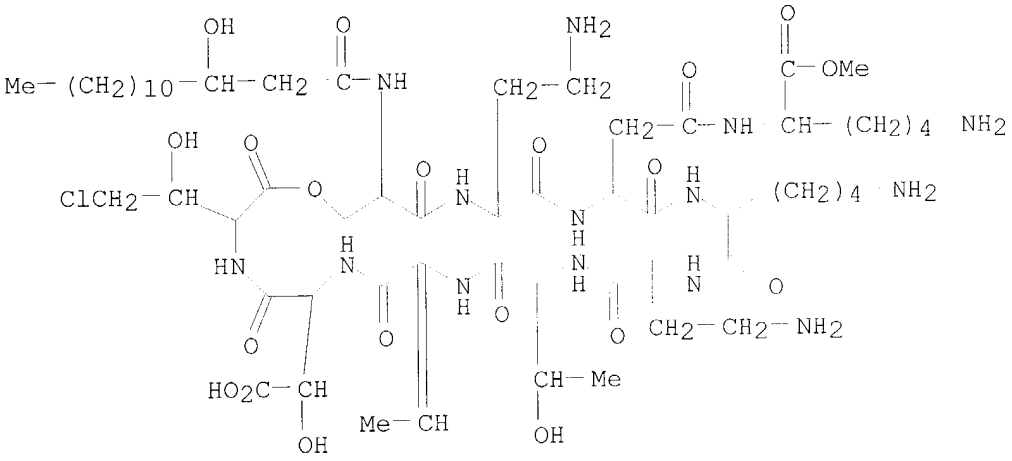
PAGE 1-B



PAGE 2-A

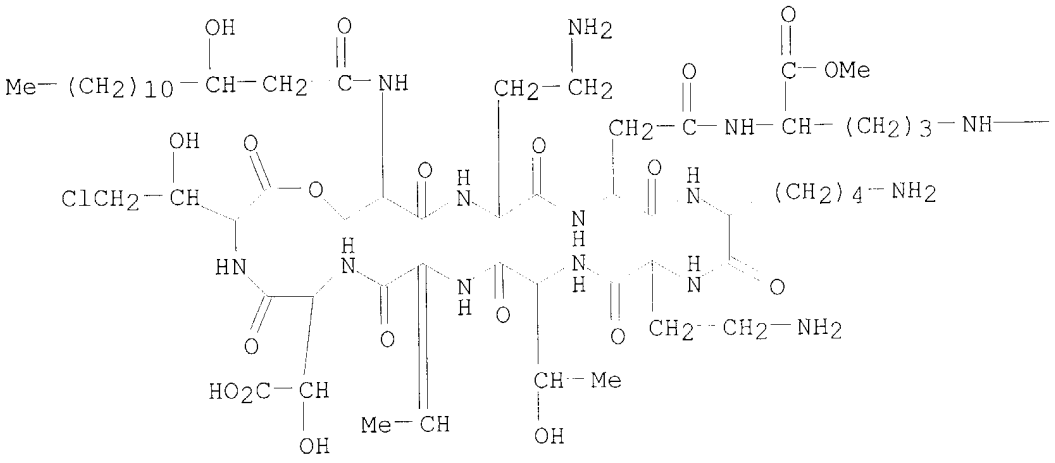


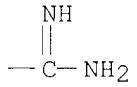
RN 344620-85-5 HCAPLUS
CN Pseudomycin B, 3-[N-[(1S)-5-amino-1-(methoxycarbonyl)pentyl]-L-asparagine]- (9CI) (CA INDEX NAME)



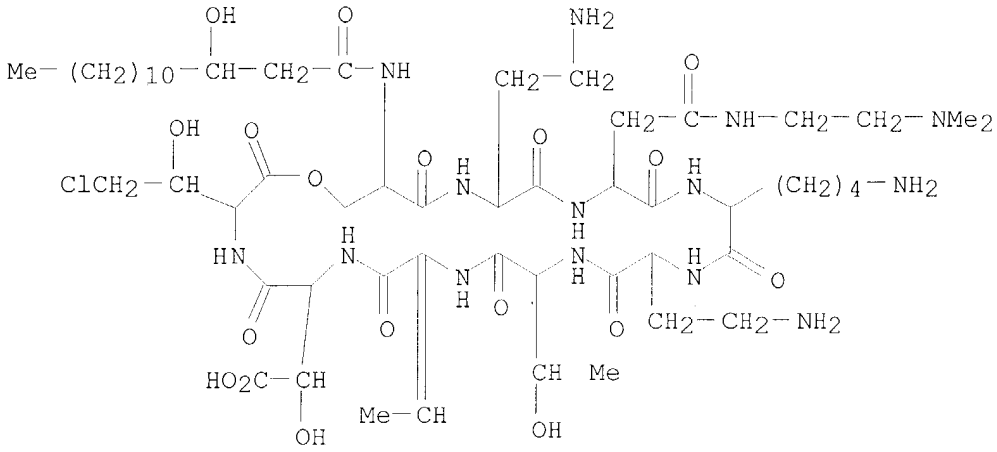
RN 344620-86-6 HCAPLUS
CN Pseudomycin B, 3-[N-[(1S)-4-[(aminoiminomethyl)amino]-1-(methoxycarbonyl)butyl]-L-asparagine]- (9CI) (CA INDEX NAME)

PAGE 1-A





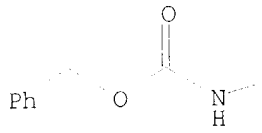
RN 344776-66-5 HCAPLUS
CN Pseudomycin B, 3-[N-[2-(dimethylamino)ethyl]-L-asparagine]- (9CI) (CA INDEX NAME)

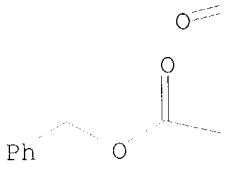


IT **277758-37-9**
RL: RCT (Reactant); RACT (Reactant or reagent)
(syntheses and antifungal activities of novel 3-amido bearing pseudomycin analogs)
RN 277758-37-9 HCAPLUS
CN Pseudomycin B, 2-[(2R)-2-amino-4-[[(phenylmethoxy)carbonyl]amino]butanoic acid]-4-[N6-[(phenylmethoxy)carbonyl]-L-lysine]-5-[(2S)-2-amino-4-[[(phenylmethoxy)carbonyl]amino]butanoic acid]- (9CI) (CA INDEX NAME)

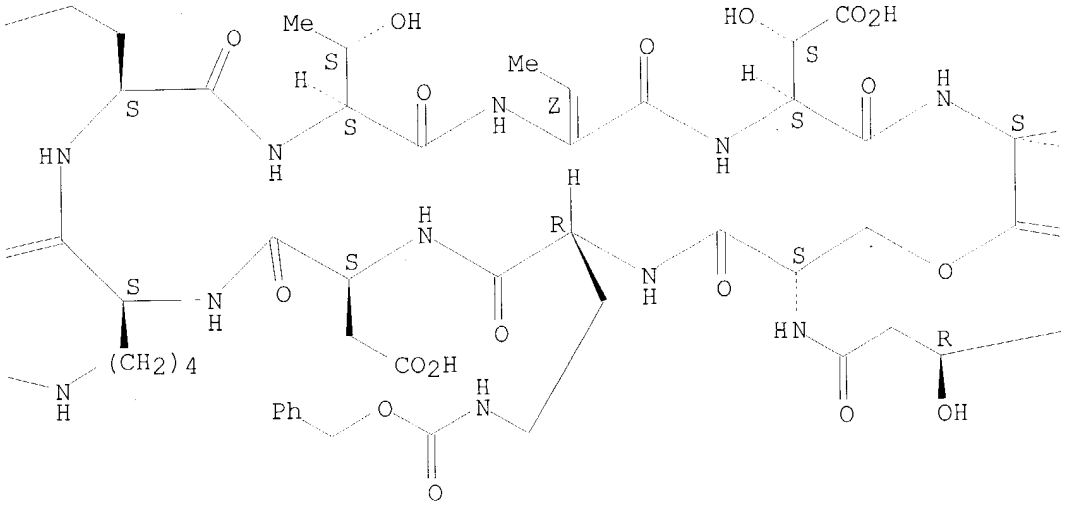
Absolute stereochemistry.
Double bond geometry as shown.

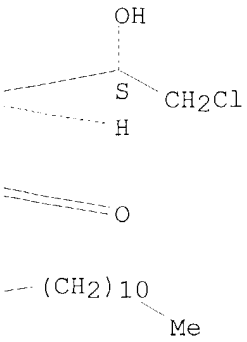
PAGE 1-A





PAGE 1-B





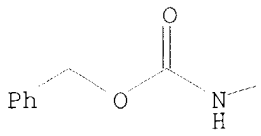
IT **319497-08-0P 319497-09-1P 319497-14-8P**
344620-87-7P 344620-88-8P 344620-89-9P
344620-90-2P 344620-91-3P 344620-92-4P
344620-93-5P 344620-94-6P 344620-95-7P
344620-96-8P 344620-97-9P 344620-98-0P
344620-99-1P 344621-00-7P 344621-01-8P
344621-02-9P 344621-03-0P 344621-04-1P
344621-05-2P 344621-06-3P 344621-07-4P
344621-08-5P 344621-09-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(syntheses and antifungal activities of novel 3-amido bearing pseudomycin analogs)

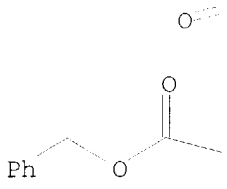
RN 319497-08-0 HCAPLUS

CN Pseudomycin B, 2-[(2R)-2-amino-4-[[(phenylmethoxy)carbonyl]amino]butanoic acid]-3-L-asparagine-4-[N6-[(phenylmethoxy)carbonyl]-L-lysine]-5-[(2S)-2-amino-4-[[(phenylmethoxy)carbonyl]amino]butanoic acid]- (9CI) (CA INDEX NAME)

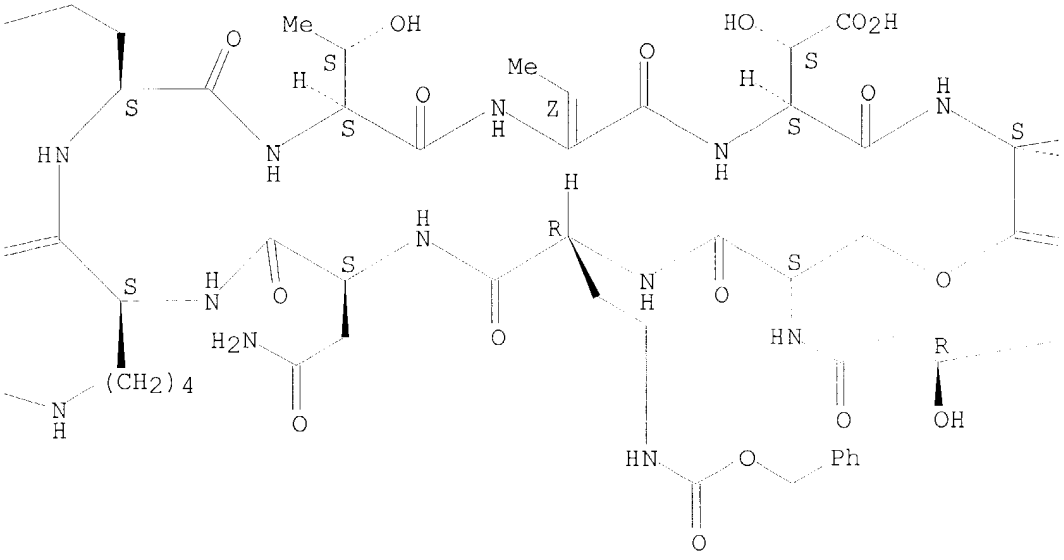
Absolute stereochemistry.
Double bond geometry as shown.

PAGE 1-A

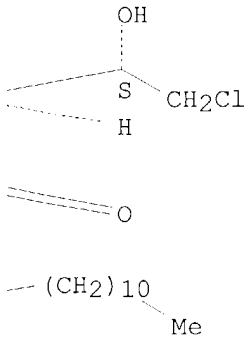




PAGE 1-B



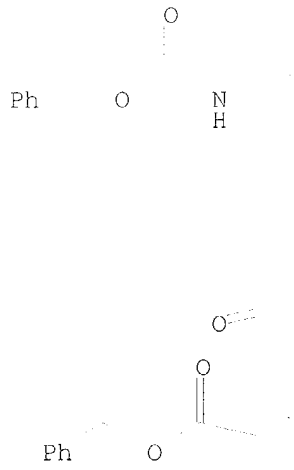
PAGE 1-C



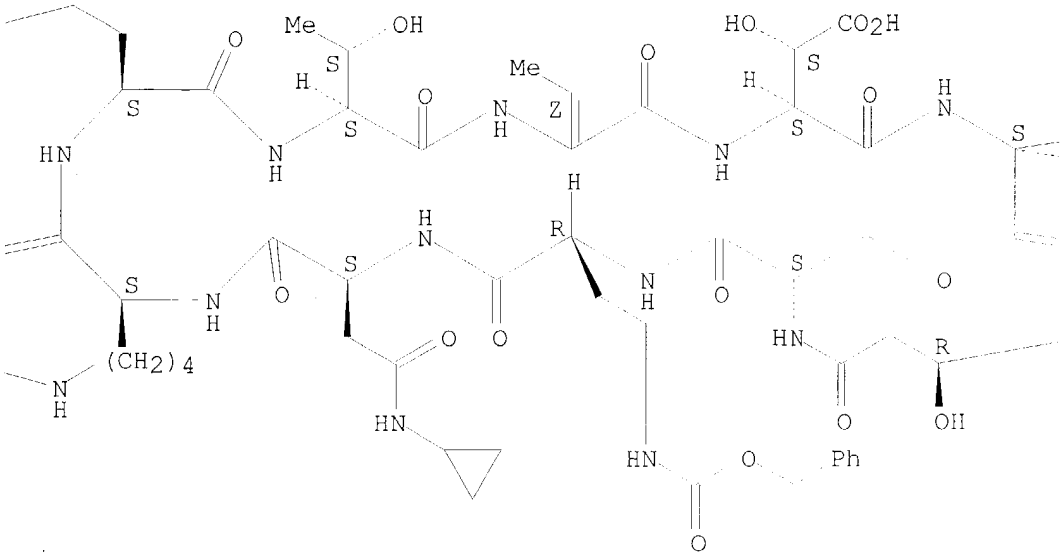
RN 319497-09-1 HCAPLUS
CN Pseudomycin B, 2-[(2R)-2-amino-4-[[(phenylmethoxy)carbonyl]amino]butanoic acid]-3-(N-cyclopropyl-L-asparagine)-4-[N6-[(phenylmethoxy)carbonyl]-L-lysine]-5-[(2S)-2-amino-4-[[(phenylmethoxy)carbonyl]amino]butanoic acid]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

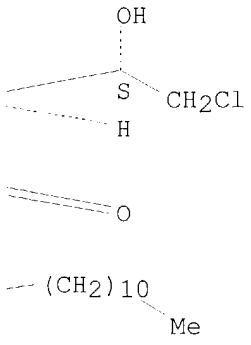
PAGE 1-A



PAGE 1-B



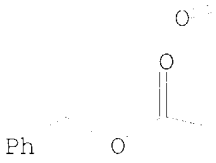
PAGE 1-C



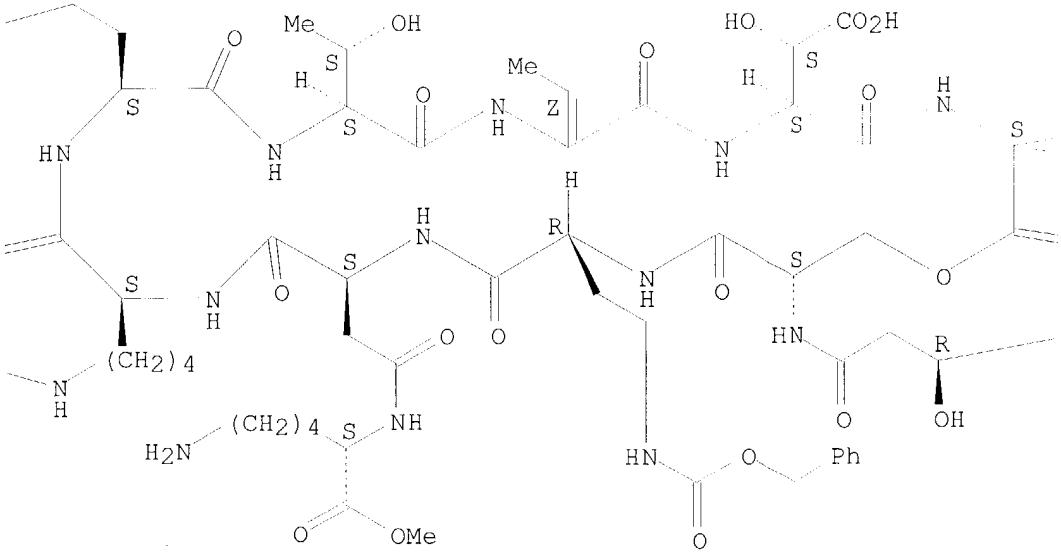
RN 319497-14-8 HCAPLUS
CN Pseudomycin B, 2-[(2R)-2-amino-4-[[(phenylmethoxy)carbonyl]amino]butanoic acid]-3-[N-[(1S)-5-amino-1-(methoxycarbonyl)pentyl]-L-asparagine]-4-[N6-[(phenylmethoxy)carbonyl]-L-lysine]-5-[(2S)-2-amino-4-[[(phenylmethoxy)carbonyl]amino]butanoic acid]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

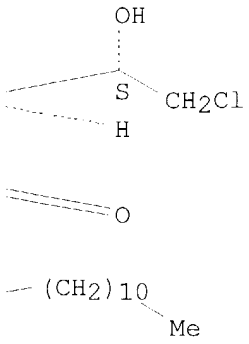
PAGE 1-A



PAGE 1-B



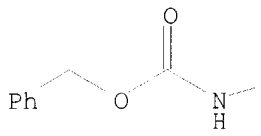
PAGE 1-C

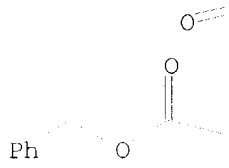


RN 344620-87-7 HCAPLUS
CN Pseudomycin B, 2-[(2R)-2-amino-4-[[(phenylmethoxy)carbonyl]amino]butanoic acid]-3-(N-methyl-L-asparagine)-4-[N6-[(phenylmethoxy)carbonyl]-L-lysine]-5-[(2S)-2-amino-4-[[(phenylmethoxy)carbonyl]amino]butanoic acid]- (9CI) (CA INDEX NAME)

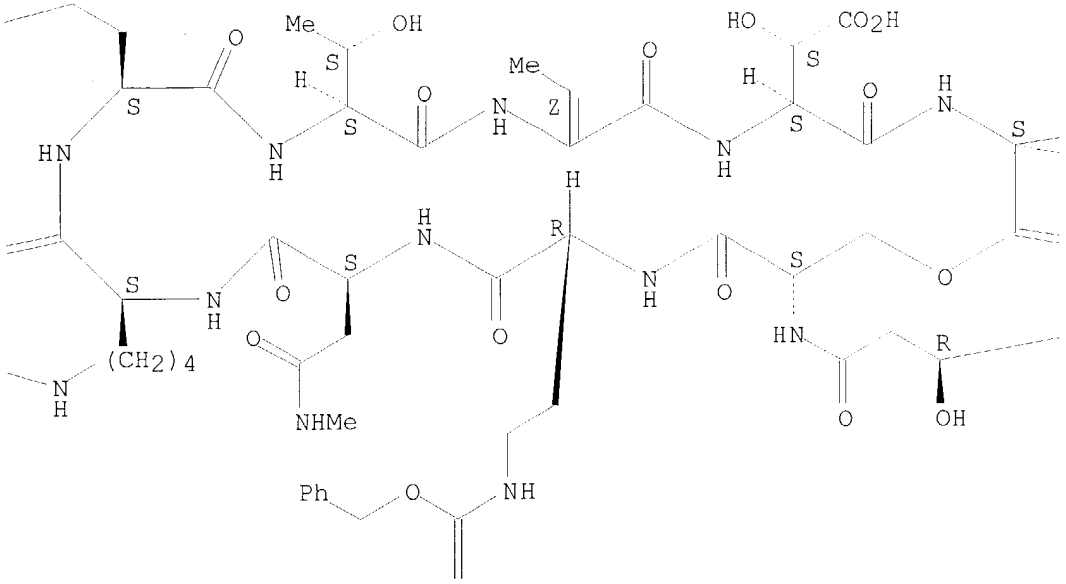
Absolute stereochemistry.
Double bond geometry as shown.

PAGE 1-A

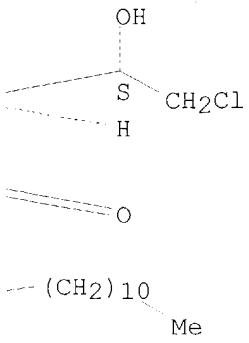




PAGE 1-B



PAGE 1-C



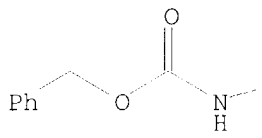
PAGE 2-B

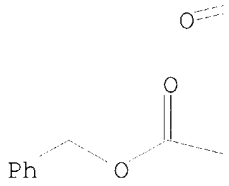
RN 344620-88-8 HCAPLUS
CN Pseudomycin B, 2-[(2R)-2-amino-4-[[(phenylmethoxy)carbonyl]amino]butanoic acid]-3-(N-ethyl-L-asparagine)-4-[N6-[(phenylmethoxy)carbonyl]-L-lysine]-5-

[(2S)-2-amino-4-[[(phenylmethoxy)carbonyl]amino]butanoic acid]- (9CI) (CA INDEX NAME)

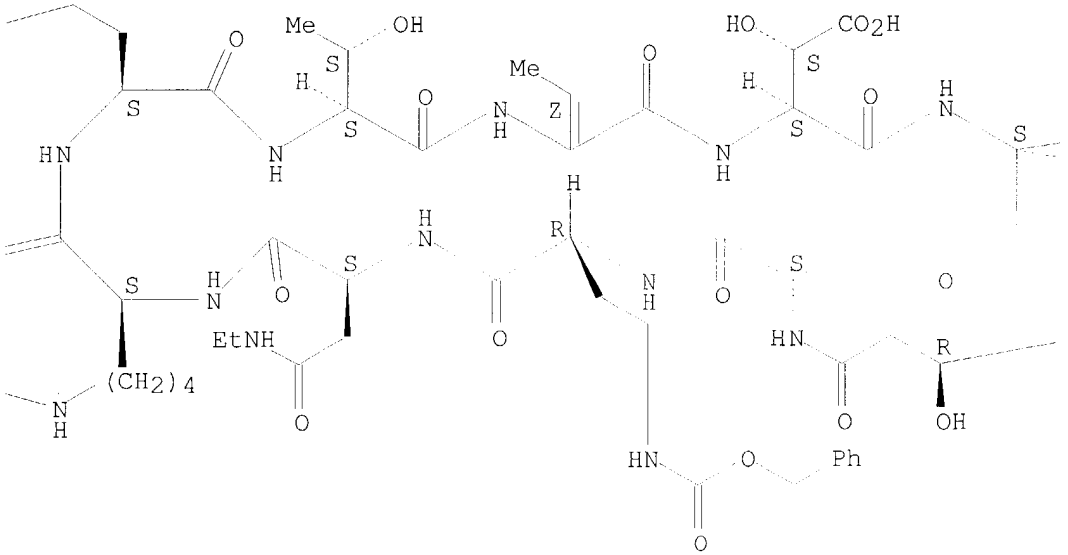
Absolute stereochemistry.
Double bond geometry as shown.

PAGE 1-A

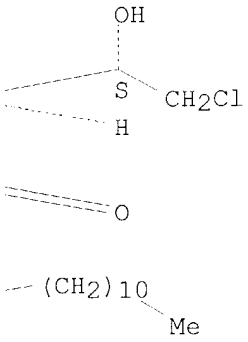




PAGE 1-B



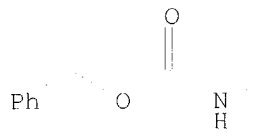
PAGE 1-C

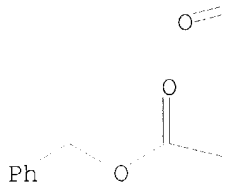


RN 344620-89-9 HCAPLUS
CN Pseudomycin B, 2-[(2R)-2-amino-4-[[(phenylmethoxy)carbonyl]amino]butanoic acid]-3-(N-propyl-L-asparagine)-4-[N6-[(phenylmethoxy)carbonyl]-L-lysine]-5-[(2S)-2-amino-4-[[(phenylmethoxy)carbonyl]amino]butanoic acid]- (9CI) (CA INDEX NAME)

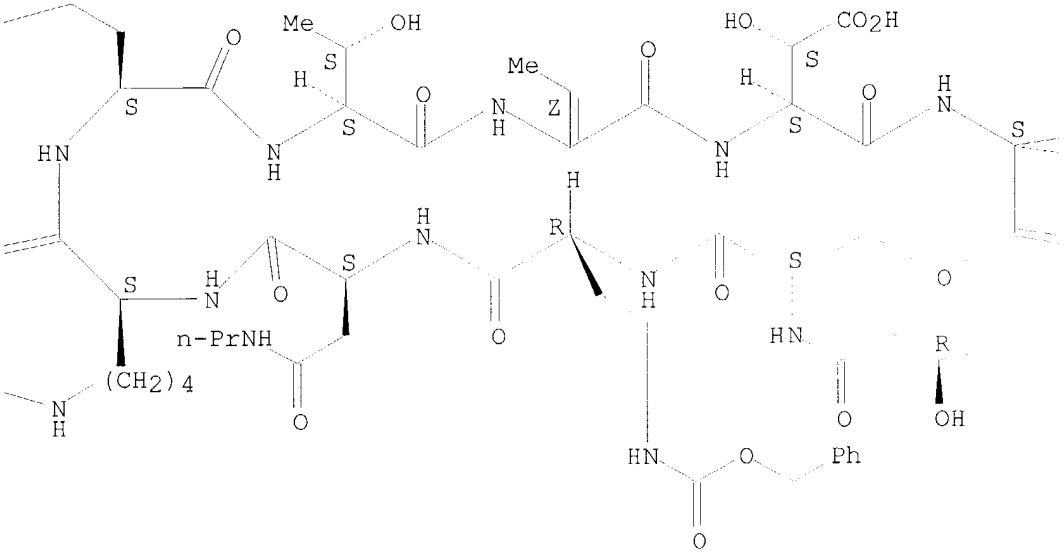
Absolute stereochemistry.
Double bond geometry as shown.

PAGE 1-A

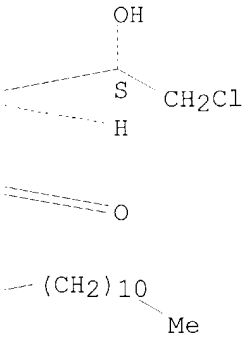




PAGE 1-B



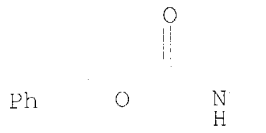
PAGE 1-C

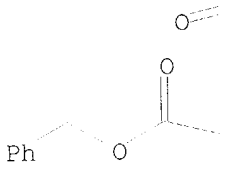


RN 344620-90-2 HCAPLUS
CN Pseudomycin B, 2-[(2R)-2-amino-4-[[(phenylmethoxy)carbonyl]amino]butanoic acid]-3-(N-pentyl-L-asparagine)-4-[N6-[(phenylmethoxy)carbonyl]-L-lysine]-5-[(2S)-2-amino-4-[[(phenylmethoxy)carbonyl]amino]butanoic acid]- (9CI) (CA INDEX NAME)

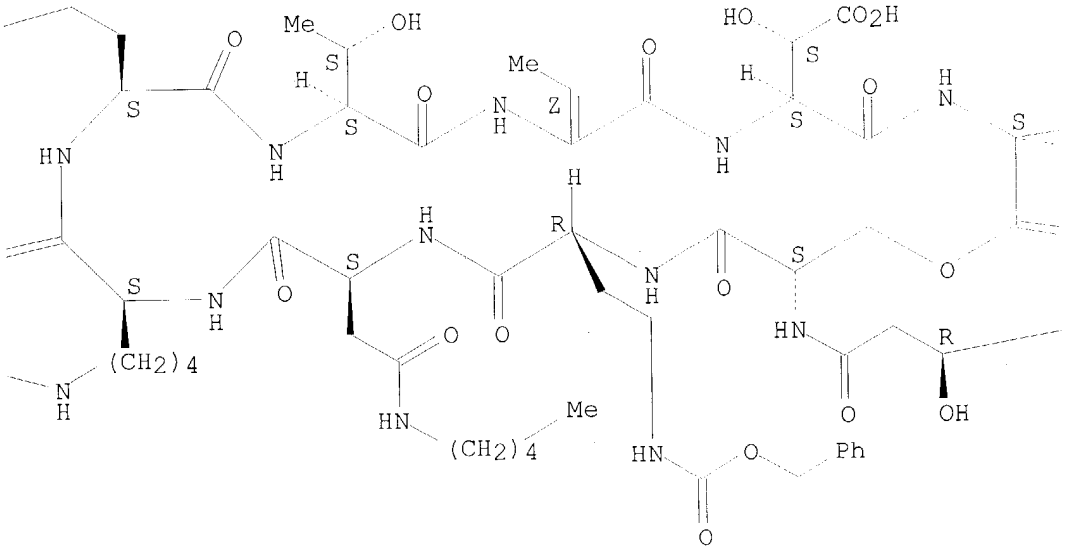
Absolute stereochemistry.
Double bond geometry as shown.

PAGE 1-A

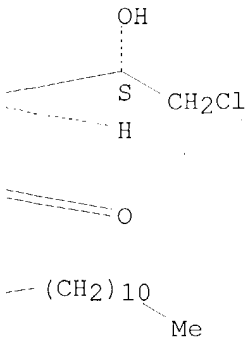




PAGE 1-B



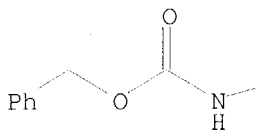
PAGE 1-C

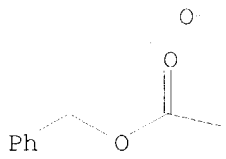


RN 344620-91-3 HCAPLUS
CN Pseudomycin B, 2-[(2R)-2-amino-4-[[(phenylmethoxy)carbonyl]amino]butanoic acid]-3-[N-(3-methylbutyl)-L-asparagine]-4-[N6-[(phenylmethoxy)carbonyl]-L-lysine]-5-[(2S)-2-amino-4-[[(phenylmethoxy)carbonyl]amino]butanoic acid]-(9CI) (CA INDEX NAME)

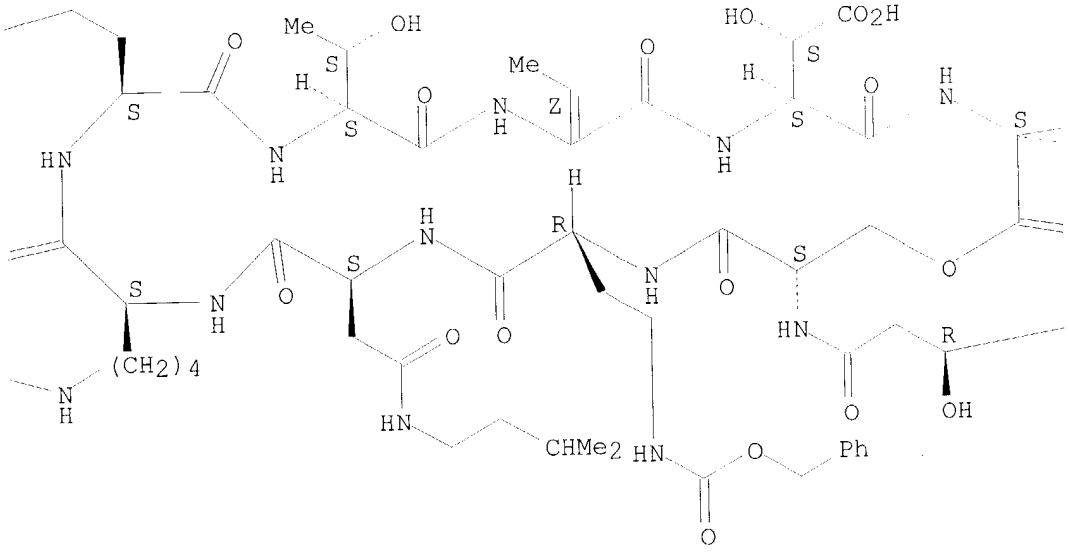
Absolute stereochemistry.
Double bond geometry as shown.

PAGE 1-A

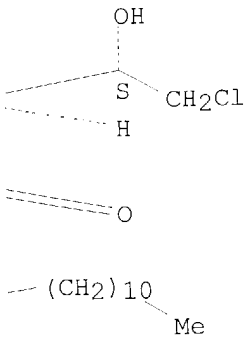




PAGE 1-B



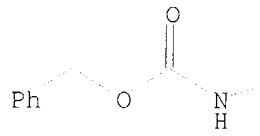
PAGE 1-C

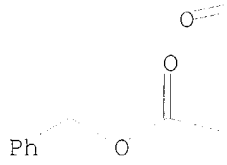


RN 344620-92-4 HCAPLUS
CN Pseudomycin B, 2-[(2R)-2-amino-4-[[(phenylmethoxy)carbonyl]amino]butanoic acid]-3-(N-hexyl-L-asparagine)-4-[N6-[(phenylmethoxy)carbonyl]-L-lysine]-5-[(2S)-2-amino-4-[[(phenylmethoxy)carbonyl]amino]butanoic acid]- (9CI) (CA INDEX NAME)

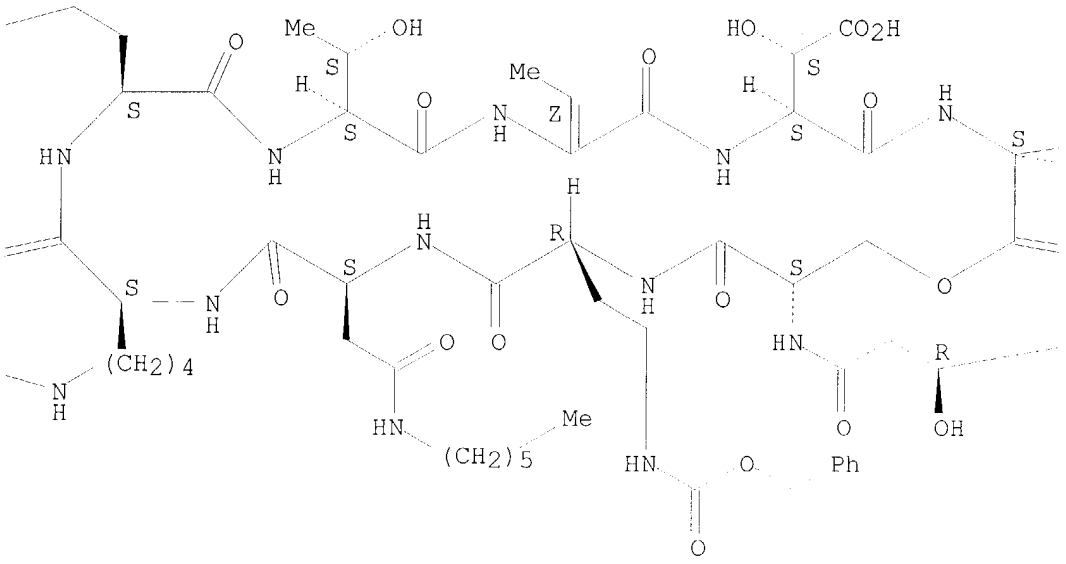
Absolute stereochemistry.
Double bond geometry as shown.

PAGE 1-A

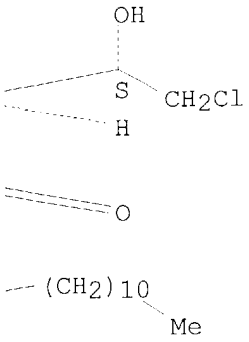




PAGE 1-B



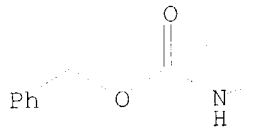
PAGE 1-C

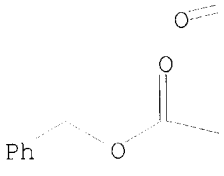


RN 344620-93-5 HCAPLUS
CN Pseudomycin B, 2-[(2R)-2-amino-4-[[(phenylmethoxy)carbonyl]amino]butanoic acid]-3-(N-heptyl-L-asparagine)-4-[N6-[(phenylmethoxy)carbonyl]-L-lysine]-5-[(2S)-2-amino-4-[[(phenylmethoxy)carbonyl]amino]butanoic acid]- (9CI) (CA INDEX NAME)

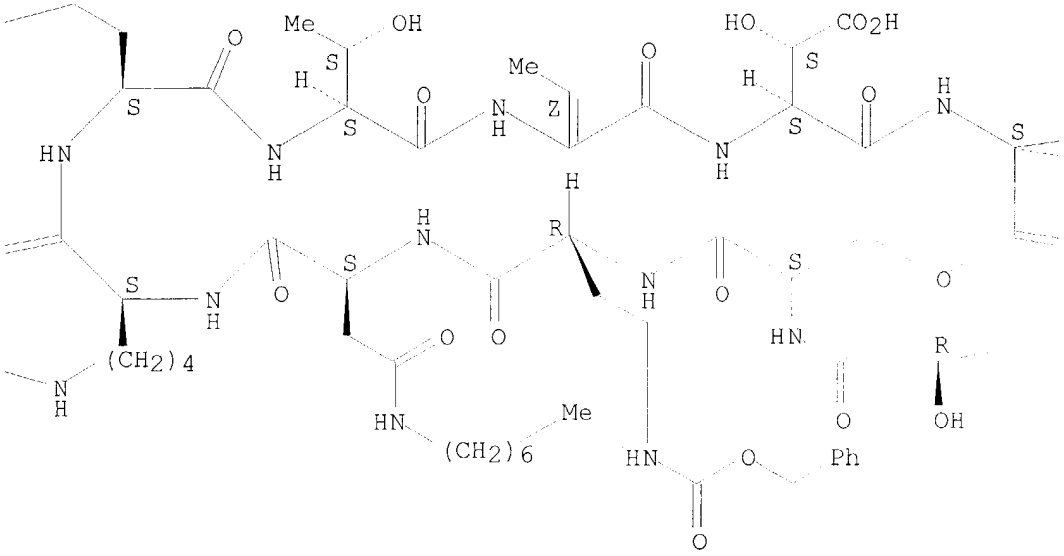
Absolute stereochemistry.
Double bond geometry as shown.

PAGE 1-A

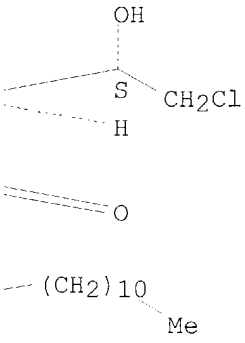




PAGE 1-B



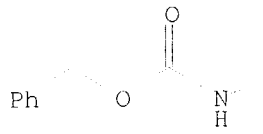
PAGE 1-C

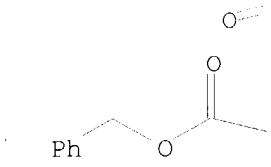


RN 344620-94-6 HCAPLUS
CN Pseudomycin B, 2-[(2R)-2-amino-4-[[(phenylmethoxy)carbonyl]amino]butanoic acid]-3-(N-octyl-L-asparagine)-4-[N6-[(phenylmethoxy)carbonyl]-L-lysine]-5-[(2S)-2-amino-4-[[(phenylmethoxy)carbonyl]amino]butanoic acid]- (9CI) (CA INDEX NAME)

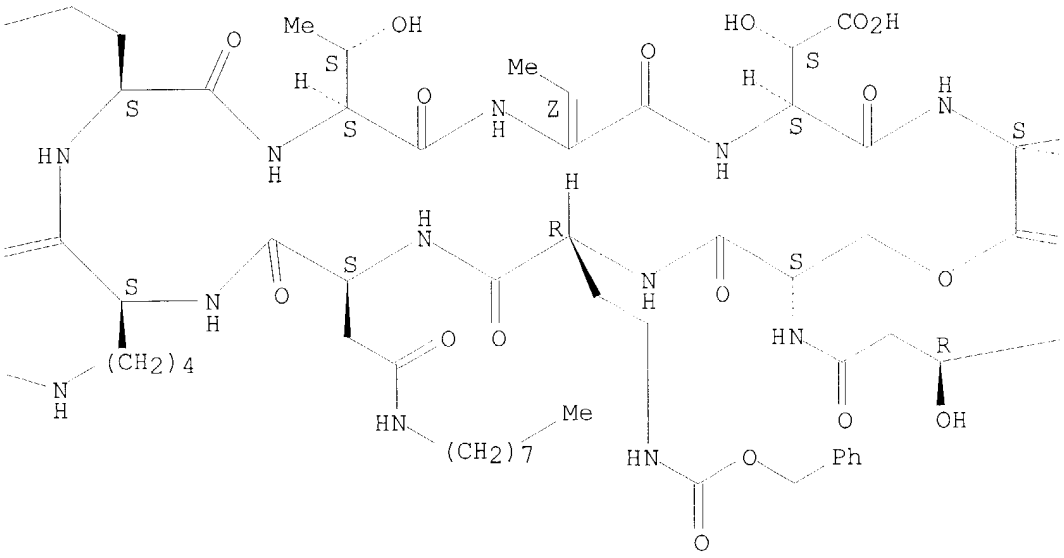
Absolute stereochemistry.
Double bond geometry as shown.

PAGE 1-A

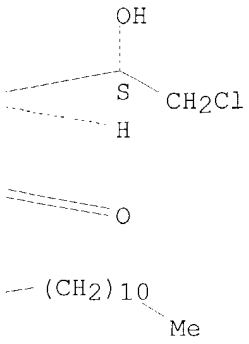




PAGE 1-B



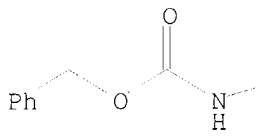
PAGE 1-C

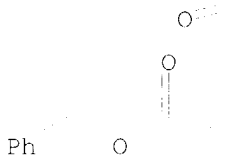


RN 344620-95-7 HCAPLUS
CN Pseudomycin B, 2-[(2R)-2-amino-4-[[(phenylmethoxy)carbonyl]amino]butanoic acid]-3-(N-nonyl-L-asparagine)-4-[N6-[(phenylmethoxy)carbonyl]-L-lysine]-5-[(2S)-2-amino-4-[[(phenylmethoxy)carbonyl]amino]butanoic acid]- (9CI) (CA INDEX NAME)

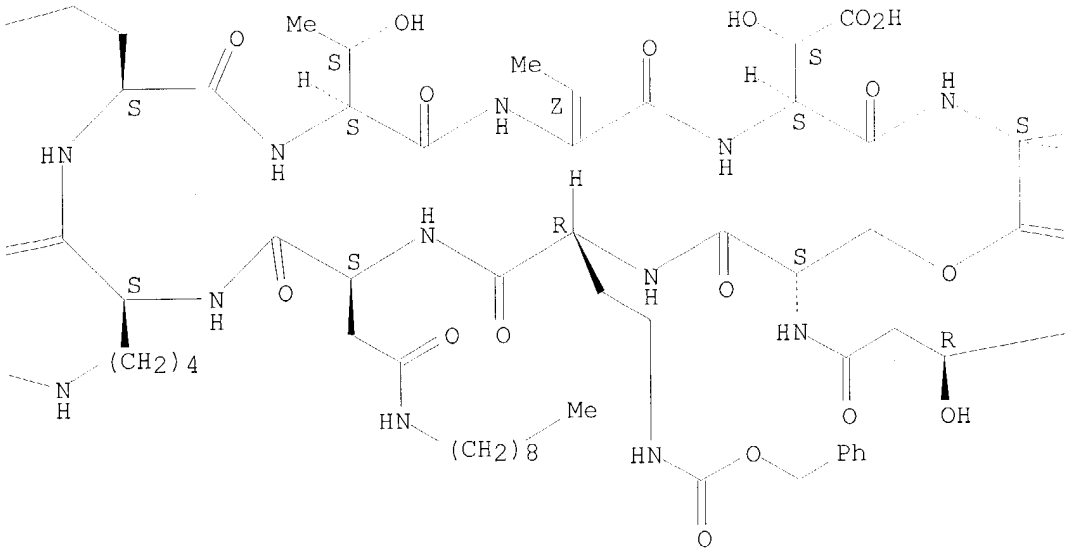
Absolute stereochemistry.
Double bond geometry as shown.

PAGE 1-A

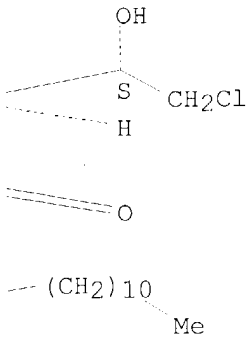




PAGE 1-B



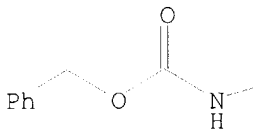
PAGE 1-C

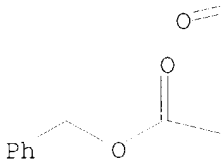


RN 344620-96-8 HCAPLUS
CN Pseudomycin B, 2-[(2R)-2-amino-4-[[(phenylmethoxy)carbonyl]amino]butanoic acid]-3-(N-decyl-L-asparagine)-4-[N6-[(phenylmethoxy)carbonyl]-L-lysine]-5-[(2S)-2-amino-4-[[(phenylmethoxy)carbonyl]amino]butanoic acid]- (9CI) (CA INDEX NAME)

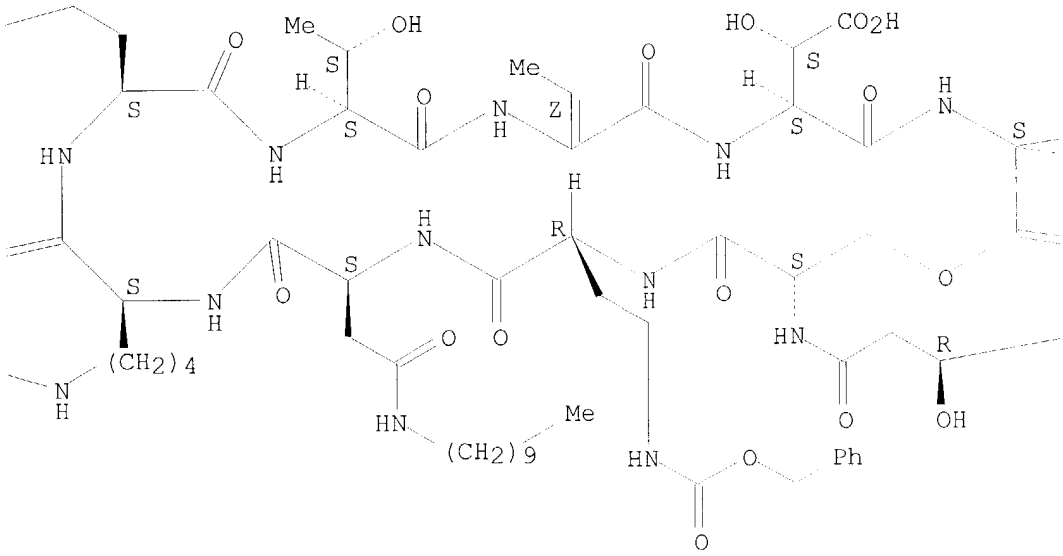
Absolute stereochemistry.
Double bond geometry as shown.

PAGE 1-A

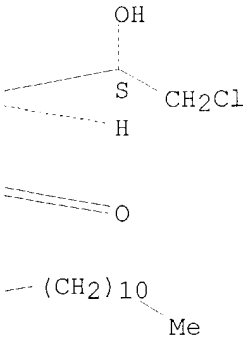




PAGE 1-B



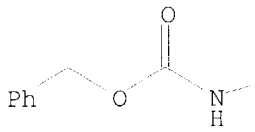
PAGE 1-C

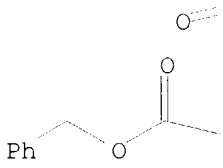


RN 344620-97-9 HCAPLUS
CN Pseudomycin B, 2-[(2R)-2-amino-4-[[(phenylmethoxy)carbonyl]amino]butanoic acid]-3-[N-(2-aminoethyl)-L-asparagine]-4-[N6-[(phenylmethoxy)carbonyl]-L-lysine]-5-[(2S)-2-amino-4-[[(phenylmethoxy)carbonyl]amino]butanoic acid]-(9CI) (CA INDEX NAME)

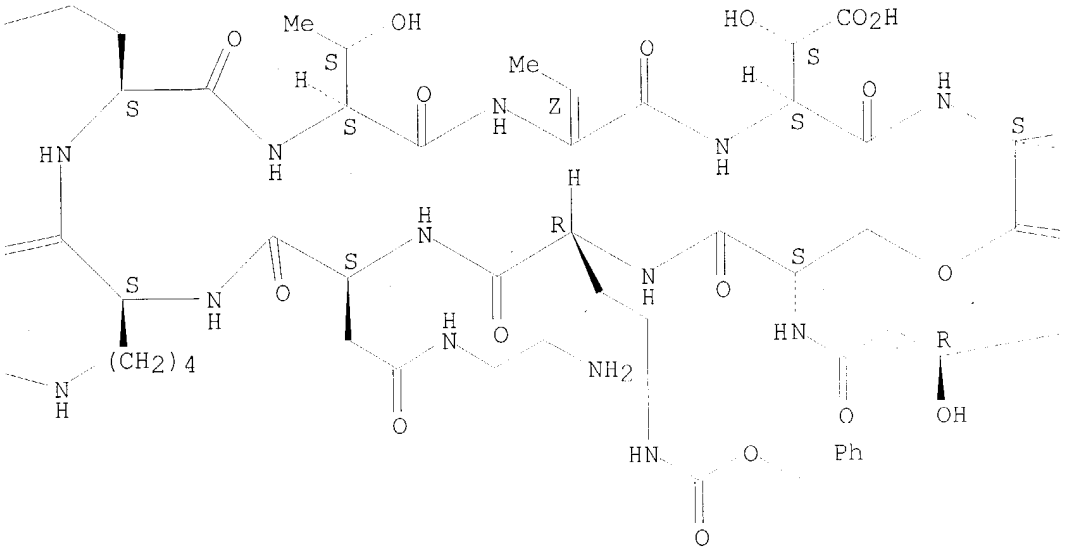
Absolute stereochemistry.
Double bond geometry as shown.

PAGE 1-A

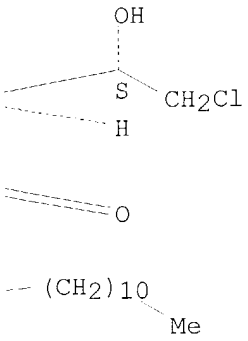




PAGE 1-B



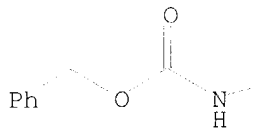
PAGE 1-C

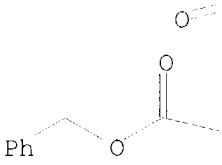


RN 344620-98-0 HCAPLUS
CN Pseudomycin B, 2-[(2R)-2-amino-4-[[(phenylmethoxy)carbonyl]amino]butanoic acid]-3-[N-[2-(dimethylamino)ethyl]-L-asparagine]-4-[N6-[(phenylmethoxy)carbonyl]-L-lysine]-5-[(2S)-2-amino-4-[[(phenylmethoxy)carbonyl]amino]butanoic acid]- (9CI) (CA INDEX NAME)

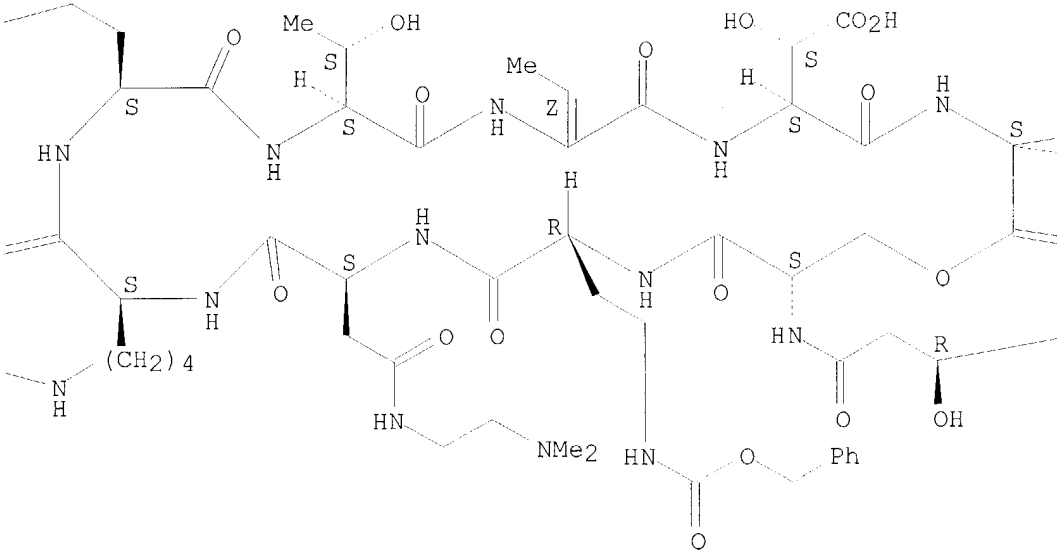
Absolute stereochemistry.
Double bond geometry as shown.

PAGE 1-A

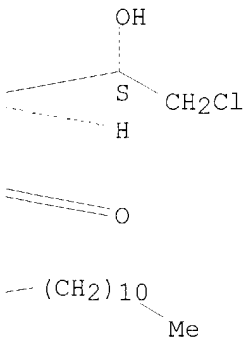




PAGE 1-B



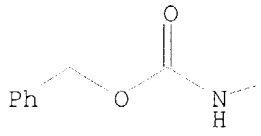
PAGE 1-C

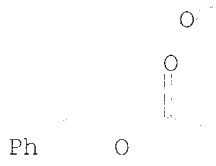


RN 344620-99-1 HCAPLUS
CN Pseudomycin B, 2-[(2R)-2-amino-4-[[(phenylmethoxy)carbonyl]amino]butanoic acid]-3-[N-[2-(diethylamino)ethyl]-L-asparagine]-4-[N6-[(phenylmethoxy)carbonyl]-L-lysine]-5-[(2S)-2-amino-4-[[(phenylmethoxy)carbonyl]amino]butanoic acid]- (9CI) (CA INDEX NAME)

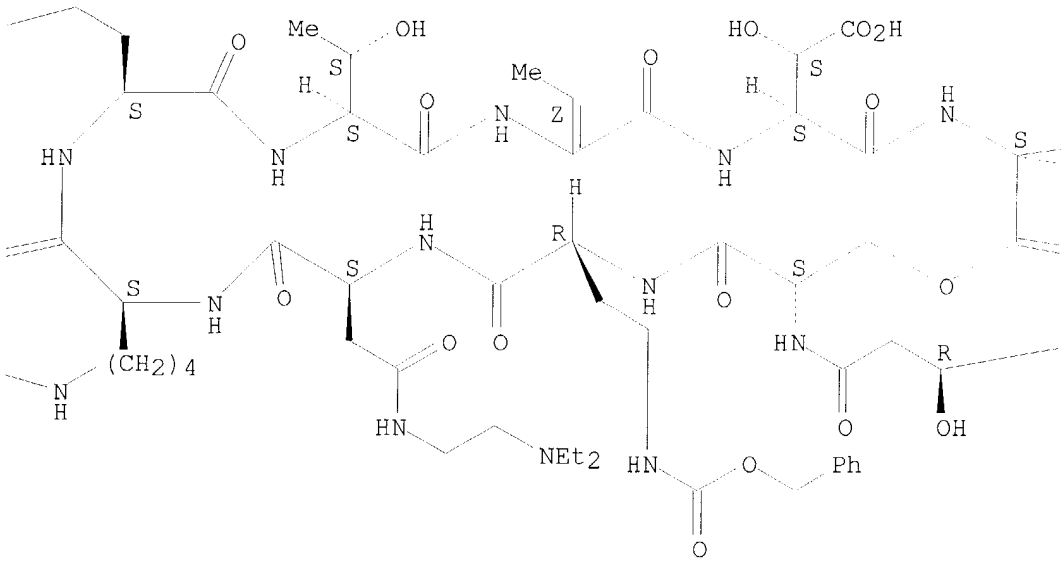
Absolute stereochemistry.
Double bond geometry as shown.

PAGE 1-A

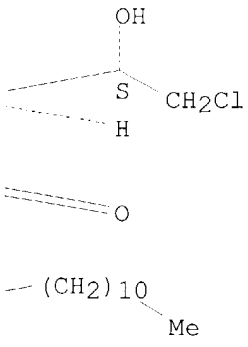




PAGE 1-B



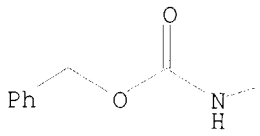
PAGE 1-C

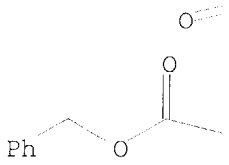


RN 344621-00-7 HCAPLUS
CN Pseudomycin B, 2-[(2R)-2-amino-4-[[(phenylmethoxy)carbonyl]amino]butanoic acid]-3-[N-[3-(dimethylamino)propyl]-L-asparagine]-4-[N6-[(phenylmethoxy)carbonyl]-L-lysine]-5-[(2S)-2-amino-4-[[(phenylmethoxy)carbonyl]amino]butanoic acid]- (9CI) (CA INDEX NAME)

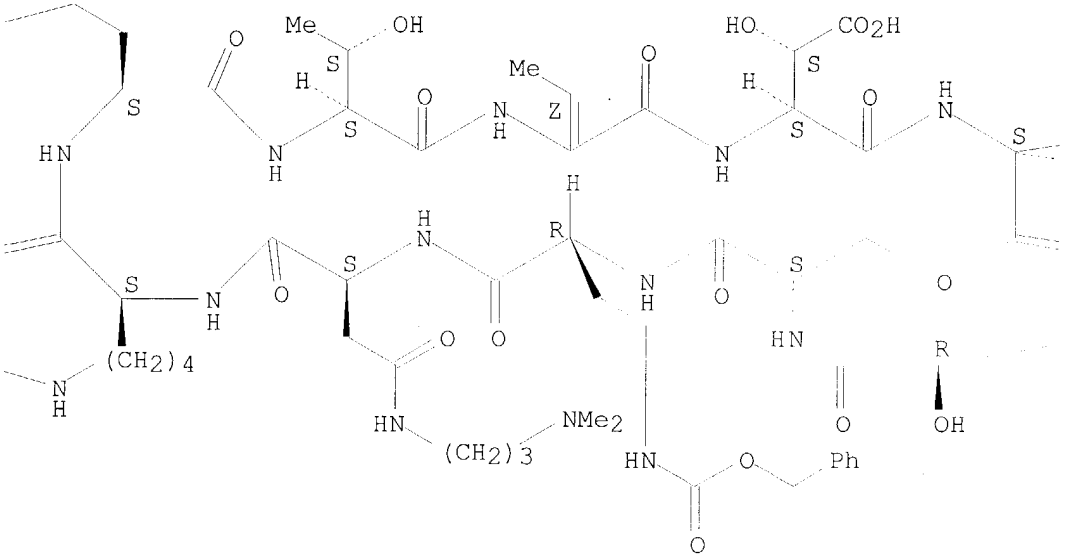
Absolute stereochemistry.
Double bond geometry as shown.

PAGE 1-A

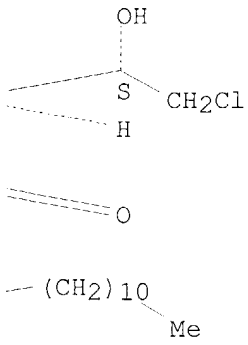




PAGE 1-B



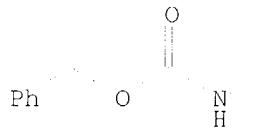
PAGE 1-C

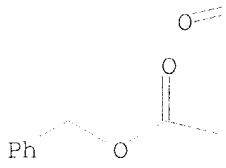


RN 344621-01-8 HCAPLUS
CN Pseudomycin B, 2-[(2R)-2-amino-4-[[(phenylmethoxy)carbonyl]amino]butanoic acid]-3-[N-[3-(diethylamino)propyl]-L-asparagine]-4-[N6-[(phenylmethoxy)carbonyl]-L-lysine]-5-[(2S)-2-amino-4-[[(phenylmethoxy)carbonyl]amino]butanoic acid]- (9CI) (CA INDEX NAME)

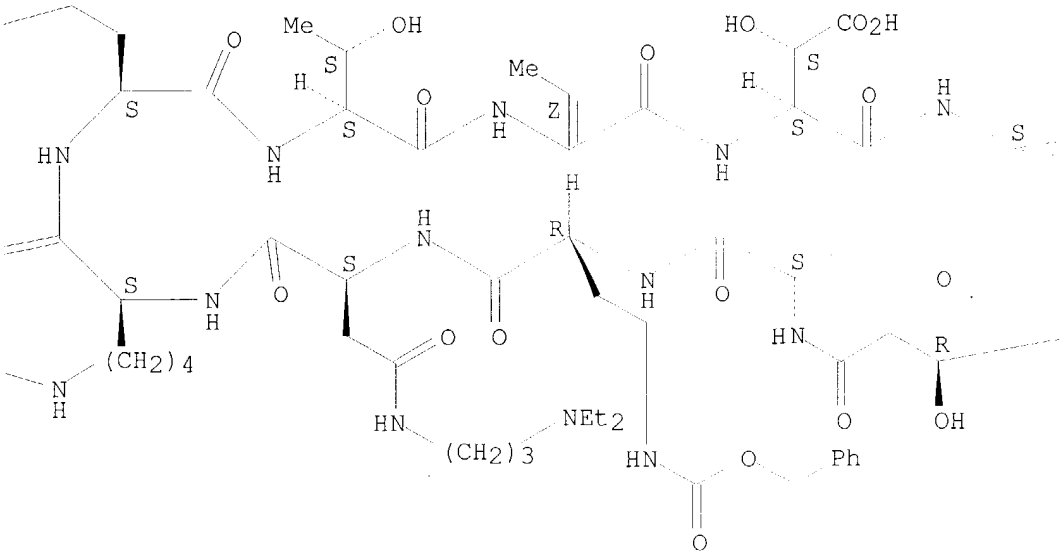
Absolute stereochemistry.
Double bond geometry as shown.

PAGE 1-A

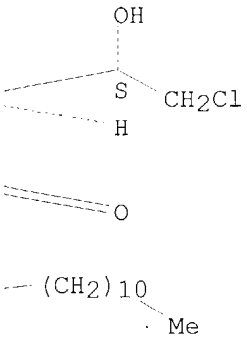




PAGE 1-B



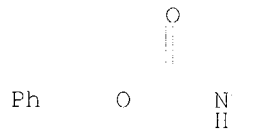
PAGE 1-C

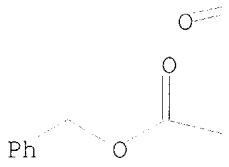


RN 344621-02-9 HCAPLUS
CN Pseudomycin B, 2-[(2R)-2-amino-4-[[(phenylmethoxy)carbonyl]amino]butanoic acid]-3-[N-[4-(dimethylamino)butyl]-L-asparagine]-4-[N6-[(phenylmethoxy)carbonyl]-L-lysine]-5-[(2S)-2-amino-4-[[(phenylmethoxy)carbonyl]amino]butanoic acid]- (9CI) (CA INDEX NAME)

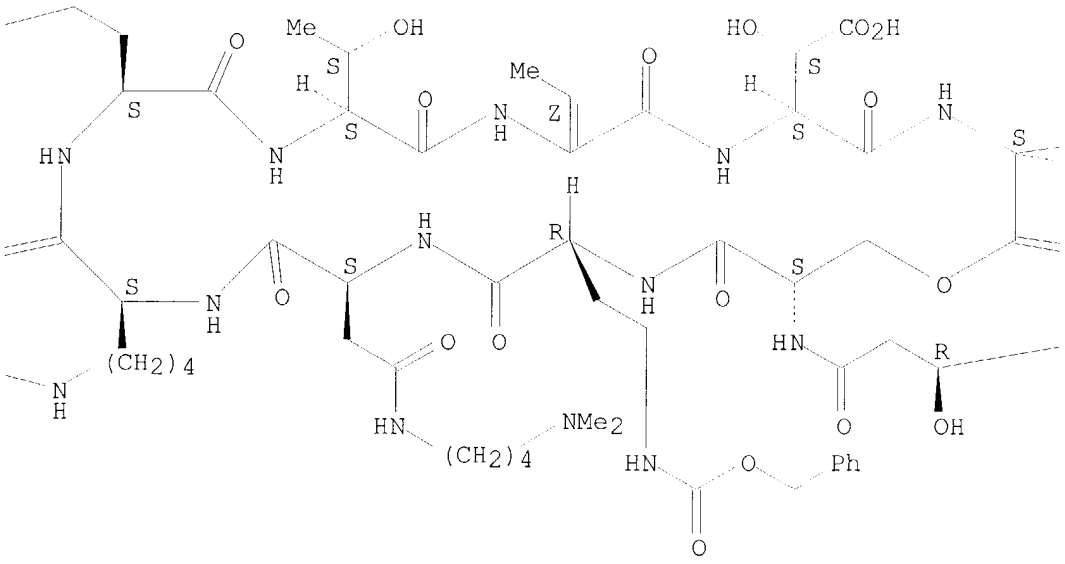
Absolute stereochemistry.
Double bond geometry as shown.

PAGE 1-A

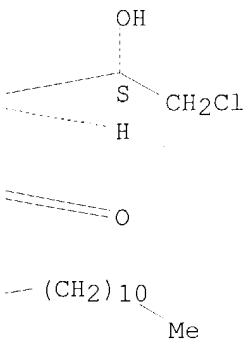




PAGE 1-B



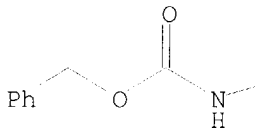
PAGE 1-C

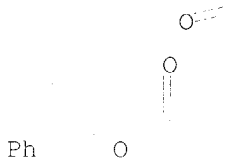


RN 344621-03-0 HCAPLUS
CN Pseudomycin B, 2-[(2R)-2-amino-4-[[(phenylmethoxy)carbonyl]amino]butanoic acid]-3-[N-[6-(dimethylamino)hexyl]-L-asparagine]-4-[N6-[(phenylmethoxy)carbonyl]-L-lysine]-5-[(2S)-2-amino-4-[[(phenylmethoxy)carbonyl]amino]butanoic acid]- (9CI) (CA INDEX NAME)

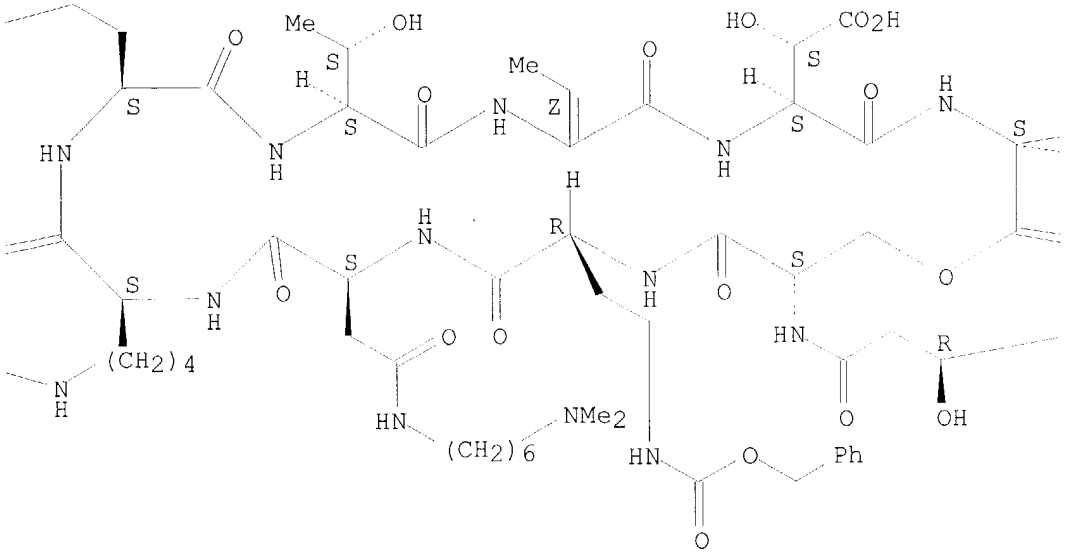
Absolute stereochemistry.
Double bond geometry as shown.

PAGE 1-A

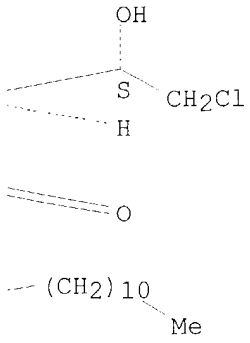




PAGE 1-B



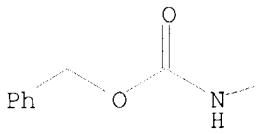
PAGE 1-C

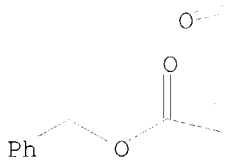


RN 344621-04-1 HCAPLUS
CN Pseudomycin B, 2-[(2R)-2-amino-4-[[(phenylmethoxy)carbonyl]amino]butanoic acid]-3-[N-[7-(dimethylamino)heptyl]-L-asparagine]-4-[N6-[(phenylmethoxy)carbonyl]-L-lysine]-5-[(2S)-2-amino-4-[[(phenylmethoxy)carbonyl]amino]butanoic acid]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

PAGE 1-A





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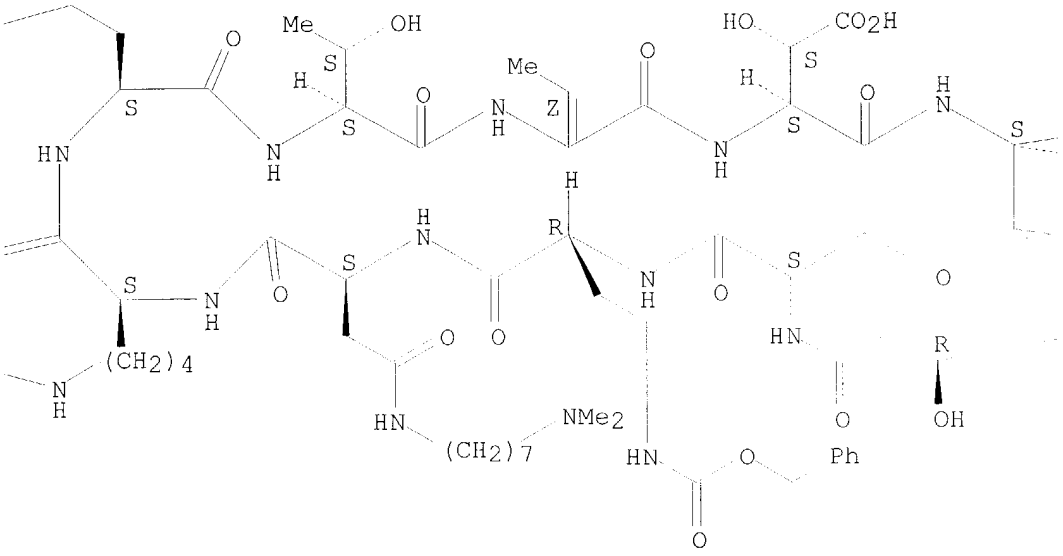

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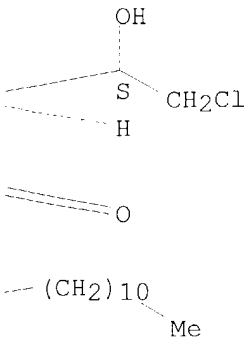
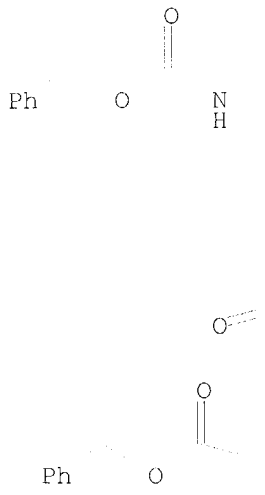


RN 344621-05-2 HCAPLUS
CN Pseudomycin B, 2-[(2R)-2-amino-4-[[(phenylmethoxy)carbonyl]amino]butanoic acid]-3-(N,N-dimethyl-L-asparagine)-4-[N6-[(phenylmethoxy)carbonyl]-L-lysine]-5-[(2S)-2-amino-4-[[(phenylmethoxy)carbonyl]amino]butanoic acid]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

PAGE 1-A



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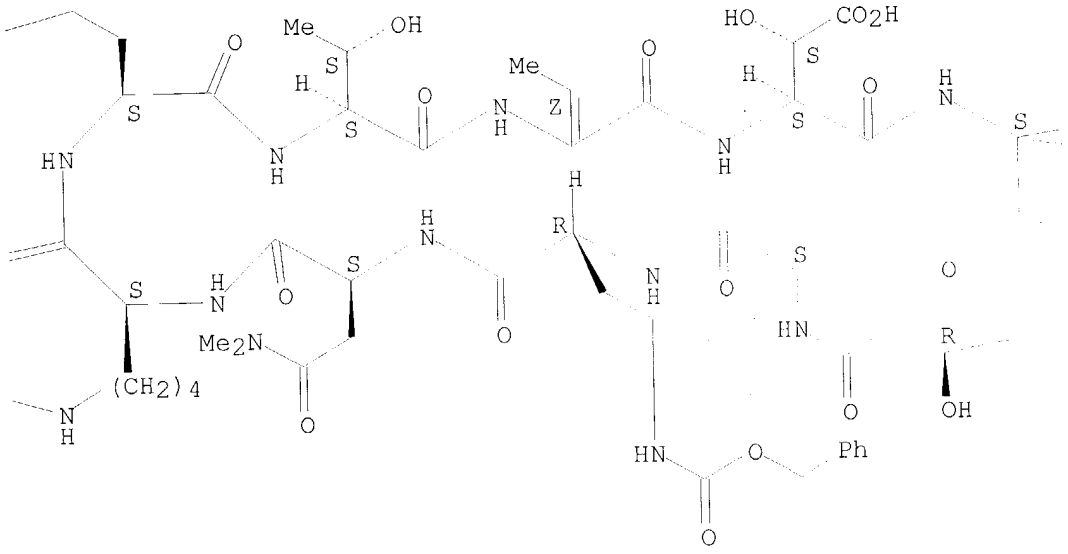

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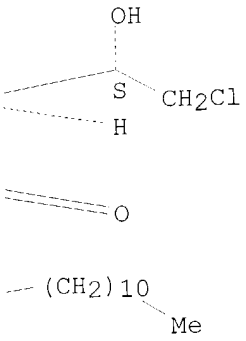

RN 344621-06-3 HCAPLUS
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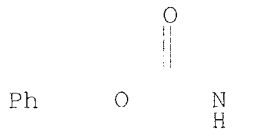
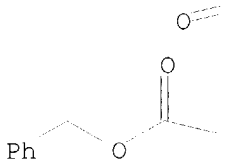
Absolute stereochemistry.
Double bond geometry as shown.

PAGE 1-A





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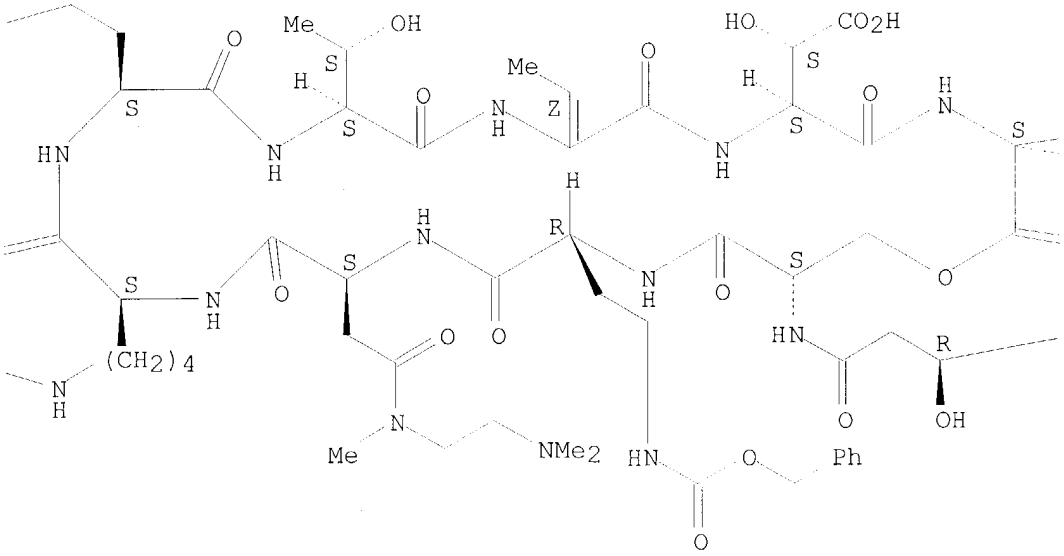

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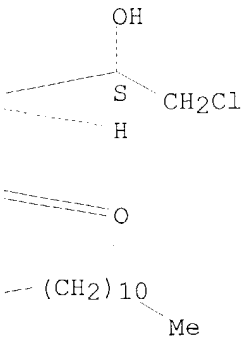

RN 344621-07-4 HCAPLUS
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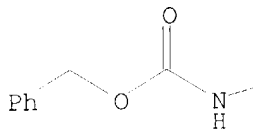
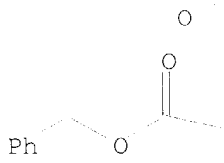
Absolute stereochemistry.
Double bond geometry as shown.

PAGE 1-A





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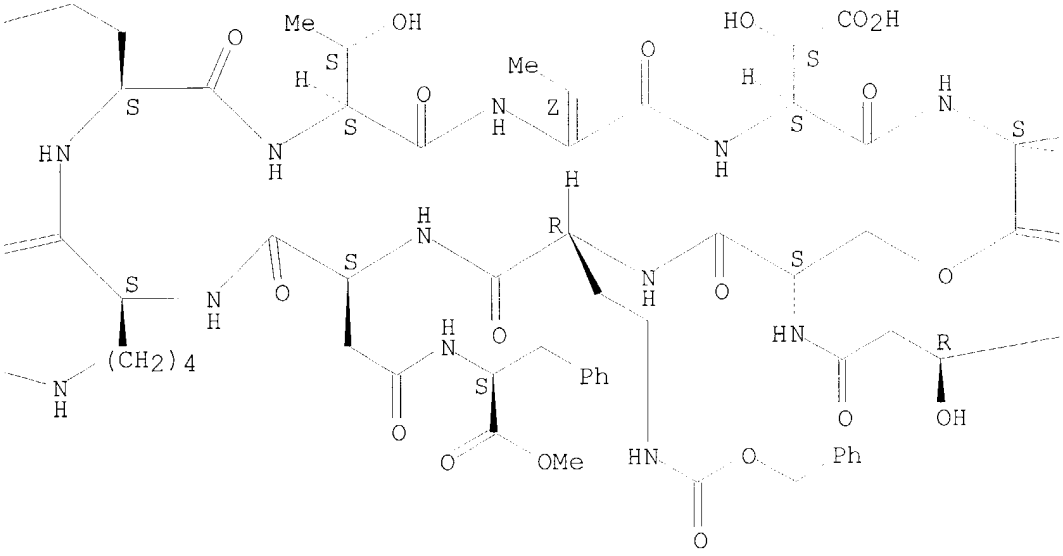

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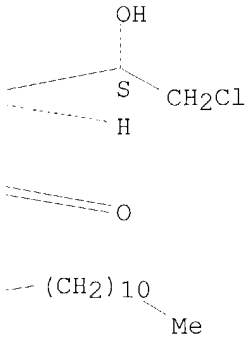

RN 344621-08-5 HCAPLUS
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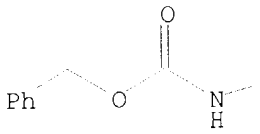
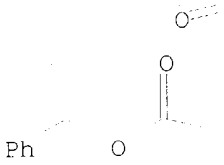
Absolute stereochemistry.
Double bond geometry as shown.

PAGE 1-A





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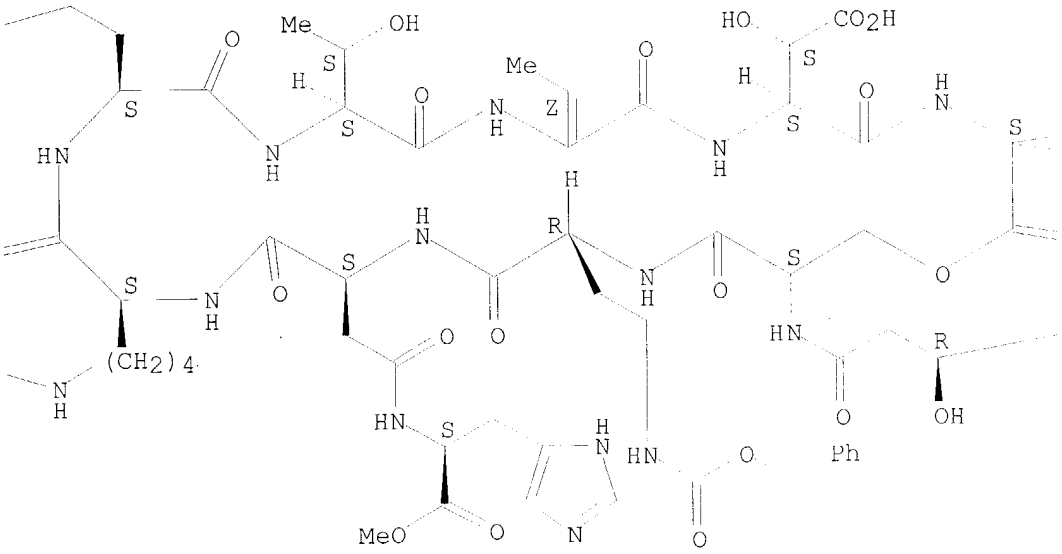

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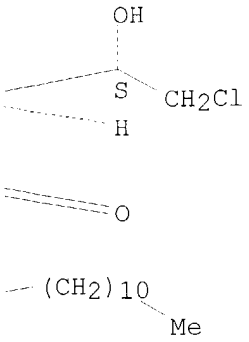

RN 344621-09-6 HCAPLUS
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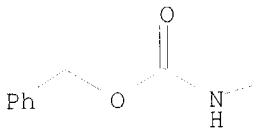
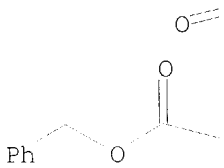
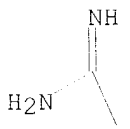
Absolute stereochemistry.
Double bond geometry as shown.

PAGE 1-A







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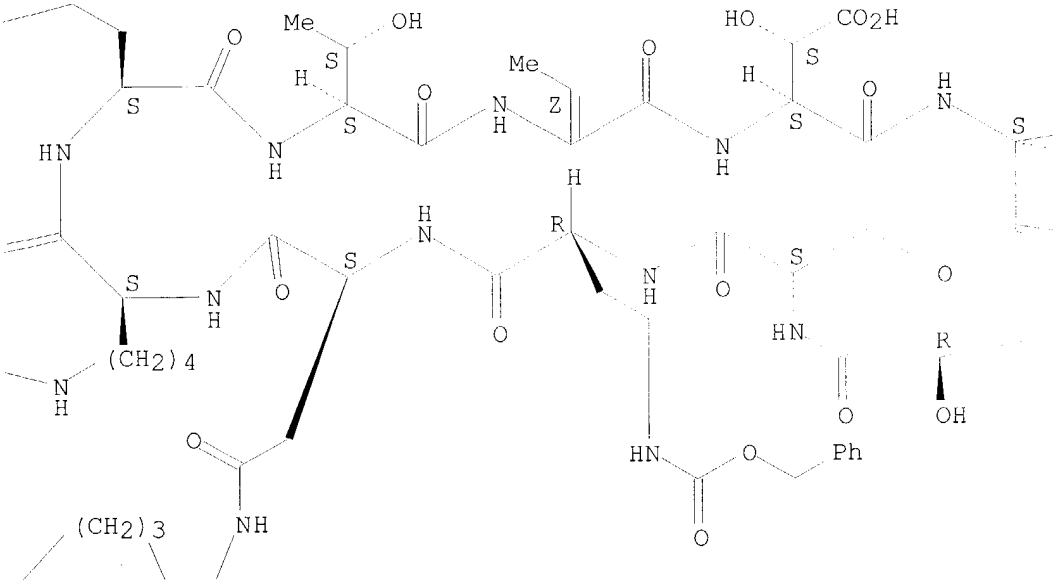

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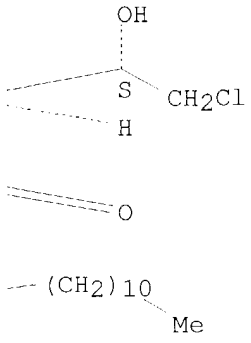

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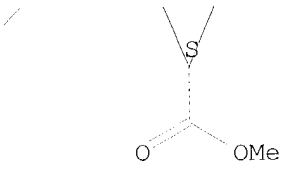


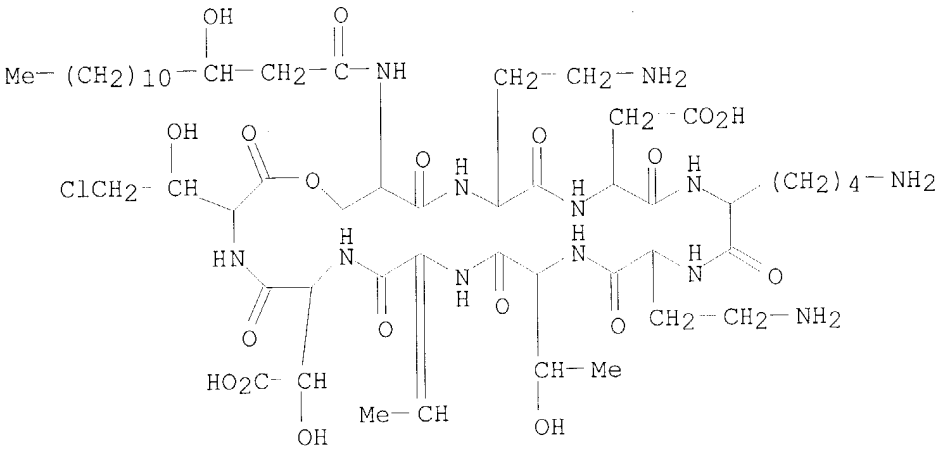
REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L59 ANSWER 9 OF 26 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:83660 HCAPLUS
DOCUMENT NUMBER: 134:296072
TITLE: The synthesis of pseudomycin C' via a novel acid promoted side-chain deacylation of pseudomycin A
AUTHOR(S): Rodriguez, M. J.; Belvo, M.; Morris, R.; Zeckner, D. J.; Current, W. L.; Sachs, R. K.; Zweifel, M. J.
CORPORATE SOURCE: Lilly Research Laboratories, A Division of Eli Lilly & Company, Indianapolis, IN, 46285, USA
SOURCE: Bioorganic & Medicinal Chemistry Letters (2001), 11(2), 161-164
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 134:296072

AB The γ hydroxyl present in the aliphatic side chain of the natural products pseudomycin A and C' provided a unique handle for the pH dependent side-chain deacylation. Low pH reaction conditions were used to cleave the side chain with minimal degradation of the peptide core. The pseudomycin nucleus intermediate obtained from the deacylation of pseudomycin A was pivotal in the synthesis of novel side-chain analogs. A practical synthesis of a minor fermentation factor pseudomycin C' and related analogs is reported.

IT **139203-14-8**, Pseudomycin b **139203-15-9**, Pseudomycin c **301533-14-2**, Pseudomycin A' **301533-15-3**, Pseudomycin B' **334830-74-9 334830-75-0 334830-76-1**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(synthesis of pseudomycin C' via acid promoted side-chain deacylation of pseudomycin A)

RN 139203-14-8 HCAPLUS
CN Pseudomycin B (9CI) (CA INDEX NAME)



RN 139203-15-9 HCAPLUS
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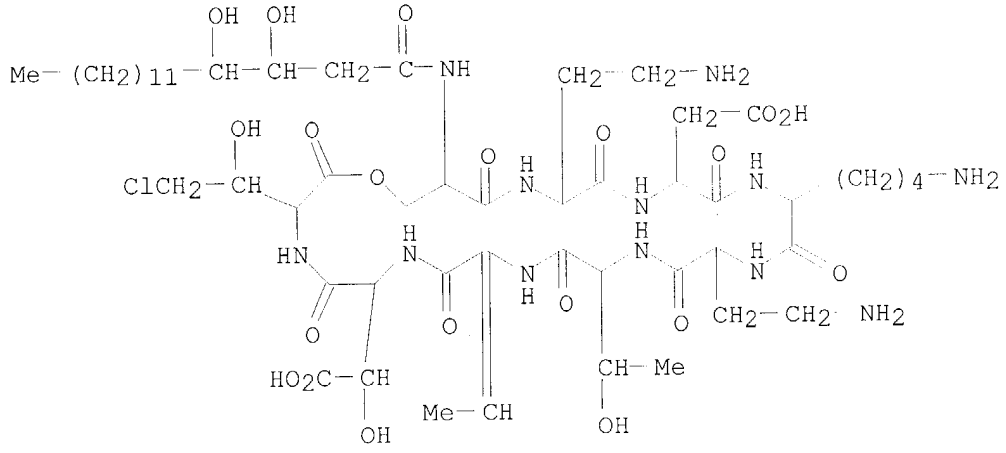
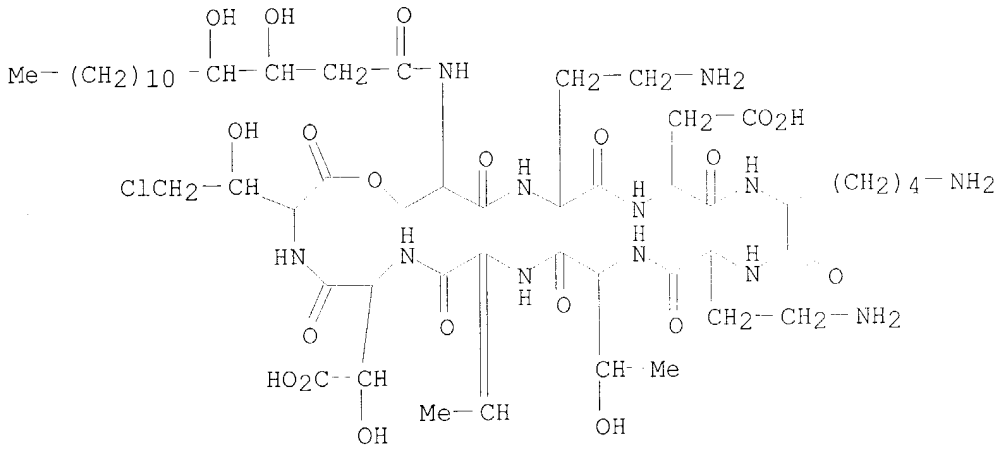
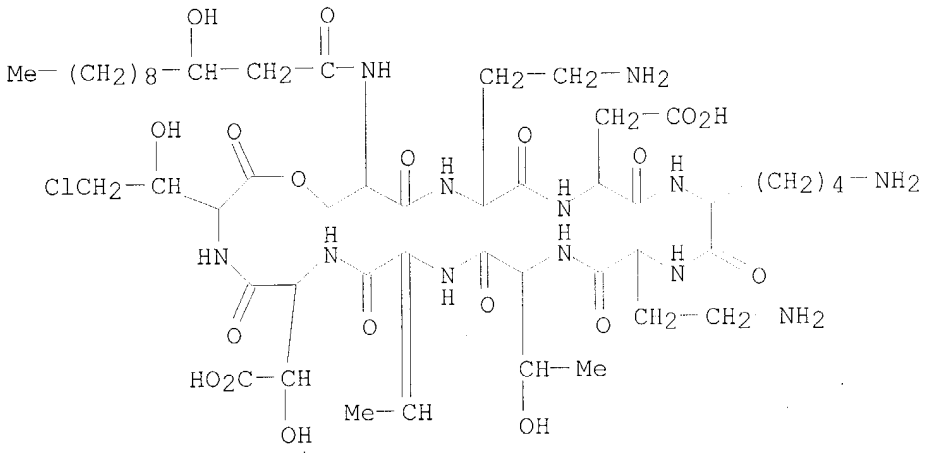


RN 301533-14-2 HCAPLUS
CN L-Threonine, N-(3,4-dihydroxy-1-oxopentadecyl)-L-seryl-(2R)-2,4-diaminobutanoyl-L-α-aspartyl-L-lysyl-(2S)-2,4-diaminobutanoyl-L-allothreonyl-(2Z)-2-amino-2-butenoyl-(3S)-3-hydroxy-L-α-aspartyl-4-chloro-, (9→13)-lactone (9CI) (CA INDEX NAME)



RN 301533-15-3 HCAPLUS
CN L-Threonine, N-(3-hydroxy-1-oxododecyl)-L-seryl-(2R)-2,4-diaminobutanoyl-L-α-aspartyl-L-lysyl-(2S)-2,4-diaminobutanoyl-L-allothreonyl-(2Z)-2-amino-2-butenoyl-(3S)-3-hydroxy-L-α-asparty1-4-chloro-, (9→13)-lactone (9CI) (CA INDEX NAME)



RN 334830-74-9 HCAPLUS
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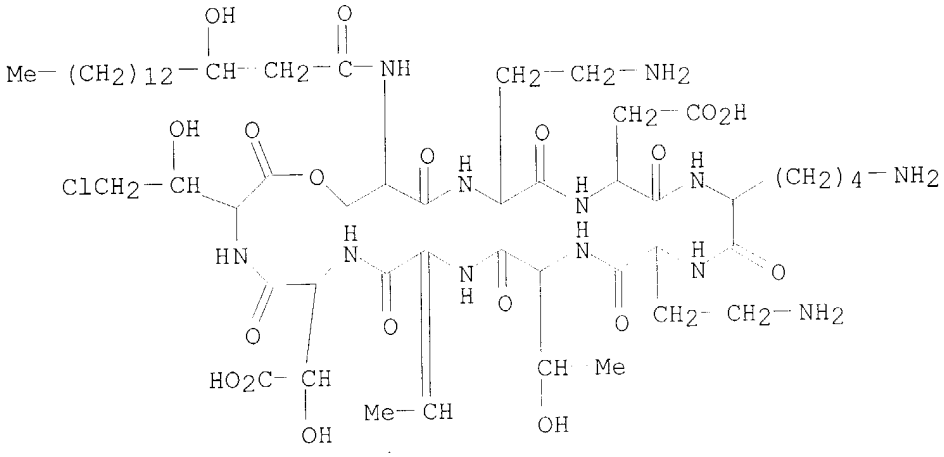

RN 334830-75-0 HCAPLUS
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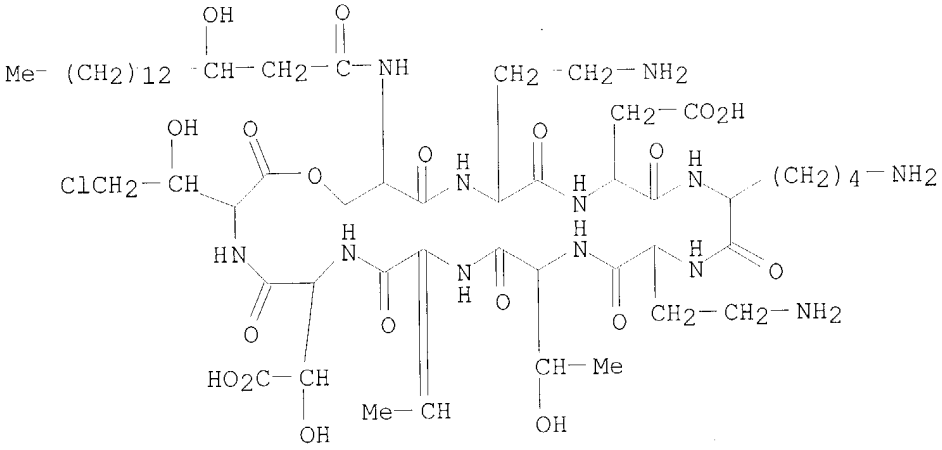

RN 334830-76-1 HCAPLUS
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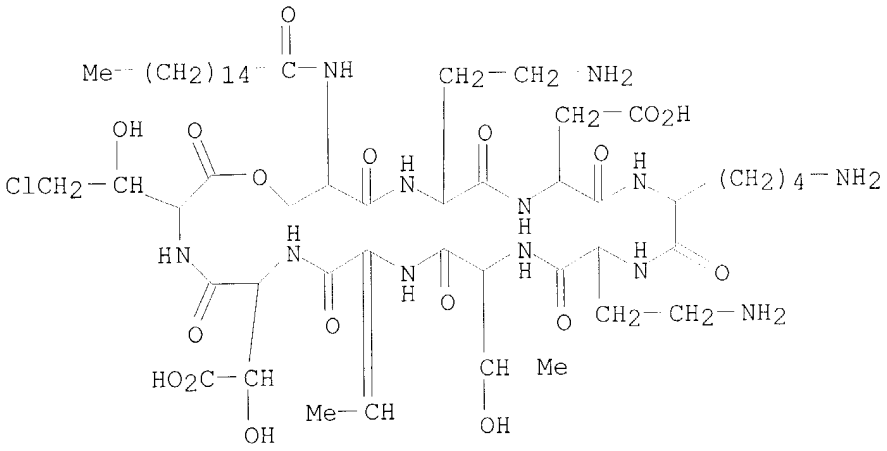
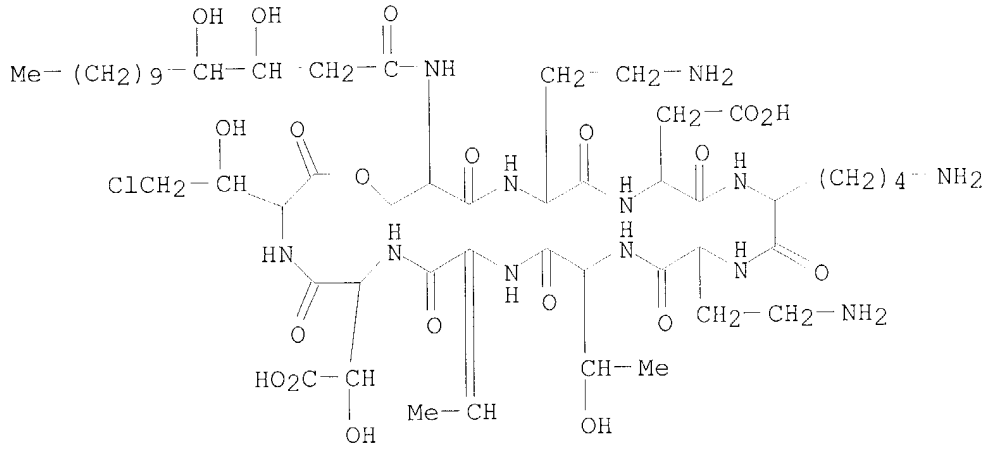
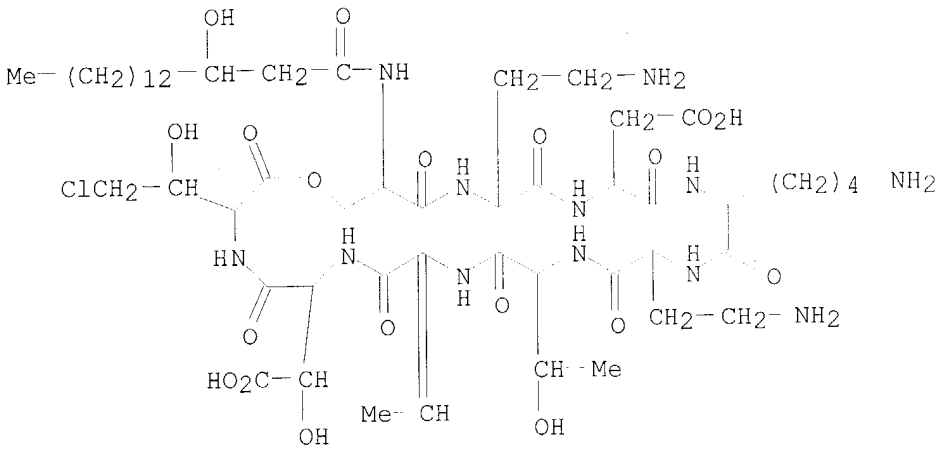


IT **139203-13-7,** Pseudomycin A
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); BIOL (Biological study); RACT (Reactant or reagent)
(synthesis of pseudomycin C' via acid promoted side-chain deacylation of pseudomycin A)
RN 139203-13-7 HCAPLUS
CN Pseudomycin A (9CI) (CA INDEX NAME)

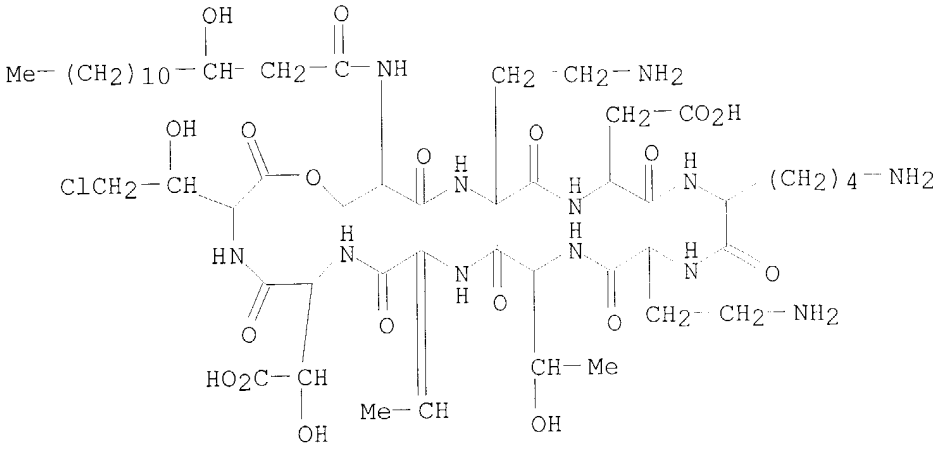


IT **162443-73-4P,** Pseudomycin c'
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(synthesis of pseudomycin C' via acid promoted side-chain deacylation of pseudomycin A)
RN 162443-73-4 HCAPLUS
CN Pseudomycin C' (9CI) (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L59 ANSWER 10 OF 26 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:83652 HCAPLUS
DOCUMENT NUMBER: 134:266549
TITLE: 8-amido-bearing pseudomycin B (PSB) analogue: novel antifungal agents
AUTHOR(S): Zhang, Y.-Z.; Sun, X.; Zeckner, D. J.; Sachs, R. K.; Current, W. L.; Chen, S.-H.
CORPORATE SOURCE: Lilly Research Laboratories, A Division of Eli Lilly and Company, Indianapolis, IN, 46285, USA
SOURCE: Bioorganic & Medicinal Chemistry Letters (2001), 11(2), 123-126
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 134:266549
AB During the course of a structure-activity relationship (SAR) study on novel depsinonapeptide pseudomycin B (PSB), we synthesized a total of 12 8-amidopseudomycin analogs via standard two-step sequence from either benzyloxycarbonyl- or allyloxycarbonyl-protected PSB. A number of these amides exhibited good in vitro antifungal activities.
IT **139203-14-8DP**, Pseudomycin b, amide analogs **319497-16-0P** **331822-85-6P 331822-86-7P 331822-87-8P** **331822-88-9P 331822-90-3P 331822-91-4P** **331822-92-5P 331822-93-6P 331822-94-7P** **331822-95-8P 331822-96-9P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and antifungal activity of pseudomycin B amides)
RN 139203-14-8 HCAPLUS
CN Pseudomycin B (9CI) (CA INDEX NAME)



RN 319497-16-0 HCAPLUS
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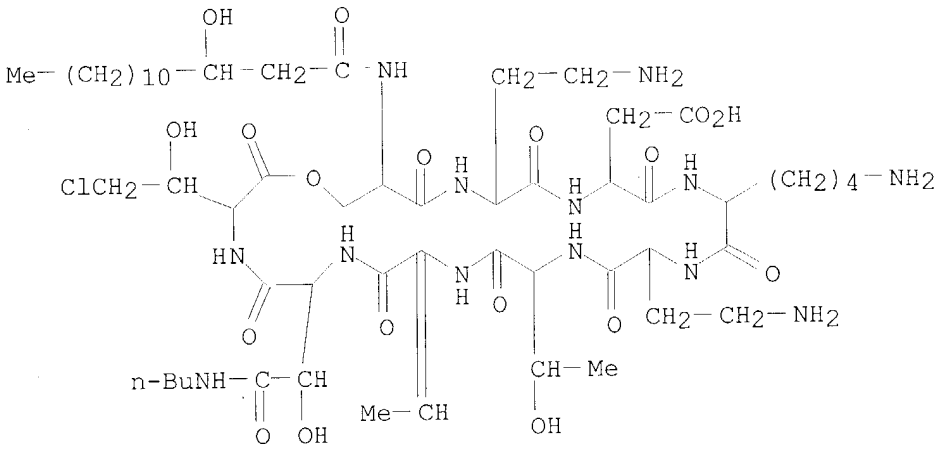

RN 331822-85-6 HCAPLUS
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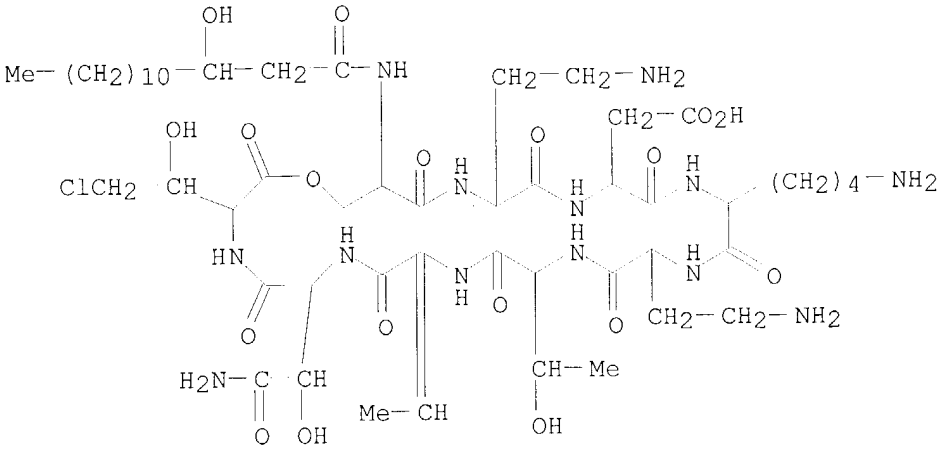

RN 331822-86-7 HCAPLUS
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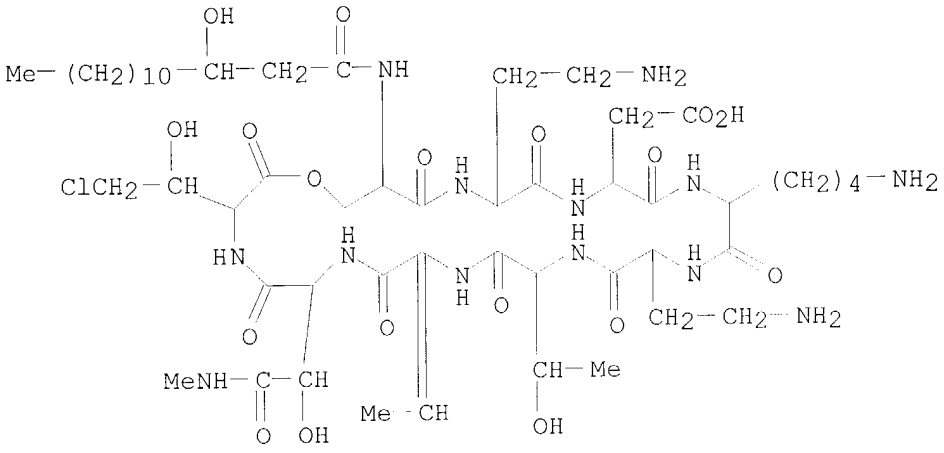

RN 331822-87-8 HCAPLUS
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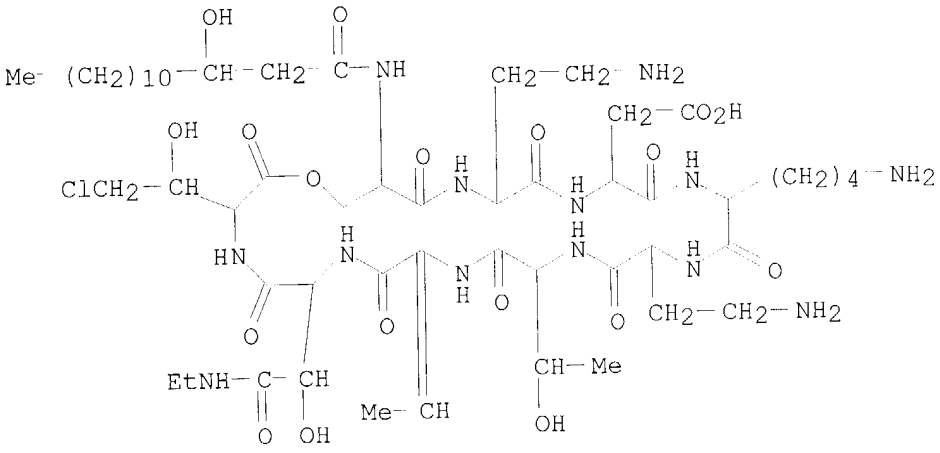
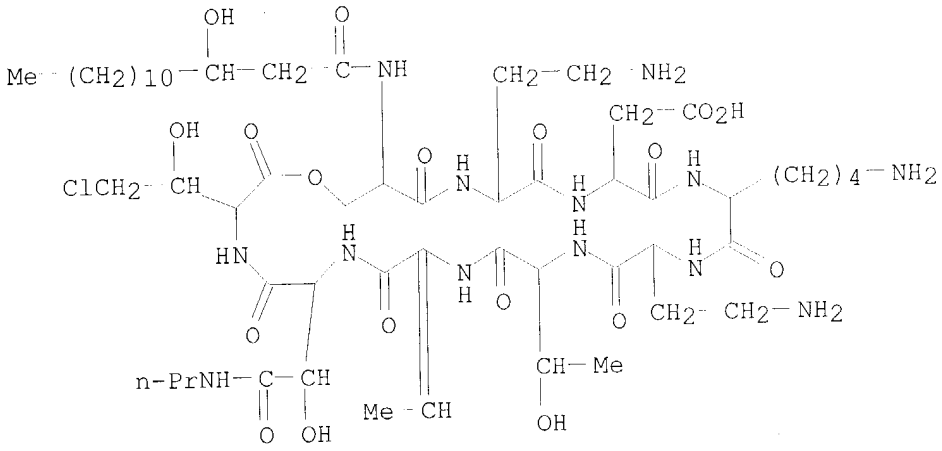


RN 331822-88-9 HCAPLUS
CN Pseudomycin B, 8-[(3S)-3-hydroxy-N-propyl-L-asparagine]- (9CI) (CA INDEX NAME)



RN 331822-90-3 HCAPLUS
CN Pseudomycin B, 8-[(3S)-N-cyclopropyl-3-hydroxy-L-asparagine]- (9CI) (CA INDEX NAME)